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(12) **United States Patent**
Worthington et al.(10) **Patent No.:** **US 9,096,525 B2**(45) **Date of Patent:** ***Aug. 4, 2015**(54) **IMINIPYRIDINE DERIVATIVES AND THEIR
USES AS MICROBIOCIDES**(71) Applicant: **Syngenta Crop Protection, LLC,**
Greensboro, NC (US)(72) Inventors: **Paul Anthony Worthington,**
Maidenhead (GB); **Daniel Stierli,** Stein
(CH); **Fredrik Cederbaum,** Stein (CH);
Kurt Nebel, Hochwald (CH); **Antoine**
Daina, Romont (CH)(73) Assignee: **Syngenta Crop Protection, LLC,**
Greensboro, NC (US)(*) Notice: Subject to any disclaimer, the term of this
patent is extended or adjusted under 35
U.S.C. 154(b) by 0 days.This patent is subject to a terminal dis-
claimer.(21) Appl. No.: **13/971,439**(22) Filed: **Aug. 20, 2013**(65) **Prior Publication Data**

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application No. PCT/EP2008/001315 on Feb. 8, 2008,
now Pat. No. 8,513,286.(30) **Foreign Application Priority Data**

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C07F 7/08 (2006.01)(52) **U.S. Cl.**CPC **C07D 213/74** (2013.01); **A01N 43/40**
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C07D 413/12 (2013.01); **C07D 417/10**
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None

See application file for complete search history.

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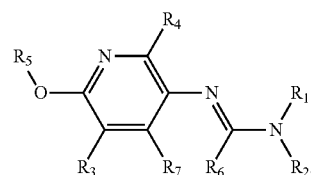
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Primary Examiner — Zinna Northington Davis(74) *Attorney, Agent, or Firm* — R. Kody Jones(57) **ABSTRACT**

Compounds of the formula I



(I)

in which the substituents are as defined in claim 1 are suitable
for use as microbiocides.**14 Claims, No Drawings**

(56)

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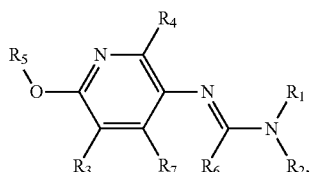
IMINOPYRIDINE DERIVATIVES AND THEIR USES AS MICROBIOCIDES

This application is a continuation of U.S. Ser. No. 12/528, 198, filed Aug. 21, 2009 now U.S. Pat. No. 8,513,286, which is a 371 of International Application No. PCT/EP2008/001315, filed Feb. 20, 2008, which claims priority from EP 07003637.1 filed Feb. 22, 2007; the contents of all above-named applications are incorporated herein by reference.

The present invention relates to novel microbicidally active, in particular fungicidally active, pyridylamidine compounds. It further relates to intermediates used in the preparation of these compounds, to compositions which comprise these compounds and to their use in agriculture or horticulture for controlling or preventing infestation of plants by phytopathogenic microorganisms, preferably fungi.

Certain phenylamidine derivatives have been proposed in the literature as microbicidally active ingredients in pesticides. For example, WO 00/46184 and WO 03/093224 disclose phenylamidines which are useful as fungicides. However, the biological properties of these known compounds are not entirely satisfactory for controlling or preventing infestation of plants by phytopathogenic microorganisms, which is why there is a need to provide other compounds which have microbicidal properties. There have now been found novel pyridylamidines having microbicidal activity.

The present invention accordingly relates to compounds of formula I



wherein

aa) R_1 and R_2 , independently from each other, are hydrogen, cyano, formyl, nitro, C_1 - C_7 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_2 - C_7 alkylcarbonyl, C_3 - C_7 alkenylcarbonyl, C_4 - C_9 cycloalkylcarbonyl, C_1 - C_6 alkoxy- C_1 - C_6 alkyl, C_1 - C_6 alkylthio- C_1 - C_6 alkyl, C_2 - C_7 alkylcarbonyl- C_1 - C_6 alkyl, C_3 - C_6 alkenyl- C_1 - C_6 alkyl, C_3 - C_6 alkynyl- C_1 - C_6 alkyl, C_3 - C_9 cycloalkyl- C_1 - C_6 alkyl, C_2 - C_7 alkylcarbonyl- C_1 - C_6 alkyl, C_3 - C_6 alkenyl- C_1 - C_6 alkyl, C_4 - C_7 alkylcarbonyl- C_1 - C_6 alkyl, C_4 - C_8 cycloalkylcarbonyl- C_1 - C_6 alkyl, C_1 - C_6 alkylsulfonyl, C_1 - C_6 haloalkylsulfonyl, C_1 - C_6 alkylsulfinyl or C_1 - C_6 haloalkylsulfinyl; or

ab) R_1 and R_2 , independently from each other, are $-\text{Si}(\text{R}_{51})(\text{R}_{52})(\text{R}_{53})$, wherein R_{51} , R_{52} , R_{53} , independently of each other, are halogen, cyano, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_3 - C_8 cycloalkyl, C_5 - C_8 cycloalkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkoxy, benzyl or phenyl; or

ac) R_1 and R_2 , independently from each other, are $-\text{Si}(\text{OR}_{54})(\text{OR}_{55})(\text{OR}_{56})$, wherein R_{54} , R_{55} , R_{56} , independently of each other, are C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_3 - C_8 cycloalkyl, C_3 - C_6 alkynyl, benzyl or phenyl; or

ad) R_1 and R_2 , independently from each other, are phenylsulfonyl, phenylsulfinyl, phenylcarbonyl, phenoxycarbonyl, benzyl, benzylcarbonyl or benzyloxycarbonyl; or

ae) R_1 and R_2 , independently from each other, are phenylsulfonyl, phenylsulfinyl, phenylcarbonyl, phenoxycarbonyl, benzyl, benzylcarbonyl, benzyloxycarbonyl mono- to polysubstituted

ae1) by substituents independently selected from the group consisting of

hydroxy, mercapto, halogen, cyano, azido, nitro, $-\text{SF}_5$, amino, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_8 cycloalkyl, C_3 - C_8 halocycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 haloalkenyl, C_2 - C_6 alkynyl, C_2 - C_6 haloalkynyl, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, C_1 - C_6 alkoxy- C_1 - C_6 alkyl, C_1 - C_6 alkylthio- C_1 - C_6 alkyl, C_3 - C_6 alkenyl- C_1 - C_6 alkyl, C_3 - C_6 haloalkenyl- C_1 - C_6 alkyl, C_3 - C_6 alkynyl- C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkylthio, C_1 - C_6 alkylsulfinyl, C_1 - C_6 haloalkylsulfinyl, C_1 - C_6 alkylsulfonyl, C_1 - C_6 haloalkylsulfonyl, benzyloxy, phenoxy, benzyl and phenyl, where benzyloxy, phenoxy, benzyl and phenyl for their part may be mono- to polysubstituted on the phenyl ring by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl and C_1 - C_6 alkoxy; or

ae2) by substituents independently selected from the group consisting of

carboxy, $-\text{C}(=\text{O})-\text{Cl}$, $-\text{C}(=\text{O})-\text{F}$, C_2 - C_7 alkoxycarbonyl, C_2 - C_7 alkylthiocarbonyl, C_2 - C_7 haloalkoxycarbonyl, C_3 - C_7 alkenyl- C_1 - C_6 alkoxy, C_3 - C_7 haloalkenyl- C_1 - C_6 alkoxy, C_3 - C_7 alkynyl- C_1 - C_6 alkoxy, benzyloxycarbonyl and phenoxycarbonyl, where benzyloxycarbonyl and phenoxycarbonyl for their part may be mono- to polysubstituted on the phenyl ring by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl and C_1 - C_6 alkoxy; or

ae3) by substituents independently selected from the group consisting of

formyl, C_2 - C_7 alkylcarbonyl, C_2 - C_7 haloalkylcarbonyl, C_3 - C_7 alkenylcarbonyl, phenylcarbonyl and benzylcarbonyl, where phenylcarbonyl and benzylcarbonyl for their part may be mono- to polysubstituted on the phenyl ring by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl and C_1 - C_6 alkoxy; or

ae4) by substituents independently selected from the group consisting of aminosulfonyl, C_1 - C_6 alkylaminosulfonyl, N,N -di(C_1 - C_6 alkyl)aminosulfonyl, $-\text{C}(=\text{O})\text{NR}_{57}\text{R}_{58}$, $-\text{C}(=\text{S})\text{NR}_{57}\text{R}_{58}$ and $-\text{NR}_{57}\text{R}_{58}$, wherein R_{57} and R_{58} , independently of each other, are hydrogen, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_6 alkenyl, C_3 - C_6 haloalkenyl, C_3 - C_6 alkynyl, C_3 - C_8 cycloalkyl, C_3 - C_8 halocycloalkyl, phenyl or benzyl, where phenyl, benzyl for their part may be mono- to polysubstituted on the phenyl ring by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl and C_1 - C_6 alkoxy, or R_{57} and R_{58} together with their interconnecting nitrogen atom are aziridino, azetidino, pyrazolidino, pyrrolidino, pyrrolino, imidazolidino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino, thiomorpholino, each of which, in turn, may be mono- or polysubstituted by substituents selected from the group consisting of methyl, halogen, cyano and nitro; and substituents at nitrogen atoms in the ring systems being other than halogen; or

af) either R_1 or R_2 is

af1) hydroxy, amino, C_1 - C_6 alkoxy, C_3 - C_6 alkenyl- C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl- C_1 - C_6 alkoxy or benzyloxy; or

af2) C_1 - C_6 alkoxy, C_3 - C_6 alkenyl- C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl- C_1 - C_6 alkoxy, C_3 - C_6 alkynyl- C_1 - C_6 alkoxy, benzyloxy mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy and C_1 - C_6 haloalkoxy; or

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ag) R_1 and R_2 , independently from each other, are C_1 - C_7 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_2 - C_7 alkylcarbonyl, C_3 - C_7 alkenylcarbonyl, C_4 - C_9 cycloalkylcarbonyl, C_1 - C_6 alkoxy- C_1 - C_6 alkyl, C_1 - C_6 alkylthio- C_1 - C_6 alkyl, C_3 - C_6 alkenyloxy- C_1 - C_6 alkyl, C_2 - C_6 alkylcarbonyl- C_1 - C_6 alkyl, C_3 - C_6 alkynyloxy- C_1 - C_6 alkyl, benzyloxy- C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl- C_1 - C_6 alkyl, C_2 - C_7 alkyloxycarbonyl, C_4 - C_7 alkenyloxycarbonyl, C_4 - C_7 alkynyloxycarbonyl or C_4 - C_9 cycloalkyloxycarbonyl, mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, hydroxy, mercapto, azido, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, C_1 - C_6 alkylthio, C_1 - C_6 alkylsulfinyl, C_1 - C_6 alkylsulfonyl, C_2 - C_7 alkoxycarbonyl, formyl, C_2 - C_7 alkylcarbonyl, $-\text{Si}(\text{R}_{51})(\text{R}_{52})(\text{R}_{53})$ and $-\text{Si}(\text{OR}_{54})(\text{OR}_{55})(\text{OR}_{56})$; or

ah) R_1 and R_2 , independently from each other, are the group A;

wherein A is a three- to ten-membered monocyclic or fused bicyclic ring system which can be aromatic, partially saturated or fully saturated and can contain 1 to 4 hetero atoms selected from the group consisting of nitrogen, oxygen and sulfur, it not being possible for each ring system to contain more than 2 oxygen atoms and more than 2 sulfur atoms, and it being possible for the three- to ten-membered ring system itself to be mono- or polysubstituted

A1) by substituents independently selected from the group consisting of halogen, cyano, nitro, hydroxy, mercapto, nitro, azido, formyl, carboxy, $-\text{C}(=\text{O})-\text{Cl}$, $=\text{O}$, $=\text{S}$, $-\text{C}(=\text{O})-\text{F}$, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_8 cycloalkyl, C_5 - C_8 cycloalkenyl, C_5 - C_8 cycloalkynyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_8 halocycloalkyl, C_5 - C_8 halocycloalkenyl, C_5 - C_8 halocycloalkynyl, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, C_3 - C_6 alkenyloxy, C_3 - C_6 haloalkenyloxy, C_3 - C_6 alkynyloxy, C_3 - C_8 cycloalkyloxy, C_3 - C_8 halocycloalkyloxy, C_3 - C_8 cycloalkenyloxy, C_3 - C_8 halocycloalkenyloxy, benzyloxy and phenoxy, where benzyloxy and phenoxy, in turn, may be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, hydroxy, mercapto, azido, amino, $-\text{SF}_5$, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, C_1 - C_6 alkoxy- C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 alkylsulfinyl and C_1 - C_6 alkylsulfonyl; or

A2) by substituents independently selected from the group consisting of $\text{HC}(=\text{NOR}_{59})-$, $(\text{C}_1\text{-C}_6\text{alkyl})\text{C}(=\text{NOR}_{59})-$, $(\text{C}_1\text{-C}_6\text{haloalkyl})\text{C}(=\text{NOR}_{59})-$, $(\text{C}_1\text{-C}_6\text{alkyl})\text{C}(=\text{NOR}_{59})\text{C}_1\text{-C}_6\text{alkyl}$ - and $(\text{C}_1\text{-C}_6\text{haloalkyl})\text{C}(=\text{NOR}_{59})\text{C}_1\text{-C}_6\text{alkyl}$ -, wherein R_{59} is hydrogen, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_6 alkenyl, C_3 - C_6 haloalkenyl, C_3 - C_6 alkynyl, C_3 - C_8 cycloalkyl, C_3 - C_8 halocycloalkyl, benzyl and phenyl, and benzyl and phenyl mono- to polysubstituted by halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl or C_1 - C_6 alkoxy; or

A3) by substituents independently selected from the group consisting of C_1 - C_6 alkylthio, C_1 - C_6 haloalkylthio, C_1 - C_6 alkylsulfinyl, C_1 - C_6 alkylsulfonyl, $(\text{R}_{14})\text{S}(=\text{O})(=\text{NR}_{13})-$ and $(\text{R}_{14})(\text{R}_{15})\text{S}(=\text{O})=\text{N}-$, wherein R_{13} is hydrogen, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_6 alkenyl, C_3 - C_6 haloalkenyl, C_3 - C_6 alkynyl, C_3 - C_8 cycloalkyl, C_3 - C_8 halocycloalkyl, phenyl or benzyl, or is phenyl or benzyl mono- to polysubstituted by halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl or C_1 - C_6 alkoxy, and R_{14} and R_{15} , independently of each other, are C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, C_1 - C_6 haloalkyl, C_3 - C_8 halocycloalkyl,

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C_2 - C_6 alkenyl, C_2 - C_6 haloalkenyl, C_2 - C_6 alkynyl, benzyl or phenyl, or benzyl or phenyl independently of each other, substituted by substituents selected from the group consisting of halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl and C_1 - C_6 alkoxy; or

A4) by substituents independently selected from the group consisting of $-\text{NR}_{57}\text{R}_{58}$, $-\text{C}(=\text{O})\text{NR}_{57}\text{R}_{58}$ and $-\text{C}(=\text{S})\text{NR}_{57}\text{R}_{58}$; or

A5) by substituents independently selected from the group consisting of formyl, C_2 - C_7 alkylcarbonyl, C_2 - C_7 haloalkylcarbonyl, C_3 - C_7 alkenylcarbonyl, C_3 - C_7 haloalkenylcarbonyl, C_4 - C_9 cycloalkylcarbonyl, C_4 - C_9 halocycloalkylcarbonyl, C_2 - C_7 alkoxycarbonyl, C_2 - C_7 haloalkoxycarbonyl, C_3 - C_7 alkenyloxycarbonyl, C_3 - C_7 alkynyloxycarbonyl, C_4 - C_9 cycloalkoxycarbonyl, C_2 - C_7 alkylthiocarbonyl and benzyloxycarbonyl, and benzyloxycarbonyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl and C_1 - C_6 alkoxy; or

A6) by substituents independently selected from the group consisting of $-\text{Si}(\text{R}_{51})(\text{R}_{52})(\text{R}_{53})$ and $-\text{Si}(\text{OR}_{54})(\text{OR}_{55})(\text{OR}_{56})$; or

A7) by substituents independently selected from the group consisting of aminosulfinyl, $(\text{C}_1\text{-C}_6\text{alkyl})\text{aminosulfonyl}$, $\text{N,N-di}(\text{C}_1\text{-C}_6\text{alkyl})\text{aminosulfonyl}$, $\text{di}(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$, $(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$, phenyl, phenoxy, benzyl and benzyloxy, where phenyl, phenoxy, benzyl and benzyloxy for their part may be mono- to polysubstituted on the phenyl ring by substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, azido, mercapto, formyl, $-\text{SF}_5$, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 haloalkenyl, C_2 - C_6 alkynyl, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, C_1 - C_6 alkylthio, C_1 - C_6 haloalkylthio, C_3 - C_6 alkenylthio, C_3 - C_6 haloalkenylthio, C_3 - C_6 alkynylthio, C_1 - C_3 alkoxy- C_1 - C_3 alkylthio, C_2 - C_6 alkylcarbonyl- C_1 - C_3 alkylthio, C_2 - C_6 alkoxycarbonyl- C_1 - C_3 alkylthio, cyano- C_1 - C_6 alkylthio, C_1 - C_6 alkylsulfinyl, C_1 - C_6 haloalkylsulfinyl, C_1 - C_6 alkylsulfonyl, C_1 - C_6 haloalkylsulfonyl, aminosulfonyl, $(\text{C}_1\text{-C}_6\text{alkyl})\text{aminosulfonyl}$, $\text{N,N-di}(\text{C}_1\text{-C}_6\text{alkyl})\text{aminosulfonyl}$, $\text{di}(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$ and $(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$; or

ai) R_1 and R_2 , independently from each other, are $-\text{C}(=\text{O})\text{NR}_{57}\text{R}_{58}$; or

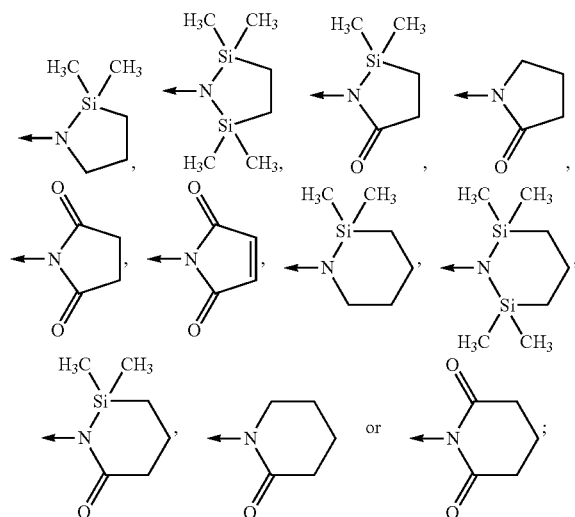
aj) R_1 and R_2 together form a C_2 - C_6 alkylene bridge which may be mono- to polysubstituted by halogen, cyano, C_1 - C_6 alkyl or C_1 - C_6 haloalkyl groups; or

ak) R_1 and R_2 together with their interconnecting nitrogen atom are pyrazolino, pyrazolidino, pyrrolino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, morpholino, thiomorpholino, each of which, independently of each other, may be mono- to polysubstituted by methyl groups, halogen, cyano and nitro; or

al) the fragment



can be



wherein each of the meanings of said fragment can be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy;

ba) R_3 , R_4 and R_7 , independently from each other, are

ba1) hydrogen, halogen, cyano, nitro, mercapto, hydroxy, azido, —SF₅, —NR₆₄R₆₅, wherein R₆₄ and R₆₅, independently of each other, are hydrogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl, C₃-C₆haloalkenyl, C₃-C₆alkynyl, C₃-C₆cycloalkyl, C₃-C₈halocycloalkyl, phenyl or benzyl, where phenyl, benzyl for their part may be mono- to polysubstituted on the phenyl ring by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy, or R₆₄ and R₆₅ together with their interconnecting nitrogen atom are aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino, thiomorpholino, each of which, in turn, may be mono- or polysubstituted by substituents selected from the group consisting of methyl, halogen, cyano and nitro; and substituents at nitrogen atoms in the ring systems being other than halogen; or R₃, R₄ and R₇, independently from each other, are —C(=S)NH₂, —N=C=O, —N=C=S, amino, (R₅₁)(R₅₂)(R₅₃)Si—, (R₅₁)(R₅₂)(R₅₃)Si—(C₁-C₆alkyl)-, (R₅₁)(R₅₂)(R₅₃)Si—(C₂-C₆alkenyl)-, (OR₅₄)(OR₅₅)(OR₅₆)Si— or (OR₂₁₄)(OR₂₁₅)(OR₂₁₆)Si—(C₁-C₆alkyl)-; wherein R₂₁₄, R₂₁₅ and R₂₁₆ independently of each other, are halogen, cyano, C₁-C₆alkyl, C₂-C₆alkenyl, C₃-C₈cycloalkyl, C₅-C₈cycloalkenyl, C₂-C₆alkynyl, benzyl or phenyl; or R₃, R₄ and R₇, independently from each other, are

ba2) C₁-C₆alkylthio, C₁-C₆alkylsulfanyl, C₁-C₆alkylsulfonyl, C₁-C₆haloalkylthio, C₁-C₆haloalkylsulfanyl, C₁-C₆haloalkylsulfonyl, amino- C₁-C₆alkyl, aminosulfonyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆haloalkenyloxy, C₃-C₆alkinyloxy, (C₁-C₆alkyl)aminosulfonyl, di(C₁-C₆alkyl)aminosulfonyl, C₁-C₆alkoxy, C₂-C₆alkenyloxy, C₂-C₆alkynyloxy, C₁-C₆alkyl-S(=O)(R₁₄)=N-, (R₁₄)S(=O)(=N-R₁₃)-, (R₁₄)(R₁₅)S(=O)=N-, -S-C₃-C₆-alkenyl, -S-C₃-C₆-alkynyl, -S-C₃-C₈-cycloalkyl, S-benzyl, or -S-C₃-C₆-alkenyl, -S-C₃-C₆-alkynyl, -S-C₃-C₈-cycloalkyl or S-benzyl; all of which can be mono- to polysubstituted by substituents selected

from the group consisting of halogen, cyano, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy; or R₃, R₄ and R₇, independently from each other, are

ba3) C₁-C₆alkyl, C₂-C₆alkenyl or C₂-C₆alkynyl, or C₁-C₆alkyl, C₂-C₆alkenyl or C₂-C₆alkynyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, hydroxy, mercapto, cyano, nitro, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆hydroxyalkyl, tri(alkyl)silyl, C₁-C₆haloalkoxy, C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₁-C₆alkylsulfinyl, C₁-C₆haloalkylsulfinyl, C₁-C₆alkylsulfonyl and C₁-C₆haloalkylsulfonyl; or R₃, R₄ and R₇, independently from each other, are

ba4) formyl, C₂-C₇alkoxycarbonyl,
C₂-C₇haloalkoxycarbonyl, C₃-C₇alkenyloxycarbonyl,
C₃-C₇haloalkenyloxycarbonyl, C₂-C₇alkylcarbonyl, car-
boxy, —C(=O)—Cl, —C(=O)—F,
C₂-C₇haloalkylcarbonyl, C₃-C₇alkenylcarbonyl or
C₃-C₇haloalkenylcarbonyl; or R₃, R₄ and R₇, indepen-
dently from each other, are

ba5) phenyl, phenoxy, benzyl or benzyloxy, or phenoxy, benzyl or benzyloxy mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, hydroxy, mercapto, azido, amino, —SF₅, C₁–C₆alkyl, C₁–C₆haloalkyl, C₁–C₆alkoxy, C₁–C₆haloalkoxy, C₁–C₆alkylthio, C₁–C₆alkylsulfinyl and C₁–C₆alkylsulfonyl; or

bb) R₃, R₄ and R₇, independently of each other, are the groups A-, A-O- or A-(C₁-C₆alkyl)-, wherein the group A is as defined above under ah);

ca) R₅ is hydrogen, C₁-C₁₃alkyl, C₂-C₁₂alkenyl, C₂-C₁₂alkynyl, C₁-C₁₂alkylsulfonyl, C₂-C₁₂alkenylsulfonyl, phenylsulfonyl or benzylsulfonyl, or is C₁-C₁₂alkyl, C₂-C₁₂alkenyl, C₂-C₁₂alkynyl, C₁-C₁₂alkylsulfonyl, C₂-C₁₂alkenylsulfonyl, phenylsulfonyl or benzylsulfonyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, hydroxy, mercapto, azido, formyl, C₂-C₇alkylcarbonyl, C₂-C₇haloalkylcarbonyl, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₁-C₆alkylthio, C₁-C₆alkylsulfanyl and C₁-C₆alkylsulfonyl; or

cb1) R₅ is formyl, C₂-C₁₂alkylcarbonyl, C₃-C₁₂alkenylcarbonyl, C₃-C₁₂alkynylcarbonyl, C₄-C₁₂cycloalkylcarbonyl, benzylcarbonyl, phenylcarbonyl, C₂-C₁₂alkoxycarbonyl, C₄-C₁₂alkenyloxy carbonyl, C₄-C₁₂alkynyloxy carbonyl, C₄-C₁₂cycloalkoxy carbonyl, benzyloxy carbonyl or phenoxy carbonyl, or is

cb2) C₂-C₁₂alkylcarbonyl, C₃-C₁₂alkenylcarbonyl, C₃-C₁₂alkynylcarbonyl, C₄-C₁₂cycloalkylcarbonyl, benzylcarbonyl, phenylcarbonyl, C₂-C₁₂alkoxycarbonyl, C₄-C₁₂alkenylloxycarbonyl, C₄-C₁₂alkynylloxycarbonyl, C₄-C₁₂cycloalkoxycarbonyl, benzylloxycarbonyl or phenoxycarbonyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy; or

cc) R_5 is $(R_{51})(R_{52})(R_{53})Si-$, $(R_{51})(R_{52})(R_{53})Si-(C_{1-12}alkyl)-$, $(R_{51})(R_{52})(R_{53})Si-(C_3-C_8cycloalkyl)-$, $(R_{54}O)(R_{55}O)(R_{56}O)Si-$, $(R_{54}O)(R_{55}O)(R_{56}O)Si-(C_{1-12}alkyl)-$ or $(R_{54}O)(R_{55}O)(R_{56}O)Si-(C_3-C_8cycloalkyl)-$; or

cd) R₅ is C₁-C₆alkyl-B—C₁-C₁₂alkyl-, C₂-C₆alkenyl-B—C₁-C₁₂alkyl-, C₂-C₆alkynyl-B—C₁-C₁₂alkyl-, C₃-C₈cycloalkyl-B—C₁-C₁₂alkyl-, benzyl-B—C₁-C₁₂alkyl-, phenyl-B—C₁-C₁₂alkyl-, C₁-C₆alkyl-B—C₂-C₁₂alkenyl-, C₂-C₆alkenyl-B—C₃-C₁₂alkenyl-,

C₂-C₆alkynyl-B—C₂-C₁₂alkenyl-, C₃-C₈Cycloalkyl-B—C₂-C₁₂alkenyl-, benzyl-B—C₂-C₁₂alkenyl-, phenyl-B—C₂-C₁₂alkenyl-, C₁-C₆alkyl-B—C₂-C₁₂alkynyl-, C₂-C₆alkenyl-B—C₂-C₁₂alkynyl-, C₂-C₆alkynyl-B—C₂-C₁₂alkynyl-, benzyl-B—C₂-C₁₂alkynyl-, phenyl-B—C₂-C₁₂alkynyl-, C₁-C₆alkyl-B—C₃-C₈cycloalkyl-, C₂-C₆alkenyl-B—C₃-C₈cycloalkyl-, C₂-C₆alkynyl-B—C₃-C₈cycloalkyl-, C₃-C₈cycloalkyl-B—C₃-C₈cycloalkyl-, benzyl-B—C₃-C₁₂cycloalkyl- or phenyl-B—C₃-C₁₂cycloalkyl-, wherein the group B is —C(=O)—, —C(=S)—, —C(=NOR₅₉)—, —C(R₆₀)=NO—, —ON=C(R₆₀)—, —O—C(=O)—, —C(=O)—O—, —O—, —S—, —S(=O)—, —S(=O)₂—, —S(=O)(=NR₁₃)—, —S(=O)(R₁₄)=N—, —N=S(=O)(R₁₄)—, —N(R₆₂)—C(=O)—, —C(=O)—N(R₆₂)—, —N(R₆₂)—SO₂— or —SO₂—N(R₆₂)—;

cd1) wherein R₆₀ is hydrogen, C₁-C₆alkyl, C₃-C₈cycloalkyl, C₁-C₆haloalkyl, C₃-C₈halocycloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, benzyl or phenyl, or benzyl or phenyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy, and

cd2) R₆₂ is hydrogen, C₁-C₆alkyl, C₃-C₈cycloalkyl, C₁-C₆haloalkyl, C₃-C₈halocycloalkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, benzyl or phenyl, or benzyl or phenyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy; or

ce) R₅ is C₁-C₆alkyl-B—C₁-C₁₂alkyl-, C₂-C₆alkenyl-B—C₁-C₁₂alkyl-, C₂-C₆alkynyl-B—C₁-C₁₂alkyl-, C₃-C₈cycloalkyl-B—C₁-C₁₂alkyl-, benzyl-B—C₁-C₁₂alkyl-, phenyl-B—C₁-C₁₂alkyl-, C₁-C₆alkyl-B—C₂-C₁₂alkenyl-, C₂-C₆alkenyl-B—C₂-C₁₂alkenyl-, C₃-C₈cycloalkyl-B—C₂-C₁₂alkenyl-, benzyl-B—C₂-C₁₂alkenyl-, phenyl-B—C₂-C₁₂alkenyl-, C₁-C₆alkyl-B—C₂-C₁₂alkynyl-, C₂-C₆alkenyl-B—C₂-C₁₂alkynyl-, C₂-C₆alkynyl-B—C₂-C₁₂alkynyl-, C₃-C₈cycloalkyl-B—C₂-C₁₂alkynyl-, benzyl-B—C₂-C₁₂alkynyl-, phenyl-B—C₂-C₁₂alkynyl-, C₁-C₆alkyl-B—C₃-C₈cycloalkyl-, C₂-C₆alkenyl-B—C₃-C₈cycloalkyl-, C₂-C₆alkynyl-B—C₃-C₈cycloalkyl-, C₃-C₈cycloalkyl-B—C₃-C₈cycloalkyl-, benzyl-B—C₃-C₁₂cycloalkyl-, phenyl-B—C₃-C₁₂cycloalkyl-, all of which, in turn, are substituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, mercapto, C₁-C₆haloalkyl, C₁-C₆alkoxy, formyl, C₂-C₆alkylcarbonyl, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl and C₁-C₆alkylsulfonyl; or

cf) R₅ is A-, A-(C₁-C₆alkyl)-, A-O-(C₁-C₆alkyl)-, A-(C₂-C₆alkenyl)-, A-O-(C₂-C₆alkenyl)-, A-(C₂-C₆alkynyl)-, A-O-(C₂-C₆alkynyl)-, A-(C₃-C₈cycloalkyl)- or A-O-(C₃-C₈cycloalkyl)-; wherein the group A is as defined above under ah); or

cg) R₅ signifies the group —N=C(R₈)R₉;

cg1) wherein R₈ and R₉, independently from each other, are hydrogen, halogen, cyano, C₁-C₁₂alkyl, C₂-C₁₂alkenyl, C₂-C₁₂alkynyl, C₁-C₁₂alkoxy, formyl, C₂-C₁₂alkylcarbonyl, C₃-C₁₂alkenylcarbonyl, carboxy, C₂-C₁₂alkoxycarbonyl or C₄-C₁₂alkenyloxycarbonyl, or C₁-C₁₂alkyl, C₂-C₁₂alkenyl, C₂-C₁₂alkynyl, C₁-C₁₂alkoxy, C₂-C₁₂alkylcarbonyl, C₃-C₁₂alkenylcarbonyl, C₂-C₁₂alkoxycarbonyl or C₄-C₁₂alkenyloxycarbonyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, hydroxy, mercapto,

C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl and C₁-C₆alkylsulfonyl; or

cg2) R₈ and R₉ together form a C₂-C₈alkylene bridge which may optionally be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, C₁-C₆alkyl and C₁-C₆haloalkyl; or

cg3) R₈ and R₉, independently from each other, are the groups A-, A-O- or A-(C₁-C₆alkyl)-; wherein the group A is as defined above under ah);

d) R₆ is hydrogen, halogen, cyano, formyl, C₁-C₆alkyl, C₁-C₆haloalkyl, —SH, —S—C₁-C₆alkyl, —S—C₁-C₆haloalkyl, —S—C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl or C₂-C₆alkynyl; and agronomically acceptable salts/metallic complexes/metalloidal complexes/isomers/structural isomers/stereo-isomers/diastereoisomers/enantiomers/tautomers/N-oxides of those compounds.

Substituents at a nitrogen atom are always different from halogen. A hydroxy, mercapto or amino substituent is not to be placed on an α -carbon relative to a heteroatom of a core fragment.

The alkyl groups occurring in the definitions of the substituents can be straight-chain or branched and are, for example, methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, tert-butyl, pentyl, hexyl, heptyl and octyl and their branched isomers. Alkoxy, alkenyl and alkynyl radicals are derived from the alkyl radicals mentioned. The alkenyl and alkynyl groups can be mono- or polyunsaturated.

The cycloalkyl groups occurring in the definitions of the substituents are, for example, cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

Halogen is generally fluorine, chlorine, bromine or iodine, preferably fluorine, bromine or chlorine. This also applies, correspondingly, to halogen in combination with other meanings, such as haloalkyl or haloalkoxy.

Haloalkyl groups preferably have a chain length of from 1 to 4 carbon atoms. Halonalkyl is, for example, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2-chloroethyl, pentafluoroethyl, 1,1-difluoro-2,2,2-trichloroethyl, 2,2,3,3-tetrafluoroethyl and 2,2,2-trichloroethyl; preferably trichloromethyl, difluorochloromethyl, difluoromethyl, trifluoromethyl and dichlorofluoromethyl.

Suitable haloalkenyl groups are alkenyl groups which are mono- di- or trisubstituted by halogen, halogen being fluorine, chlorine, bromine and iodine and in particular fluorine and chlorine, for example 2,2-difluoro-1-methylvinyl, 3-fluoropropenyl, 3-chloropropenyl, 3-bromopropenyl, 2,3,3-trifluoropropenyl, 2,3,3-trichloropropenyl and 4,4,4-trifluorobut-2-en-1-yl.

Suitable haloalkynyl groups are, for example, alkynyl groups which are mono- or polysubstituted by halogen, halogen being bromine, iodine and in particular fluorine and chlorine, for example 3-fluoropropynyl, 3-chloropropynyl, 3-bromopropynyl, 3,3,3-trifluoro-propynyl and 4,4,4-trifluorobut-2-yn-1-yl.

Alkoxy is, for example, methoxy, ethoxy, propoxy, i-propoxy, n-butoxy, isobutoxy, sec-butoxy and tert-butoxy; preferably methoxy and ethoxy. Halogenalkoxy is, for example, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2,2-difluoroethoxy and 2,2,2-trichloroethoxy; preferably difluoromethoxy, 2-chloroethoxy and trifluoromethoxy.

Alkoxycarbonyl is, for example, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl,

n-butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl or tert-butoxycarbonyl; preferably methoxycarbonyl or ethoxycarbonyl. Haloalkoxy groups preferably have a chain length of from 1 to 6 carbon atoms. Haloalkoxy is, for example, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2,2-difluoroethoxy and 2,2,2-trichloroethoxy; preferably difluoromethoxy, 2-chloroethoxy and trifluoromethoxy. Alkylthio groups preferably have a chain length of from 1 to 6 carbon atoms.

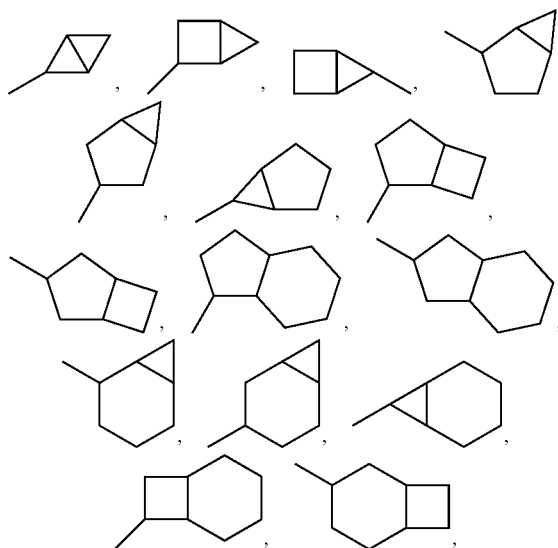
Alkoxyalkyl is, for example, methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl, n-propoxymethyl, n-propoxyethyl, isopropoxymethyl or isopropoxyethyl.

Alkylthio is, for example, methylthio, ethylthio, propylthio, isopropylthio, n-butylthio, isobutylthio, sec-butylthio or tert-butylthio, preferably methylthio and ethylthio. Alkylsulfinyl is, for example, methylsulfinyl, ethylsulfinyl, propylsulfinyl, isopropylsulfinyl, n-butylsulfinyl, isobutylsulfinyl, sec-butylsulfinyl, tert-butylsulfinyl; preferably methylsulfinyl and ethylsulfinyl. Alkylsulfonyl is, for example, methylsulfonyl, ethylsulfonyl, propylsulfonyl, isopropylsulfonyl, n-butylsulfonyl, isobutylsulfonyl, sec-butylsulfonyl or tert-butylsulfonyl; preferably methylsulfonyl or ethylsulfonyl.

C₂-C₆alkylcarbonyl is, for example, methylcarbonyl, ethylcarbonyl, propylcarbonyl, isopropylcarbonyl, n-butylcarbonyl, isobutylcarbonyl, sec-butylcarbonyl, tert-butylcarbonyl or n-pentylcarbonyl and their branched isomers, preferably methylcarbonyl and ethylcarbonyl. Haloalkylcarbonyl radicals are derived from the alkyl radicals mentioned.

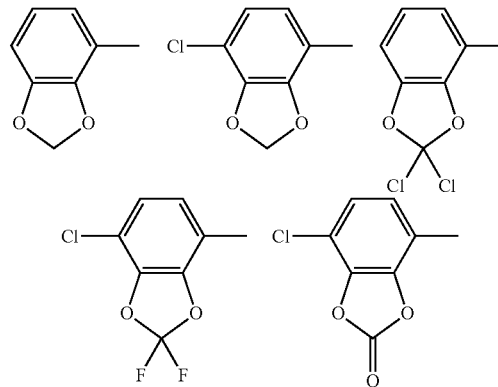
In the context of the present invention "mono- to polysubstituted" in the definition of the substituents, means typically, depending on the chemical structure of the substituents, monosubstituted to seven-times substituted, preferably monosubstituted to five-times substituted, more preferably mono-, double- or triple-substituted.

According to the present invention, a three- to ten-membered monocyclic or fused bicyclic ring system which may be aromatic, partially saturated or fully saturated is, depending of the number of ring members, for example, selected from the group consisting of



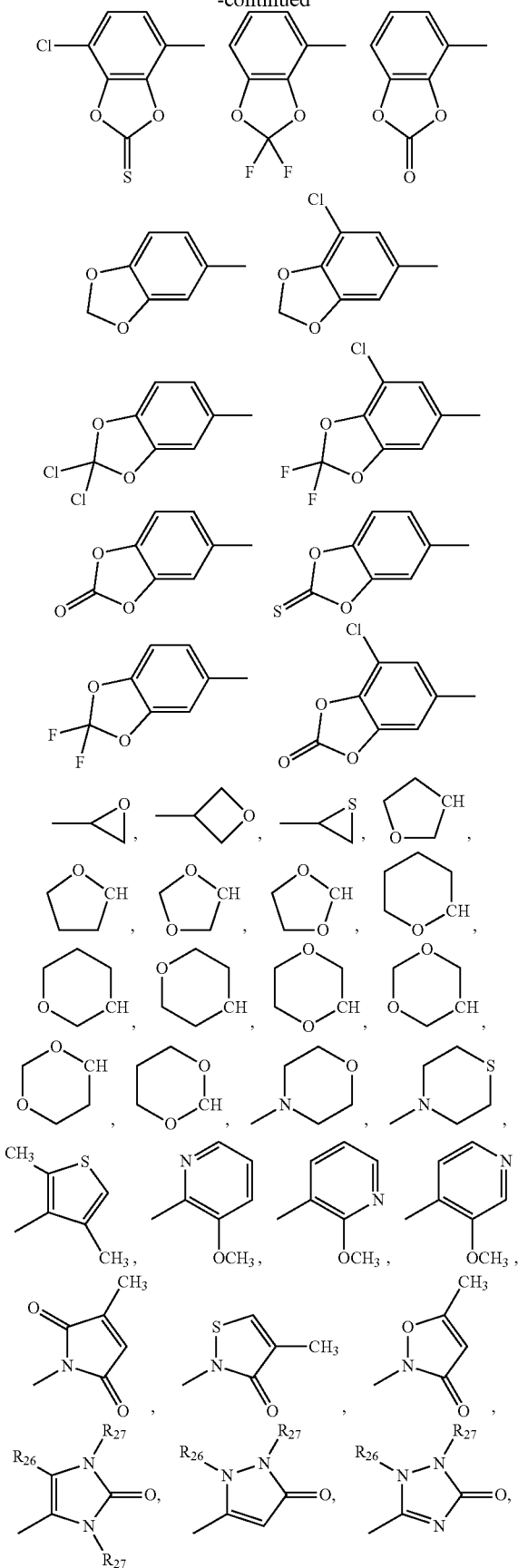
cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, where said cycloalkyl groups for their part may be preferably unsubsti-

tuted or substituted by C₁-C₆alkyl or halogen, or is phenyl, benzyl, naphthyl or the following heterocyclic groups: pyrrolyl; pyridyl; pyrazolyl; pyrimidyl; pyrazinyl; imidazolyl; thiazolyl; quinazolyl; furyl; oxadiazolyl; indolizyl; pyranyl; isobenzofuranyl; thienyl; naphthyridinyl; (1-methyl-1H-pyrazol-3-yl)-; (1-ethyl-1H-pyrazol-3-yl)-; (1-propyl-1H-pyrazol-3-yl)-; (1H-pyrazol-3-yl)-; (1,5-dimethyl-1H-pyrazol-3-yl)-; (4-chloro-1-methyl-1H-pyrazol-3-yl)-; (1H-pyrazol-1-yl)-; (3-methyl-1H-pyrazol-1-yl)-; (3,5-dimethyl-1H-pyrazol-1-yl)-; (3-isoxazolyl)-; (5-methyl-3-isoxazolyl)-; (3-methyl-5-isoxazolyl)-; (5-isoxazolyl)-; (1H-pyrrol-2-yl)-; (1-methyl-1H-pyrrol-2-yl)-; (1H-pyrrol-1-yl)-; (1-methyl-1H-pyrrol-3-yl)-; (2-furanyl)-; (5-methyl-2-furanyl)-; (3-furanyl)-; (5-methyl-2-thienyl)-; (2-thienyl)-; (3-thienyl)-; (1-methyl-1H-imidazol-2-yl)-; (1H-imidazol-2-yl)-; (1-methyl-1H-imidazol-4-yl)-; (1-methyl-1H-imidazol-5-yl)-; (4-methyl-2-oxazolyl)-; (5-methyl-2-oxazolyl)-; (2-oxazolyl)-; (2-methyl-5-oxazolyl)-; (2-methyl-4-oxazolyl)-; (4-methyl-2-thiazolyl)-; (5-methyl-2-thiazolyl)-; (2-thiazolyl)-; (2-methyl-5-thiazolyl)-; (2-methyl-4-thiazolyl)-; (3-methyl-4-isothiazolyl)-; (3-methyl-5-isothiazolyl)-; (5-methyl-3-isothiazolyl)-; (1-methyl-1H-1,2,3-triazol-4-yl)-; (2-methyl-2H-1,2,3-triazol-4-yl)-; (4-methyl-2H-1,2,3-triazol-2-yl)-; (1-methyl-1H-1,2,4-triazol-3-yl)-; (1,5-dimethyl-1H-1,2,4-triazol-3-yl)-; (3-methyl-1H-1,2,4-triazol-1-yl)-; (5-methyl-1H-1,2,4-triazol-1-yl)-; (4,5-dimethyl-4H-1,2,4-triazol-3-yl)-; (4-methyl-4H-1,2,4-triazol-3-yl)-; (4H-1,2,4-triazol-4-yl)-; (5-methyl-1,2,3-oxadiazol-4-yl)-; (1,2,3-oxadiazol-4-yl)-; (3-methyl-1,2,4-oxadiazol-5-yl)-; (5-methyl-1,2,4-oxadiazol-3-yl)-; (4-methyl-3-furazanyl)-; (3-furazanyl)-; (5-methyl-1,2,4-oxadiazol-2-yl)-; (5-methyl-1,2,3-thiadiazol-4-yl)-; (1,2,3-thiadiazol-4-yl)-; (3-methyl-1,2,4-thiadiazol-5-yl)-; (5-methyl-1,2,4-thiadiazol-3-yl)-; (4-methyl-1,2,5-thiadiazol-3-yl)-; (5-methyl-1,3,4-thiadiazol-2-yl)-; (1-methyl-1H-tetrazol-5-yl)-; (1H-tetrazol-5-yl)-; (5-methyl-1H-tetrazol-1-yl)-; (2-methyl-2H-tetrazol-5-yl)-; (2-ethyl-2H-tetrazol-5-yl)-; (5-methyl-2H-tetrazol-2-yl)-; (2H-tetrazol-2-yl)-; (2-pyridyl)-; (6-methyl-2-pyridyl)-; (4-pyridyl)-; (3-pyridyl)-; (6-methyl-3-pyridazinyl)-; (5-methyl-3-pyridazinyl)-; (3-pyridazinyl)-; (4,6-dimethyl-2-pyrimidinyl)-; (4-methyl-2-pyrimidinyl)-; (2-pyrimidinyl)-; (2-methyl-4-pyrimidinyl)-; (2-chloro-4-pyrimidinyl)-; (2,6-dimethyl-4-pyrimidinyl)-; (4-pyrimidinyl)-; (2-methyl-5-pyrimidinyl)-; (6-methyl-2-pyrazinyl)-; (2-pyrazinyl)-; (4,6-dimethyl-1,3,5-triazin-2-yl)-; (4,6-dichloro-1,3,5-triazin-2-yl)-; (1,3,5-triazin-2-yl)-; (4-methyl-1,3,5-triazin-2-yl)-; (3-methyl-1,2,4-triazin-5-yl)-; (3-methyl-1,2,4-triazin-6-yl)-;



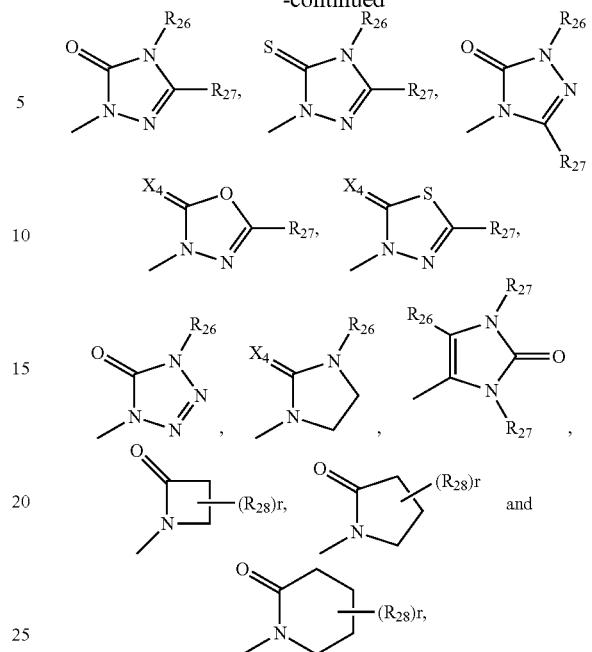
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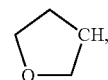
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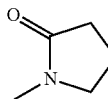


wherein each R_{26} is methyl, each R_{27} and each R_{28} are independently hydrogen, C_1 - C_3 alkyl, C_1 - C_3 alkoxy, C_1 - C_3 alkylthio or trifluoromethyl, X_4 is oxygen or sulfur and $r=1, 2, 3$ or 4 .

Where no free valency is indicated in those definitions, for example as in



the linkage site is located at the carbon atom labelled "CH" or in a case such as, for example,



at the bonding site indicated at the bottom left.

Preferred compounds are those, wherein

ba) R_3 , R_4 and R_7 , independently from each other, are

ba1) hydrogen, halogen, cyano, nitro, mercapto, hydroxy, azido, $-\text{SF}_5$, $-\text{N}=\text{C}=\text{O}$, $-\text{N}=\text{C}=\text{S}$, amino, $(R_{51})(R_{52})(R_{53})\text{Si}-$, $(R_{51})(R_{52})(R_{53})\text{Si}-(C_1-C_6\text{alkyl})-$, $(R_{51})(R_{52})(R_{53})\text{Si}-(C_2-C_6\text{alkenyl})-$, $(OR_{54})(OR_{55})(OR_{56})\text{Si}-$ or $(OR_{214})(OR_{215})(OR_{216})\text{Si}-(C_1-C_6\text{alkyl})-$ wherein R_{214} , R_{215} and R_{216} independently of each other, are halogen, cyano, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_3 - C_8 cycloalkyl, C_5 - C_8 cycloalkenyl, C_2 - C_6 alkynyl, benzyl or phenyl; or R_3 , R_4 and R_7 , independently from each other, are

ba2) C_1 - C_6 alkylthio, C_1 - C_6 alkylsulfanyl, C_1 - C_6 alkylsulfonyl, C_1 - C_6 haloalkylthio, C_1 - C_6 haloalkylsulfanyl, C_1 - C_6 haloalkylsulfonyl, amino-sulfonyl, $(C_1-C_6\text{alkyl})\text{aminosulfonyl}$, $\text{di}(C_1-C_6\text{alkyl})\text{aminosulfonyl}$, C_1 - $C_6\text{alkyl-S}(=\text{O})(R_{14})=\text{N}-$, $(R_{14})\text{S}(=\text{O})$

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(=N—R₁₃)— or (R₁₄)(R₁₅)S(=O)=N—; or R₃, R₄ and R₇, independently from each other, are

ba3) C₁-C₆alkyl, C₂-C₆alkenyl or C₂-C₆alkynyl, or C₁-C₆alkyl, C₂-C₆alkenyl or C₂-C₆alkynyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, hydroxy, mercapto, cyano, nitro, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆hydroxyalkyl, tri(alkyl)silyl, C₁-C₆haloalkoxy, C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₁-C₆alkylsulfanyl, C₁-C₆haloalkylsulfanyl, C₁-C₆alkylsulfonyl and C₁-C₆haloalkylsulfonyl; or R₃, R₄ and R₇, independently from each other, are

ba4) formyl, C₂-C₇alkoxycarbonyl, C₂-C₇haloalkoxycarbonyl, C₃-C₇alkenylloxycarbonyl, C₃-C₇haloalkenylloxycarbonyl, C₂-C₇alkylcarbonyl, carboxy, —C(=O)—Cl, —C(=O)—F, C₂-C₇haloalkylcarbonyl, C₃-C₇alkenylcarbonyl or C₃-C₇haloalkenylcarbonyl; or R₃, R₄ and R₇, independently from each other, are

ba5) phenyl, phenoxy, benzyl or benzyloxy, or phenoxy, benzyl or benzyloxy mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, hydroxy, mercapto, azido, amino, —SF₅, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₁-C₆alkylthio, C₁-C₆alkylsulfanyl and C₁-C₆alkylsulfonyl; or

bb) R₃, R₄ and R₇, independently of each other, are the groups A-, A-O— or A-(C₁-C₆alkyl)-, wherein the group A is as defined above under ah);

d) R₆ is hydrogen, halogen, cyano, formyl, C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl or C₂-C₆alkynyl, and agronomically acceptable salts/metallic complexes/metalloidal complexes/isomers/structural isomers/stereoisomers/diastereoisomers/enantiomers/tautomers/N-oxides of those compounds.

In a preferred group of compounds, R₁ and R₂, independently of each other, are hydrogen, cyano, C₁-C₆alkyl, C₃-C₆cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, benzyl or C₂-C₇alkylcarbonyl, each of which may be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkylthio and C₁-C₆alkoxy; or R₂ together form a C₂-C₆alkylene bridge which may be mono- to polysubstituted by methyl groups; or R₁ and R₂ together with their interconnecting nitrogen atom are pyrazolino, pyrazolidino, pyrrolino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, morpholino, thiomorpholino, each of which, independently of each other, may be mono- to polysubstituted by methyl groups; or

R₁ is hydrogen, cyano, C₁-C₆alkyl, C₃-C₆cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, benzyl or C₂-C₇alkylcarbonyl, each of which may be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkylthio and C₁-C₆alkoxy and R₂ is hydroxy, amino, C₁-C₆alkoxy, C₃-C₆alkenylloxy, C₃-C₈cycloalkyloxy or C₃-C₆alkynylloxy; or

R₂ is hydrogen, cyano, C₁-C₆alkyl, C₃-C₆cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, benzyl or C₂-C₇alkylcarbonyl, each of which may be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkylthio and C₁-C₆alkoxy and R₁ is hydroxy, amino, C₁-C₆alkoxy, C₃-C₆alkenylloxy, C₃-C₈cycloalkyloxy or C₃-C₆alkynylloxy.

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Further compounds of formula I are preferred, wherein R₆ is hydrogen, fluoro, chloro, bromo, cyano, C₁-C₆alkyl, C₁-C₆haloalkyl or CHO;

R₇ is hydrogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, halogen or cyano;

R₄ is hydrogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₃-C₇cycloalkyl, halogen, cyano, hydroxy, C₁-C₆alkoxy, amino, azido, mercapto, C₁-C₆alkylthio, C₁-C₆alkylsulfanyl, C₁-C₆alkylsulfonyl, CHO, C₂-C₇alkylcarbonyl, aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino, thiomorpholino; or aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino, thiomorpholino, each of which, in turn, is mono- or polysubstituted by substituents selected from the group consisting of methyl, halogen; or R₄ is phenyl, or phenyl which is mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy;

R₃ is hydrogen, C₁-C₆-alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, halogen, cyano, azido, nitro, —N=C=O, —N=C=S, —C(=O)NH₂, —C(=S)NH₂, —C(=O)NH(CH₃), —C(=S)NH(CH₃), —C(=O)N(CH₃)₂, —SO₂NH₂, —SO₂NH(CH₃), —SO₂N(CH₃)₂, —C(=S)N(CH₃)₂, —COOH, tri(C₁-C₄alkyl)silyl, tri(C₁-C₄alkoxy)silyl, hydroxy, C₁-C₆alkoxy, amino, azido, mercapto, C₁-C₆alkylamino, C₂-C₁₂dialkylamino, C₃-C₆alkenylamino, C₆-C₁₂dialkenylamino, C₁-C₆alkylC₃-C₆alkenylamino, C₁-C₆alkylthio, C₁-C₆alkylsulfanyl, C₁-C₆alkylsulfonyl, C₁-C₆haloalkylthio, C₁-C₆haloalkylsulfanyl, C₁-C₆haloalkylsulfonyl, C₂-C₇alkylcarbonyl, C₂-C₆alkoxycarbonyl, C₃-C₆alkenylloxycarbonyl, C₃-C₆alkynylloxycarbonyl, phenyl, aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino or thiomorpholino; or R₃ is aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino, thiomorpholino mono- or polysubstituted by substituents independently selected from the group consisting of methyl, halogen and phenyl, or by phenyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy; or R₃ is C₁-C₆-alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₂-C₇alkylcarbonyl, C₂-C₆alkoxycarbonyl, C₃-C₆alkenylloxycarbonyl, C₃-C₆alkynylloxycarbonyl or phenyl, or is phenyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, C₁-C₆alkyl, C₁-C₆haloalkyl, hydroxy, C₁-C₆alkoxy, C₁-C₆haloalkoxy and phenyl, which phenyl in turn may be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy;

R₅ is phenyl, phenyl-C₁-C₁₂alkyl, phenyl-C₃-C₁₂cycloalkyl, phenyl-C₃-C₁₂alkenyl, or phenyl, phenyl-C₁-C₁₂alkyl, phenyl-C₃-C₁₂cycloalkyl, phenyl-C₃-C₁₂alkenyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, amino, azido, hydroxy, mercapto, trialkylsilyl, trialkoxysilyl, CHO, COOH, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆hydroxyalkyl, C₃-C₈cycloalkyl,

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C₃-C₈halocycloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl,
C₂-C₆alkynyl, C₂-C₆haloalkynyl, C₁-C₆alkoxy,
C₁-C₆haloalkoxy, C₃-C₆alkenyloxy,
C₃-C₆haloalkenyloxy, C₃-C₆alkynyloxy,
C₃-C₆cycloalkoxy, C₃-C₆halocycloalkoxy, 5
C₁-C₆alkylthio, C₁-C₆alkylsulfanyl, C₁-C₆alkylsulfonyl,
C₁-C₆haloalkylthio, C₁-C₆haloalkylsulfanyl,
C₁-C₆haloalkylsulfonyl, —C(=O)NH₂, —C(=S)NH₂,
—C(=O)NH(CH₃), —C(=S)NH(CH₃), —C(=O)N
(CH₃)₂, —SO₂NH₂, —SO₂NH(CH₃), —SO₂N(CH₃)₂ and 10
—C(=S)N(CH₃)₂.

Special emphasis should also be given to compounds of formula I wherein

R₅ is hydrogen, (R₅₁)(R₅₂)(R₅₃)Si—(C₁-C₁₂alkyl)-, tri-C₁-C₆alkylsilyl, phenyl-di-C₁-C₆alkylsilyl, C₁-C₁₂alkyl, C₃-C₁₂alkenyl, C₃-C₁₂alkynyl, C₃-C₁₂cycloalkyl, C₃-C₁₂cycloalkyl-C₁-C₁₂alkyl, C₅-C₁₂cycloalkenyl, C₁-C₁₂alkoxy-C₁-C₁₂alkyl, C₁-C₁₂alkenyloxy-C₁-C₁₂alkyl, C₁-C₁₂alkynyl-C₁-C₁₂alkyl, C₁-C₁₂alkylthio-C₁-C₁₂alkyl, C₁-C₁₂alkylsulfenyl-C₁-C₁₂alkyl, C₁-C₁₂alkylsulfonyl-C₀-C₁₂alkyl, C₂-C₁₂alkylcarbonyl-C₀-C₁₂alkyl, C₃-C₁₂alkenylcarbonyl-C₀-C₁₂alkyl, C₂-C₁₂alkoxylcarbonyl-C₀-C₁₂alkyl, C₃-C₁₂alkenyloxy-C₀-C₁₂alkyl or C₃-C₁₂alkynyl-C₀-C₁₂alkyl, or R₅ is C₁-C₁₂alkyl, C₃-C₁₂alkenyl, C₃-C₁₂alkynyl, C₃-C₁₂cycloalkyl, C₃-C₁₂cycloalkyl-C₁-C₁₂alkyl, C₅-C₁₂cycloalkenyl, C₁-C₁₂alkoxy-C₁-C₁₂alkyl, C₁-C₁₂alkenyloxy-C₁-C₁₂alkyl, C₁-C₁₂alkynyl-C₁-C₁₂alkyl, C₁-C₁₂alkylthio-C₁-C₁₂alkyl, C₁-C₁₂alkylsulfenyl-C₁-C₁₂alkyl, C₁-C₁₂alkylsulfonyl-C₀-C₁₂alkyl, C₂-C₁₂alkylcarbonyl-C₀-C₁₂alkyl, C₃-C₁₂alkenylcarbonyl-C₀-C₁₂alkyl, C₂-C₁₂alkoxylcarbonyl-C₀-C₁₂alkyl, C₃-C₁₂alkenyloxy-C₀-C₁₂alkyl, C₃-C₁₂alkynyl-C₀-C₁₂alkyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, amino, hydroxy, mercapto, CHO, COOH, C₁-C₆-trialkylsilyl, tri-C₁-C₆alkoxysilyl, C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₈cycloalkyl, C₃-C₈halocycloalkyl, C₁-C₆alkenyl, C₁-C₆haloalkenyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₂-C₇alkylcarbonyl, C₂-C₇alkoxycarbonyl, C₂-C₇alkenyloxy-C₀-C₁₂alkyl, C₂-C₇alkynyl-C₀-C₁₂alkyl, C₁-C₆alkylthio, C₁-C₆alkylsulfenyl, C₁-C₆alkylsulfonyl, —C(=O)NH₂, —C(=S)NH₂, —C(=O)NH(CH₃), —C(=S)NH(CH₃), —C(=O)N(CH₃)₂ and —C(=S)N(CH₃)₂, and R₅₁, R₅₂, and R₅₃ are as defined above.

A further preferred subgroup is represented by the compounds of formula I wherein

R₁ and R₂, independently of each other, are C₁-C₆alkyl, C₂-C₆alkynyl, hydrogen or pyridine; or R₁ and R₂ together with their interconnecting nitrogen atom are pyrrolino;

R₃ is hydrogen, C₁-C₆haloalkyl, C₁-C₆alkyl, halogen, cyano, 55
nitro, C₁-C₄alkoxy, phenyl, phenyl substituted by halogen,
(R₅₁)(R₅₂)(R₅₃)Si—(C₂-C₆alkynyl)-, wherein R₅₁, R₅₂ and
R₅₃ is as defined above; especially hydrogen, C₁-C₆alkyl,
halogen, cyano, nitro, C₁-C₄alkoxy, phenyl, phenyl substituted
by halogen, (R₅₁)(R₅₂)(R₅₃)Si—(C₂-C₆alkynyl)-, 60
wherein R₅₁, R₅₂ and

R₅₃ is as defined above;
R₄ is hydrogen, halogen, phenyl, imidazolyl, amino,
C₁-C₆alkoxy or C₁-C₆alkyl;

R₅ is C₁-C₁₂alkyl or the group A, wherein

A is a three- to ten-membered monocyclic or fused bicyclic ring system which can be aromatic, partially saturated or

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fully saturated and can contain 1 to 4 hetero atoms selected from the group consisting of nitrogen, oxygen and sulfur, it not being possible for each ring system to contain more than 2 oxygen atoms and more than 2 sulfur atoms, and it being possible for the three- to ten-membered ring system itself to be mono- or polysubstituted by substituents independently selected from the group consisting of halogen, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy and C_1 - C_6 alkylthio;

R₆ is hydrogen; and

R₇ is hydrogen or C₁-C₆alkyl.

In further preferred compounds of formula I, R₆ is —SH, —S—C₁-C₆alkyl or —S—C₁-C₆haloalkyl.

In an outstanding group of compounds of formula I

R₁ and R₂, independently of each other, are C₃-C₇cycloalkyl, C₁-C₆alkyl, C₂-C₆alkynyl, hydrogen or pyridine; or R₁ and R₂ together with their interconnecting nitrogen atom are pyrrolino;

especially

R₁ and R₂, independently of each other, are C₁-C₆alkyl, C₂-C₆alkynyl, hydrogen or pyridine;

or R₁ and R₂ together with their interconnecting nitrogen atom are pyrrolino;

R₃ is hydrogen, C₁-C₆alkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, halogen, cyano, phenyl, phenyl substituted by halogen, (R₅₁)(R₅₂)(R₅₃)Si—(C₂-C₆alkynyl)-, wherein R₅₁, R₅₂ and R₅₃ is as defined above;

especially

hydrogen, C₁-C₆alkyl, halogen, cyano, phenyl, phenyl substituted by halogen, (R₅₁)(R₅₂)(R₅₃)Si—(C₂-C₆alkynyl)-, wherein R₅₁, R₅₂ and R₅₃ is as defined above;

R₄ is hydrogen, halogen, C₁-C₆alkoxy or C₁-C₆alkyl;

especially

hydrogen or C₁-C₆alkyl;

³⁵ R₅ is C₁-C₆alkyl, phenyl or pyridyl or C₁-C₆alkyl, phenyl or pyridyl mono- or disubstituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆alkylthio,

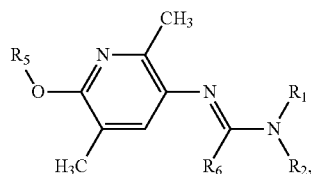
especially

C₁-C₆alkyl, phenyl or pyridyl or phenyl or pyridyl mono- or disubstituted by halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆alkylthio,

R₆ is hydrogen; and

R₇ is hydrogen or C₁-C₆alkyl. Further preferred embodiments of the present invention are the embodiments E1 to E151, which are defined as compounds of formula I which are represented by one formula selected from the group consisting of the formulae T1 to T151 as described below, wherein in formulae T1 to T151 the meanings of the substituents R₁, R₂, R₅ and R₆ have the preferred meanings as mentioned above.

For example, embodiment E1 is represented by the compounds of formula T1



(T1)

wherein

65 R₁ and R₂, independently of each other, are hydrogen, cyano, C₁-C₆alkyl, C₃-C₆cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, benzyl or C₇-C₉alkylcarbonyl, each of

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which may be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkylthio and C₁-C₆alkoxy; or R₁ and R₂ together form a C₂-C₆alkylene bridge which may be mono- to polysubstituted by methyl groups; or R₁ and R₂ together with their interconnecting nitrogen atom are pyrazolino, pyrazolidino, pyrrolino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, morpholino, thiomorpholino, each of which, independently of each other, may be mono- to polysubstituted by methyl groups; or

R₁ is hydrogen, cyano, C₁-C₆alkyl, C₃-C₆cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, benzyl or C₂-C₇alkylcarbonyl, each of which may be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkylthio and C₁-C₆alkoxy and R₂ is hydroxy, amino, C₁-C₆alkoxy, C₃-C₆alkenyloxy, C₃-C₈cycloalkyloxy or C₃-C₆alkynyloxy; or R₂ is hydrogen, cyano, C₁-C₆alkyl, C₃-C₆cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, benzyl or C₂-C₇alkylcarbonyl, each of which may be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkylthio and C₁-C₆alkoxy and R₁ is hydroxy, amino, C₁-C₆alkoxy, C₃-C₆alkenyloxy, C₃-C₈cycloalkyloxy or C₃-C₆alkynyloxy;

R₆ is hydrogen, fluoro, chloro, bromo, cyano, C₁-C₆alkyl, C₁-C₆haloalkyl or CHO; and

R₅ is phenyl, phenyl-C₁-C₁₂alkyl, phenyl-C₃-C₁₂cycloalkyl, phenyl-C₃-C₁₂alkenyl, or phenyl, phenyl-C₁-C₁₂alkyl, phenyl-C₃-C₁₂cycloalkyl, phenyl-C₃-C₁₂alkenyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, amino, azido, hydroxy, mercapto, trialkylsilyl, trialkoxysilyl, CHO, COOH, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆hydroxyalkyl, C₃-C₈cycloalkyl, C₃-C₈halocycloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, C₂-C₆haloalkynyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy, C₃-C₆haloalkenyloxy, C₃-C₆alkynioxy, C₃-C₆haloalkynioxy, C₃-C₆cycloalkoxy, C₃-C₆halocycloalkoxy, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl, C₁-C₆alkylsulfonyl, C₁-C₆haloalkylthio, C₁-C₆haloalkylsulfinyl, C₁-C₆haloalkylsulfonyl, —C(=O)NH₂, —C(=S)NH₂, —C(=O)NH(CH₃), —C(=S)NH(CH₃), —C(=O)N(CH₃)₂, —SO₂NH₂, —SO₂NH(CH₃), —SO₂N(CH₃)₂ and —C(=S)N(CH₃)₂.

Special emphasis should also be given to compounds of embodiment E1 wherein

R₅ is hydrogen, triC₁-C₆alkylsilyl, phenyl-diC₁-C₆alkylsilyl, C₁-C₁₂alkyl, C₃-C₁₂alkenyl, C₃-C₁₂alkynyl, C₃-C₁₂cycloalkyl, C₃-C₁₂cycloalkyl-C₁-C₁₂alkyl, C₆-C₁₂cycloalkenyl, C₁-C₁₂alkoxy-C₁-C₁₂alkyl, C₁-C₁₂alkenyloxy-C₁-C₁₂alkyl, C₁-C₁₂alkynyloxy-C₁-C₁₂alkyl, C₁-C₁₂alkylthio-C₁-C₁₂alkyl, C₁-C₁₂alkylsulfenyl-C₁-C₁₂alkyl, C₁-C₁₂alkylsulfonyl-C₀-C₁₂alkyl, C₂-C₁₂alkylcarbonyl-O₀-C₁₂alkyl, C₃-C₁₂alkenylcarbonyl-C₀-C₁₂alkyl, C₂-C₁₂alkoxylcarbonyl-C₀-C₁₂alkyl, C₃-C₁₂alkenyloxy carbonyl-C₀-C₁₂alkyl or C₃-C₁₂alkynyloxy carbonyl-C₀-C₁₂alkyl, or R₅ is C₁-C₁₂alkyl, C₃-C₁₂alkenyl, C₃-C₁₂alkynyl,

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C_3-C_{12} cycloalkyl, C_3-C_{12} cycloalkyl- C_1-C_{12} alkyl,
 C_6-C_{12} cycloalkenyl, C_1-C_{12} alkoxy- C_1-C_{12} alkyl,
 C_1-C_{12} alkenyloxy- C_1-C_{12} alkyl, C_1-C_{12} alkynyloxy- C_1-C_{12} alkyl,
 C_1-C_{12} alkylthio- C_1-C_{12} alkyl,
 C_1-C_{12} alkylsulfinyl- C_1-C_{12} alkyl, C_1-C_{12} alkylsulfonyl- C_0-C_{12} alkyl,
 C_2-C_{12} alkylcarbonyl- C_0-C_{12} alkyl,
 C_3-C_{12} alkenylcarbonyl- C_0-C_{12} alkyl,
 C_2-C_{12} alkoxycarbonyl- C_0-C_{12} alkyl,
 C_3-C_{12} alkenyloxy- C_0-C_{12} alkyl,
 C_3-C_{12} alkynyloxy- C_0-C_{12} alkyl mono- to
 polysubstituted by substituents independently selected
 from the group consisting of halogen, cyano, nitro, amino,
 hydroxy, mercapto, CHO, COOH, C_1-C_6 -trialkylsilyl,
 tri- C_1-C_6 alkoxysilyl, C_1-C_6 alkyl, C_1-C_6 haloalkyl,
 C_3-C_8 cycloalkyl, C_3-C_8 halocycloalkyl, C_1-C_6 alkenyl,
 C_1-C_6 haloalkenyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy,
 C_2-C_7 alkylcarbonyl, C_2-C_7 alkoxycarbonyl,
 C_2-C_7 alkenylcarbonyl, C_2-C_7 alkenyloxy- C_0-C_{12} alkyl,
 C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 alkylsulfonyl,
 $-C(=O)NH_2$, $-C(=S)NH_2$, $-C(=O)NH(CH_3)$,
 $-C(=S)NH(CH_3)$, $-C(=O)N(CH_3)_2$ and $-C(=S)N(CH_3)_2$.

In further preferred group of compounds of embodiment E1, R_6 is $-SH$, $-S-C_1-C_6$ alkyl or $-S-C_1-C_6$ haloalkyl.

In an outstanding group of compounds of embodiment E1,

³⁰ R₁ and R₂, independently of each other, are C₁-C₆alkyl, C₂-C₆alkinyl, hydrogen or pyridine;

or R₁ and R₂ together with their interconnecting nitrogen atom are pyrrolino;

35 R₅ is C₁-C₆alkyl, phenyl or pyridyl or phenyl or pyridyl
mono- or disubstituted by substituents selected from the
group consisting of halogen, C₁-C₆alkyl, C₁-C₆haloalkyl,
C₁-C₆alkoxy and C₁-C₆alkylthio; and R₆ is hydrogen. The
40 substituents R₁, R₂, R₅ and R₆ of the embodiments E2 to
E151 are defined accordingly.

Compounds of formula I as well as intermediates and reagents used can be prepared by methods known to a skilled chemist in a variety of ways, or they are commercially available.

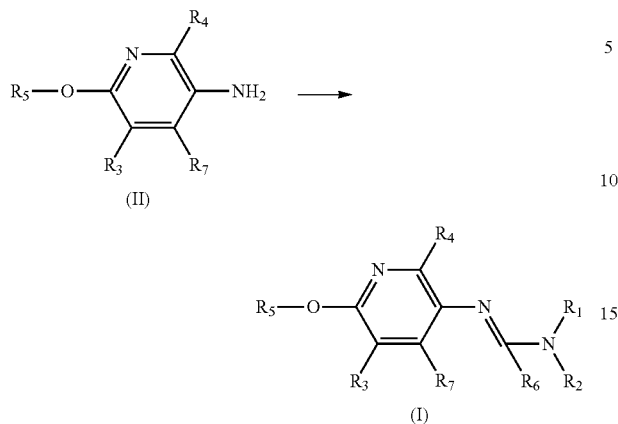
Compounds of formula I can be prepared by a number of known methods from amino compounds of formula II. Such methods include the following:

a) Scheme 1 below: An amide of formula $(R_6)C(=O)-N(R_1)(R_2)$, or a formamide of formula $HC(=O)-N(R_1)(R_2)$, is treated with reagents like $POCl_3$, PCl_3 , $SOCl_2$, $COCl_2$, $Ph-SO_2Cl$, Me_2N-SO_2Cl , $(CF_3CO)_2O$ and then with an amino compound of formula II.

b) Scheme 1 below: Reacting the amino derivative of formula II, wherein R_3 , R_4 , R_5 and R_7 is as defined under formula I above, with a compound of formula $R_6-C(OR)_2-N(R_1)(R_2)$, wherein R_1 , R_2 and R_6 is as defined under formula I above, or with a compound of formula $R_6-C(OR)(NR_1R_2)$, wherein R is preferably an alkyl or phenyl group and R_1 , R_2 and R_6 is as defined under formula I above, or, for the former reagent, the two R together form an alkylidene fragment. Such transformations are described in the literature, e.g. in: Bashkirkii Khimicheskii Zhurnal (2000), 7 (2), 5-9; Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1981), 20B(12), 1075-7; ARKIVOC (Gainesville, Fla., United States) (2004), (10), 20-38.

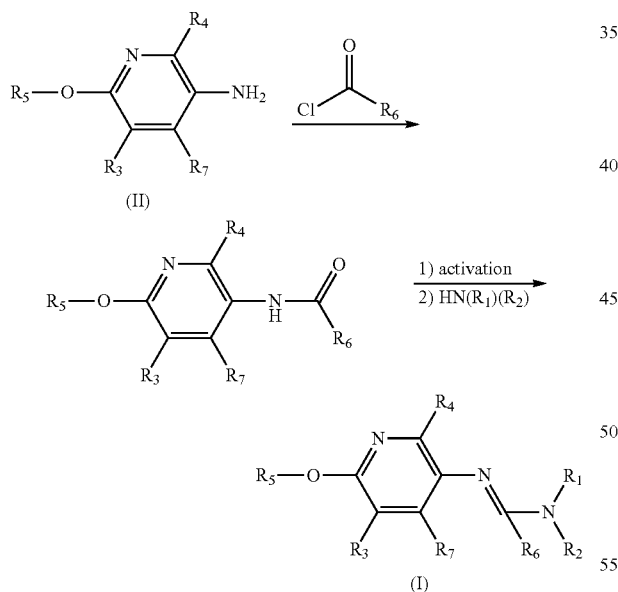
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Scheme 1



c) Scheme 2 below: An amino derivative of formula II can be converted into an amide and this, in turn, into the final compound of formula I by a two step sequence: 1) activation (using e.g. PCl_5 or Ph_3PO together with $(CF_3SO_2)_2O$, followed 2) by the reaction with an amine of formula $HN(R_1)(R_2)$, wherein R_1 and R_2 is as defined under formula I above. Such methods are describe in the literature, e.g. in Journal of Organic Chemistry (1989), 54 (5), 1144-9; Zhurnal Organicheskoi Khimii (1989), 25 (2), 357-67.

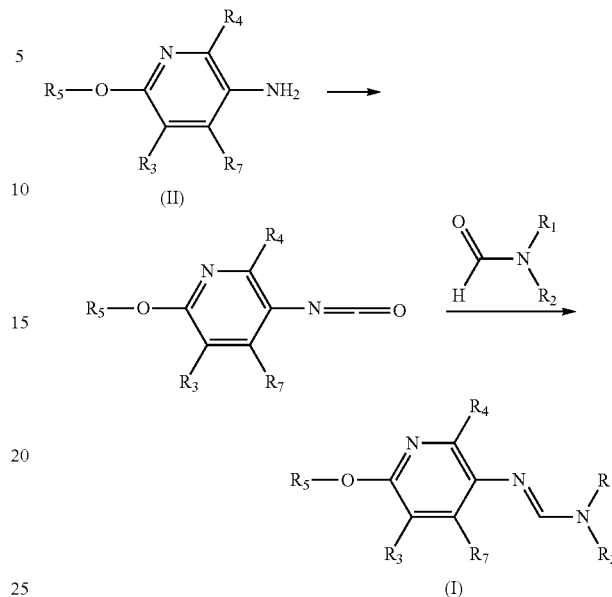
Scheme 2



d) Scheme 3 below: An amino derivative of formula II can first be transformed into the corresponding isocyanate. This one, in turn, is then reacted with a formamide of general formula $HC(=O)-N(R_1)(R_2)$, wherein R_1 and R_2 is as defined under formula I above, to obtain a formamidine of formula I. Such methods are to be found in the literature, e.g. in Journal of Pharmaceutical Sciences (1964), 53 (12), 1539-40; Journal für Praktische Chemie (Leipzig) (1961), 13, 265-71.

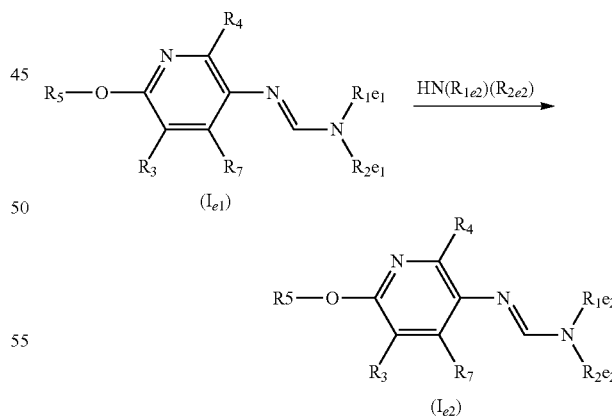
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Scheme 3



e) Scheme 4 below: Compounds of general formulas (I_{e2}) and (I_{e1}) are subsets of compounds described by general formula (I). Compounds of general formula (I_{e2}) can be obtained by reacting a compound of general formula (I_{e1}) with an amine of formula $HN(R_{1e})(R_{2e})$ under appropriate conditions. Fragments of the formula $-N(R_{1e})(R_{2e})$ are a subset of fragments of the formula $-N(R_1)(R_2)$, and compounds of the formula $HN(R_{1e2})(R_{2e2})$ form a subset of compounds of formula $HN(R_1)R_2$. Such procedures can be found in the literature, e.g. in Tetrahedron Letters (1989), 30 (1), 47-50; Khimicheskii Zhurnal (2000), 7 (2), 5-9.

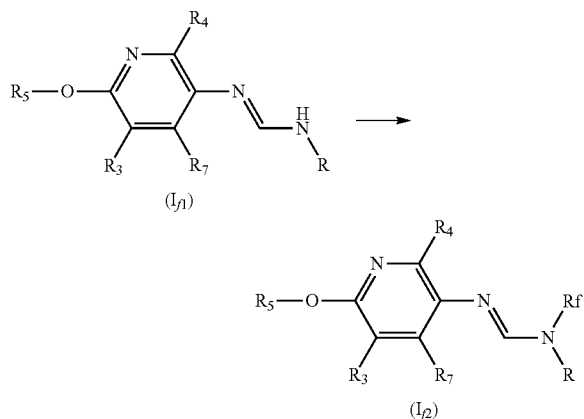
Scheme 4



f) Scheme 5 below: Compounds of general formula I_f , being a subset of compounds of formula I, may be prepared by acylating or alkylating compounds of formula I_{f1} . Such protocols are to be found in the literature, e.g. in Chemical & Pharmaceutical Bulletin (1983), 31 (10), 3534-43; Zhurnal Organicheskoi Khimii (1989), 25 (2), 357-67; Tetrahedron (2000), 56 (39), 7811-7816; Journal of the Chemical Society, Transactions (1923), 123, 3359-75.

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Scheme 5

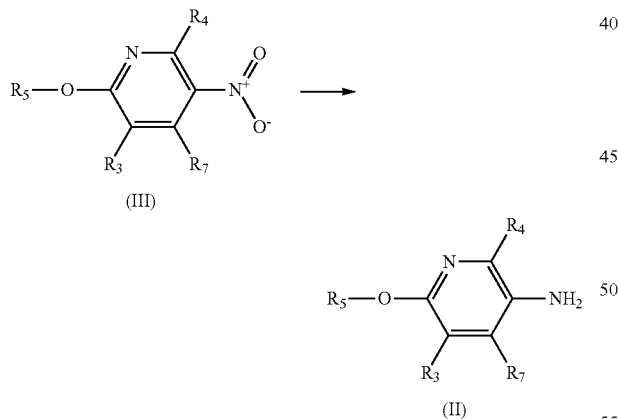


Substituents of formulas R and R_f are subsets of substituents of formula R₁ (or R₂).

Compounds of formula II may be prepared from the corresponding nitro derivatives of formula III by a variety of reduction procedures.

g) Scheme 6 below: The reduction methods include transformation of the nitro compound of formula III, wherein R₃, R₄, R₅ and R₇ is as defined under formula I above, in the presence of a catalyst, e.g. Pd-, Ni- or Pt-based catalysts, and molecular hydrogen, in a suitable solvent at ambient temperature or at elevated temperatures, at normal or at a higher pressure, or the reduction may be carried out by one of several metal reduction methods, e.g. using metals such as Fe, Sn, Zn or reagents such as SnCl₂ in an acidic and/or protic medium.

Scheme 6



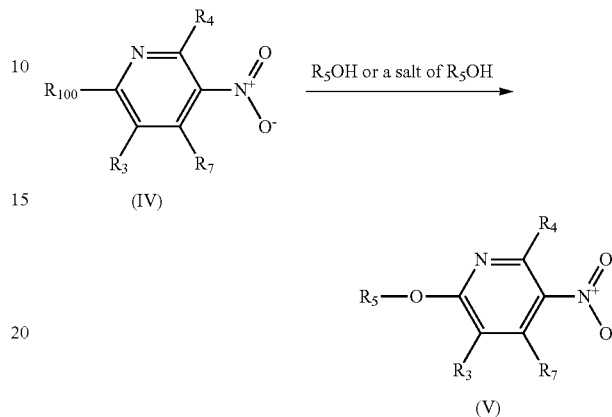
Nitro compounds of formula III may be prepared in a number of ways. These include the following:

h) Scheme 7 below: Compounds of formula III can be obtained from compounds of formula IV, wherein R₃, R₄ and R₇ are as defined under formula I above, having a leaving group R₁₀₀, where R₁₀₀ is SH—, nitro, halogen, imidazolyl, triazolyl, C₁-C₆alkylthio, C₁-C₆alkylsulfonyl or C₁-C₆alkylsulfenyl, preferably halogen, C₁-C₆alkylthio, C₁-C₆alkylsulfonyl, in particular F, Cl, Br, I, MeS—, MeSO— or MeSO₂—; or R₁₀₀ is imidazolyl, triazolyl, PhSO₂—, CF₃SO₂—O—,

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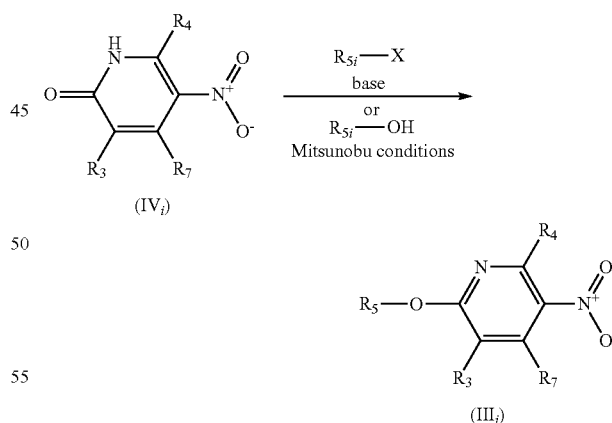
p-MeC₆H₄SO₂O—, O₂N—) by reaction with R₅—OH, wherein R₅ is as defined under formula I above, in the presence of a base. This conversion may be effected by using a preformed salt of R₅OH.

Scheme 7:



i) Scheme 8 below: Compounds of formula III, may be obtained by reacting a precursor of formula IV_i, either with an electrophilic precursor R_{5i}—X, R_{5i} being a suitable subset of R₅ and X being a leaving group such as a halogen or MeSO₂O or p-MeC₆H₄SO₂O, the reaction conducted preferentially in the presence of a base. Or, alternatively, compound IV, can be reacted with an alcohol of formula R₅—OH under Mitsunobu conditions, using e.g. Ph₃P, EtO—C—N=N—CO—OEt in solvents such as dioxane, THF or toluene. Such methods are described in the literature, e.g. in Journal of Medicinal Chemistry (2006), 49 (15), 4455-4458; Tetrahedron Letters (2006), 47 (28), 4897-4901.

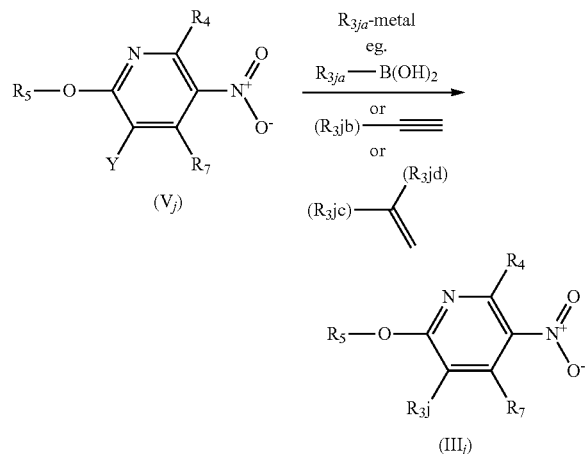
Scheme 8



j) Scheme 9 below: Nitro compounds of formula III_j, being a subset of compounds of formula III, can also be obtained by using a suitable precursor V_j with a group Y, e.g. a halogen or a group CF₃SO₂O, that can be used to introduce R_{3j}, R_{3j} being a subset of R₃. For such transformations a large number of methods are firmly established and described in the literature (e.g. Suzuki, Suzuki-Miyaura, Negishi, Stille coupling reactions, or Heck and Sonogashira reactions).

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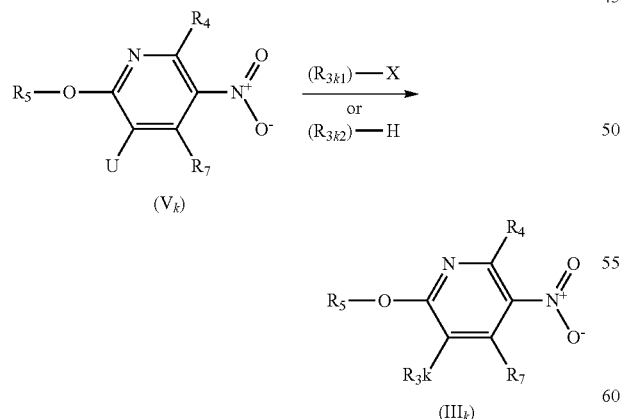
Scheme 9



R_{3ja}, R_{3jb}, R_{3jc}, and R_{3jd} are such that the resulting fragment R_{3j} is within the definition of fragments of substituent R₃.

k) Scheme 10 below: Compounds of formula III_k, being a subset of compounds described by formula III, may be obtained as described in scheme 10 by using well-established methods. This includes e.g. Suzuki-Miyaura and Stille coupling reactions using the electrophilic species (R_{3k1})—X, X being a leaving group, in particular Cl, Br or I. Within the definition given in scheme 10, R_{3k1} is part of the many molecular scaffolds that are commonly used for the reactions possible here. (R_{3k1})—X includes aryl-, hetaryl- or vinyl-based halides. The method described here includes also reactions with a precursor (R_{5k2})—H, forming a nucleophilic species under appropriate conditions to be attached to the pyridine core fragment of (V_k). Among the latter cases are e.g. amination reactions or reactions with a precursor carbonyl compound (displaying CH acidity Π to the carbonyl). In both cases, there are many catalytic systems described in the literature to effect transformation.

Scheme 10



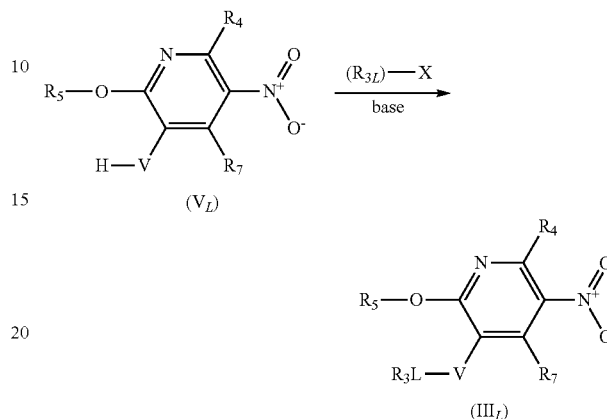
U being a metal-based fragment (e.g. B(OH)₂ or SnBu₃) R_{3ka}, R_{3kb} both being such that fragments of formula R_{3k} are within the definition of fragments of formula R₃.

l) Scheme 11 below: Compounds of formula III_L, being a subset of compounds of formula III, may be obtained by the reaction of electrophilic compounds of formula

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(R_{3La})—X (X being a leaving group, such as a halogen or MeSO₂O) with the anion generated from compounds of formula V_L with a base under suitable conditions, as is well-described in the literature.

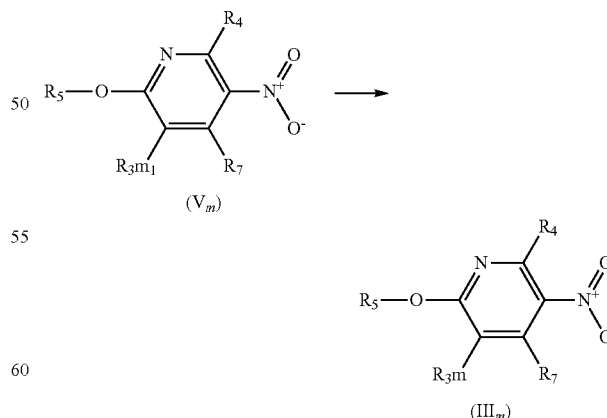
Scheme 11



H—V being a fragment that can give an anionic fragment V— upon reaction with a base, and H—V and (R_{3L}) being such that the fragments of formula R_{3L}—V are a subset of fragments of general formula R₃.

m) Scheme 12 below: Compounds of formula III_m, being a subset of compounds of formula III, can also be prepared by transforming a precursor functional group R_{3m1} into the group R_{3m}. Fragments of formula R_{3m}, being a subset of the fragments defined by the formula R₃, and the precursor fragment of formula R_{3ma} being such that the definitions of formula R_{3m} are valid after the transformation has been carried out. By way of example: (R_{3m1})— can be HCO— that can be converted into F₂CH— using reagents such as DAST or SF₄, or (R_{3m1})— can be H₃C—H₂C—S— that can be transformed into H₃C—H₂C—S(=O)— and H₃C—H₂C—S(=O)₂— oxidatively using standard methods that are well-described in the literature; or (R_{3m1})— can be —C(=S)NH₂ that can be transformed into a optionally substituted thiazolyl fragment using standard methods as described in the literature.

Scheme 12

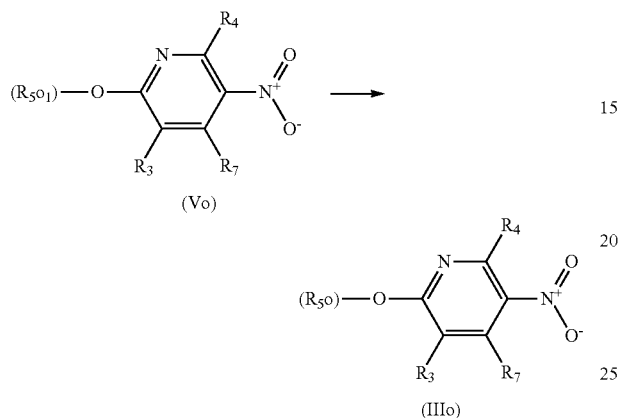


n) The methods introduced above—under j) to m)—dealing with the introduction and transformation of the substituent R₃, can also be applied in the cases of the substituents R₄ and R₇.

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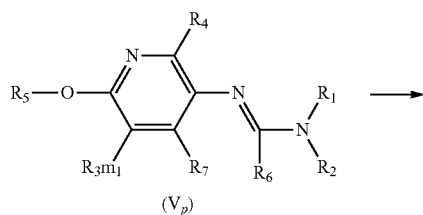
o) Scheme 13 below: The methods mentioned above under j) to m) are also applicable, in a proper form, for the elaboration of compounds of formula III_o, describing compounds of a subset of compounds of the formula III. In this case, a suitable substituent R_{5o1}, is transformed into a substituent R_{5o}, substituents R_{5o} being a subset of substituent R₅.

Scheme 13



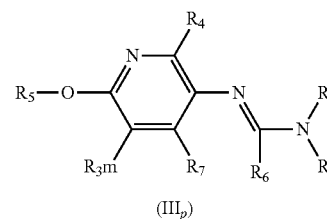
p) Scheme 14 below: The methods as described above under chapters g) to o) are also valid for the cases, where the nitro group is replaced by a hydrogen or by an amino group, or by a suitably protected amino group (such as are e.g. —NH—C(=O)—CH₃, —NH—C(=O)—tert-butyl, —NH—benzoyl, —N(C(=O)—CH₃)₂, -phthaloyl, —N(benzyl)₂, —NH—C(=O)—O-tert-butyl), or by some amidine group —N=C(R₆)—N(R₁)(R₂). One skilled in the art knows, however, that this is not a general principle, but applies to cases with compatible functional groups. By way of example, this scenario is shown in scheme 14 for the transformations described in chapter m) above for the case where an amidine group is present instead of the nitro function (formula X). Cf. definitions of R_{3m1} and R_{3m} in chapter m) above and R₁₀₀ is as defined in chapter h) above. The compounds of formula X wherein R₁, R₂, R₃, R₄, R₆ and R₇ are as defined under formula I in claim I and R₁₀₀ is SH—, nitro, halogen, imidazolyl, triazolyl, C₁-C₆alkylthio, C₁-C₆alkylsulfenyl or C₁-C₆alkylsulfonyl are novel and therefore represent a further object of the present invention.

Scheme 14

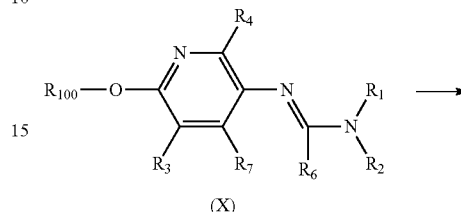


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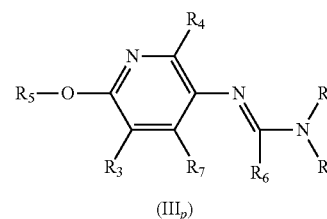
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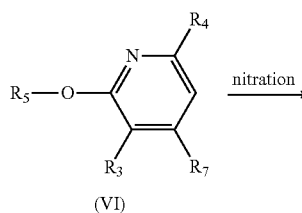
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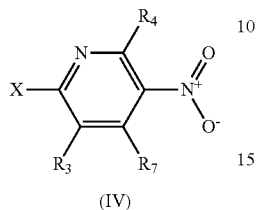
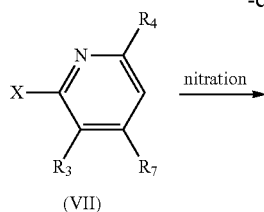
q) Scheme 15 below: Compounds of the formula III can be prepared by direct nitration of a suitable precursor, provided the nitration protocol in question is compatible with the starting material. This nitration can be carried out in a number of well-established ways. E.g. using the mixed acid system of HNO₃ and H₂SO₄. Along these lines, the precursor VI may be dissolved first in H₂SO₄ and reacted with the mixed acid system, or it may be treated directly with the mixed acid system under a variety of conditions. In addition, the nitration may be carried out in an inert solvent system, using nitrating agents such as BF₄NO₄. Nitration may also be carried out using HNO₃ in an appropriate solvent such as H₂O, AcOH, acetic acid anhydride. The same methods may also be applied to a suitable precursor of formula VII to give a compound of formula IV. X is a leaving group as defined in chapter h) above.

Scheme 15



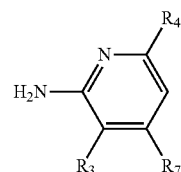
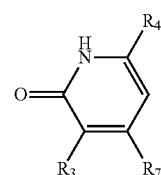
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Scheme 17

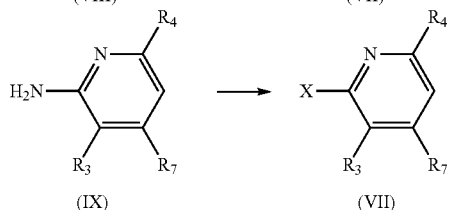
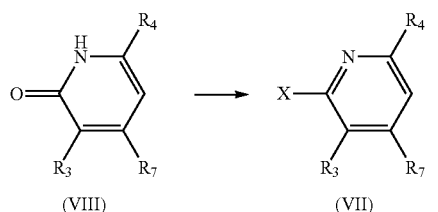


r) Scheme 16 below: Compounds of formula VII can be synthesized by a number of well-established methods. In particular by transforming a precursor of formulae VIII or IX. X is a leaving group as defined in chapter h) above.

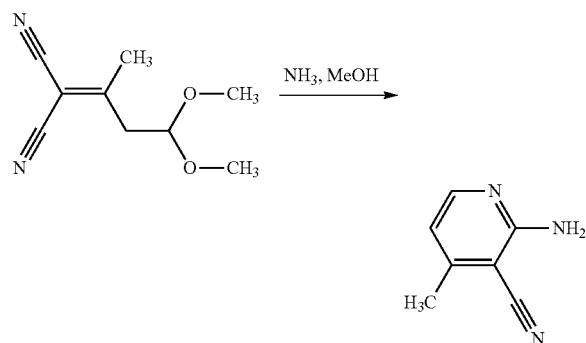
r1) If a compound of formula VIII is the precursor the methods include the transformation to a compound where X is Cl, with reagents such as PCl_5 , POCl_3 , SOCl_2 or $\text{ClCO}-$ COCl normally under heating in an inert solvent, either without or in the presence of a suitable base. If X is Br, the preferred reagents include POBr_3 , PBr_3 and NBS together with Ph_3P . If X is $\text{CF}_3\text{SO}_2\text{O}$, preferred preparative methods use reagents such as $(\text{CF}_3\text{SO}_2)_2\text{O}$ in the presence of a base, e.g. Et_3N or 2,6-lutidine.

r2) If a compound of formula (IX) is the precursor, preferred procedures include the following. If X is equal to Cl or Br, a Sandmeyer-type protocol can be used, i.e. diazotization followed by reaction with cuprous chloride or bromide. Or, X is F, in which case, after diazotization, a diazonium fluoroborate salt is produced that is then converted to the fluoro derivative. The fluoroborate may also be produced with an organic nitrite and BF_3 -etherate.

Scheme 16

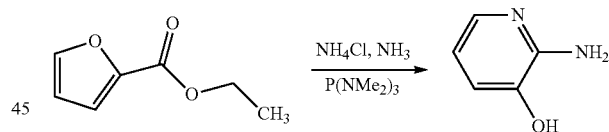


Scheme 18



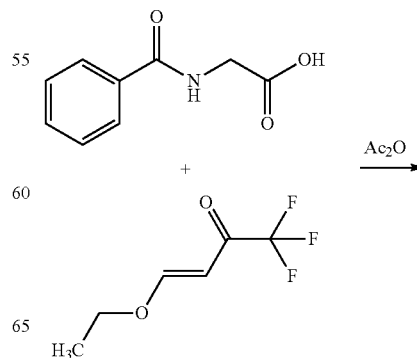
Journal of Organic Chemistry (2005), 70 (4), 1364-1368

Scheme 19



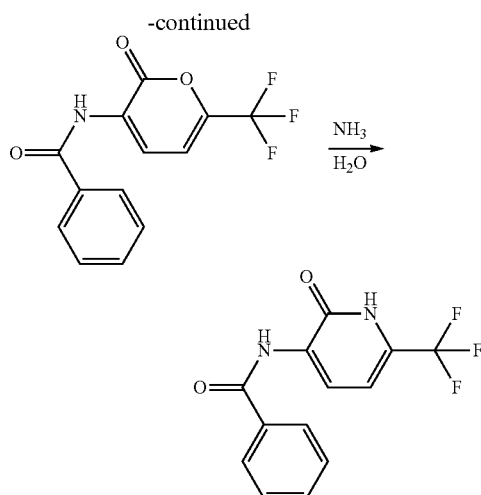
Journal of Heterocyclic Chemistry (1977), 14 (2), 203-5

Scheme 20



s) Scheme 17 below: A large number of compounds of formulae VIII and IX or of compounds being potential precursors thereof are commercially available. In addition, there are many ways of achieving the syntheses of pyridine building blocks of general formulae VIII and IX as is amply documented in the literature. By way of example, we mention the following 3 syntheses within the general definition of compounds of formula IX in schemes 18 to 20 below.

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Synthesis (2005), (8), 1269-1278

The reactions leading to compounds of formula I are advantageously carried out in aprotic inert organic solvents. Such solvents are hydrocarbons such as benzene, toluene, xylene or cyclohexane, chlorinated hydrocarbons such as dichloromethane, trichloromethane, tetrachloromethane or chlorobenzene, ethers such as diethyl ether, ethylene glycol dimethyl ether, diethylene glycol dimethyl ether, tetrahydrofuran or dioxane, nitriles such as acetonitrile or propionitrile, amides such as N,N-dimethylformamide, diethylformamide or N-methylpyrrolidinone. The reaction temperatures are advantageously between -20°C . and $+120^{\circ}\text{C}$. In general, the reactions are slightly exothermic and, as a rule, they can be carried out at room temperature. To shorten the reaction time, or else to start the reaction, the mixture may be heated briefly to the boiling point of the reaction mixture. The reaction times can also be shortened by adding a few drops of base as reaction catalyst. Suitable bases are, in particular, tertiary amines such as trimethylamine, triethylamine, quinuclidine, 1,4-diazabicyclo[2.2.2]octane, 1,5-diazabicyclo[4.3.0]non-5-ene or 1,5-diazabicyclo-[5.4.0]undec-7-ene. However, inorganic bases such as hydrides, e.g. sodium hydride or calcium hydride, hydroxides, e.g. sodium hydroxide or potassium hydroxide, carbonates such as sodium carbonate and potassium carbonate, or hydrogen carbonates such as potassium hydrogen carbonate and sodium hydrogen carbonate may also be used as bases. The bases can be used as such or else with catalytic amounts of a phase-transfer catalyst, for example a crown ether, in particular 18-crown-6, or a tetraalkylammonium salt.

The compounds of formula I can be isolated in the customary manner by concentrating and/or by evaporating the solvent and purified by recrystallization or trituration of the solid residue in solvents in which they are not readily soluble, such as ethers, aromatic hydrocarbons or chlorinated hydrocarbons.

The compounds of formula I and, where appropriate, the tautomers thereof, can be present in the form of one of the isomers which are possible or as a mixture of these, for example in the form of pure isomers, such as antipodes and/or diastereomers, or as isomer mixtures, such as structural isomer, stereo isomer, diastereoisomer and enantiomer mixtures, for example racemates, diastereomer mixtures or racemate mixtures, depending on the number, absolute and relative configuration of asymmetric carbon atoms which occur in the molecule and/or depending on the configuration

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of non-aromatic double bonds which occur in the molecule; the invention relates to the pure isomers and also to all isomer mixtures which are possible and is to be understood in each case in this sense hereinabove and hereinbelow, even when stereochemical details are not mentioned specifically in each case.

Diastereo-isomeric mixtures or racemate mixtures of compounds I, which can be obtained depending on which starting materials and procedures have been chosen can be separated in a known manner into the pure diastereomers or racemates on the basis of the physicochemical differences of the components, for example by fractional crystallization, distillation and/or chromatography.

Enantiomeric mixtures, such as racemates, which can be obtained in a similar manner can be resolved into the optical antipodes by known methods, for example by recrystallization from an optically active solvent, by chromatography on chiral adsorbents, for example high-performance liquid chromatography (HPLC) on acetyl cellulose, with the aid of suitable microorganisms, by cleavage with specific, immobilized enzymes, via the formation of inclusion compounds, for example using chiral crown ethers, where only one enantiomer is complexed, or by conversion into diastereomeric salts, for example by reacting a basic end-product racemate with an optically active acid, such as a carboxylic acid, for example camphor, tartaric or malic acid, or sulfonic acid, for example camphorsulfonic acid, and separating the diastereomer mixture which can be obtained in this manner, for example by fractional crystallization based on their differing solubilities, to give the diastereomers, from which the desired enantiomer can be set free by the action of suitable agents, for example basic agents.

Pure diastereomers or enantiomers can be obtained according to the invention not only by separating suitable isomer mixtures, but also by generally known methods of diastereoselective or enantioselective synthesis, for example by carrying out the process according to the invention with starting materials of a suitable stereochemistry.

It is advantageous to isolate or synthesize in each case the biologically more effective isomer, for example enantiomer or diastereomer, or isomer mixture, for example enantiomer mixture or diastereomer mixture, if the individual components have a different biological activity.

The compounds I and, where appropriate, the tautomers thereof, can, if appropriate, also be obtained in the form of hydrates and/or include other solvents, for example those which may have been used for the crystallization of compounds which are present in solid form.

It has now been found that the compounds of formula I according to the invention have, for practical purposes, a very advantageous spectrum of activities for protecting useful plants against diseases that are caused by phytopathogenic microorganisms, such as fungi, bacteria or viruses.

The invention relates to a method of controlling or preventing infestation of useful plants by phytopathogenic microorganisms, wherein a compound of formula I is applied as active ingredient to the plants, to parts thereof or the locus thereof. The compounds of formula I according to the invention are distinguished by excellent activity at low rates of application, by being well tolerated by plants and by being environmentally safe. They have very useful curative, preventive and systemic properties and are used for protecting numerous useful plants. The compounds of formula I can be used to inhibit or destroy the diseases that occur on plants or parts of plants (fruit, blossoms, leaves, stems, tubers, roots) of different crops of useful plants, while at the same time pro-

tecting also those parts of the plants that grow later e.g. from phytopathogenic microorganisms.

It is also possible to use compounds of formula I as dressing agents for the treatment of plant propagation material, in particular of seeds (fruit, tubers, grains) and plant cuttings (e.g. rice), for the protection against fungal infections as well as against phytopathogenic fungi occurring in the soil.

Furthermore the compounds of formula I according to the invention may be used for controlling fungi in related areas, for example in the protection of technical materials, including wood and wood related technical products, in food storage or in hygiene management.

The compounds of formula I are, for example, effective against the phytopathogenic fungi of the following classes: Fungi imperfecti (e.g. *Botrytis*, *Pyricularia*, *Helminthosporium*, *Fusarium*, *Septoria*, *Cercospora* and *Alternaria*) and Basidiomycetes (e.g. *Rhizoctonia*, *Hemileia*, *Puccinia*). Additionally, they are also effective against the Ascomycetes classes (e.g. *Venturia* and *Erysiphe*, *Podosphaera*, *Monilinia*, *Uncinula*) and of the Oomycetes classes (e.g. *Phytophthora*, *Pythium*, *Plasmopara*). Outstanding activity has been observed against powdery mildew (*Erysiphe* spp.). Furthermore, the novel compounds of formula I are effective against phytopathogenic bacteria and viruses (e.g. against *Xanthomonas* spp., *Pseudomonas* spp., *Erwinia amylovora* as well as against the tobacco mosaic virus). Good activity has been observed against Asian soybean rust (*Phakopsora pachyrhizi*).

Within the scope of the invention, useful plants to be protected typically comprise the following species of plants: cereal (wheat, barley, rye, oat, rice, maize, sorghum and related species); beet (sugar beet and fodder beet); pomes, drupes and soft fruit (apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries and blackberries); leguminous plants (beans, lentils, peas, soybeans); oil plants (rape, mustard, poppy, olives, sunflowers, coconut, castor oil plants, cocoa beans, groundnuts); cucumber plants (pumpkins, cucumbers, melons); fibre plants (cotton, flax, hemp, jute); citrus fruit (oranges, lemons, grapefruit, mandarins); vegetables (spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, paprika); lauraceae (avocado, *cinnamomum*, camphor) or plants such as tobacco, nuts, coffee, eggplants, sugar cane, tea, pepper, vines, hops, bananas and natural rubber plants, as well as ornamentals.

The term "useful plants" is to be understood as including also useful plants that have been rendered tolerant to herbicides like bromoxynil or classes of herbicides (such as, for example, HPPD inhibitors, ALS inhibitors, for example primisulfuron, prosulfuron and trifloxysulfuron, EPSPS (5-enol-pyrovyl-shikimate-3-phosphate-synthase) inhibitors, GS (glutamine synthetase) inhibitors) as a result of conventional methods of breeding or genetic engineering. An example of a crop that has been rendered tolerant to imidazolinones, e.g. imazamox, by conventional methods of breeding (mutagenesis) is Clearfield® summer rape (Canola). Examples of crops that have been rendered tolerant to herbicides or classes of herbicides by genetic engineering methods include glyphosate- and glufosinate-resistant maize varieties commercially available under the trade names Roundup Ready® and LibertyLink®.

The term "useful plants" is to be understood as including also useful plants which have been so transformed by the use of recombinant DNA techniques that they are capable of synthesising one or more selectively acting toxins, such as are known, for example, from toxin-producing bacteria, especially those of the genus *Bacillus*.

Transgenic plants containing one or more genes that code for an insecticidal resistance and express one or more toxins are known and some of them are commercially available. Examples of such plants are: YieldGard® (maize variety that expresses a CryIA(b) toxin); YieldGard Rootworm® (maize variety that expresses a CryIIIB(b1) toxin); YieldGard Plus® (maize variety that expresses a CryIA(b) and a CryIIIB(b1) toxin); Starlink® (maize variety that expresses a Cry9 (c) toxin); Herculex I® (maize variety that expresses a CryIF(a2) toxin and the enzyme phosphinothricine N-acetyltransferase (PAT) to achieve tolerance to the herbicide glufosinate ammonium); NuCOTN 33B® (cotton variety that expresses a CryIA(c) toxin); Bollgard I® (cotton variety that expresses a CryIA(c) toxin); Bollgard II® (cotton variety that expresses a CryIA(c) and a CryIIA(b) toxin); VIPCOT® (cotton variety that expresses a VIP toxin); NewLeaf® (potato variety that expresses a CryIIIA toxin); Nature-Gard®, Agrisure® GT Advantage (GA21 glyphosate-tolerant trait), Agrisure® CB Advantage (Bt11 corn borer (CB) trait) and Protecta®.

The term "useful plants" is to be understood as including also useful plants which have been so transformed by the use of recombinant DNA techniques that they are capable of synthesising antipathogenic substances having a selective action, such as, for example, the so-called "pathogenesis-related proteins" (PRPs, see e.g. EP-A-0 392 225). Examples of such antipathogenic substances and transgenic plants capable of synthesising such antipathogenic substances are known, for example, from EP-A-0 392 225, WO 95/33818, and EP-A-0 353 191. The methods of producing such transgenic plants are generally known to the person skilled in the art and are described, for example, in the publications mentioned above.

The term "locus" of a useful plant as used herein is intended to embrace the place on which the useful plants are growing, where the plant propagation materials of the useful plants are sown or where the plant propagation materials of the useful plants will be placed into the soil. An example for such a locus is a field, on which crop plants are growing.

The term "plant propagation material" is understood to denote generative parts of the plant, such as seeds, which can be used for the multiplication of the latter, and vegetative material, such as cuttings or tubers, for example potatoes. There may be mentioned for example seeds (in the strict sense), roots, fruits, tubers, bulbs, rhizomes and parts of plants. Germinated plants and young plants which are to be transplanted after germination or after emergence from the soil, may also be mentioned. These young plants may be protected before transplantation by a total or partial treatment by immersion. Preferably "plant propagation material" is understood to denote seeds.

The compounds of formula I can be used in unmodified form or, preferably, together with carriers and adjuvants conventionally employed in the art of formulation.

Therefore the invention also relates to compositions for controlling and protecting against phytopathogenic microorganisms, comprising a compound of formula I and an inert carrier, and to a method of controlling or preventing infestation of useful plants by phytopathogenic microorganisms, wherein a composition, comprising a compound of formula I as active ingredient and an inert carrier, is applied to the plants, to parts thereof or the locus thereof.

To this end compounds of formula I and inert carriers are conveniently formulated in known manner to emulsifiable concentrates, coatable pastes, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granulates, and also encapsulations e.g. in polymeric substances. As with the type of the compositions, the

methods of application, such as spraying, atomising, dusting, scattering, coating or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances. The compositions may also contain further adjuvants such as stabilizers, antifoams, viscosity regulators, binders or tackifiers as well as fertilizers, micronutrient donors or other formulations for obtaining special effects.

Suitable carriers and adjuvants can be solid or liquid and are substances useful in formulation technology, e.g. natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners, binders or fertilizers. Such carriers are for example described in WO 97/33890.

The compounds of formula I or compositions, comprising a compound of formula I as active ingredient and an inert carrier, can be applied to the locus of the plant or plant to be treated, simultaneously or in succession with further compounds. These further compounds can be e.g. fertilizers or micronutrient donors or other preparations which influence the growth of plants. They can also be selective herbicides as well as insecticides, fungicides, bactericides, nematocides, molluscicides or mixtures of several of these preparations, if desired together with further carriers, surfactants or application promoting adjuvants customarily employed in the art of formulation.

A preferred method of applying a compound of formula I, or a composition, comprising a compound of formula I as active ingredient and an inert carrier, is foliar application. The frequency of application and the rate of application will depend on the risk of infestation by the corresponding pathogen. However, the compounds of formula I can also penetrate the plant through the roots via the soil (systemic action) by drenching the locus of the plant with a liquid formulation, or by applying the compounds in solid form to the soil, e.g. in granular form (soil application). In crops of water rice such granulates can be applied to the flooded rice field. The compounds of formula I may also be applied to seeds (coating) by impregnating the seeds or tubers either with a liquid formulation of the fungicide or coating them with a solid formulation.

A formulation, i.e. a composition comprising the compound of formula I and, if desired, a solid or liquid adjuvant, is prepared in a known manner, typically by intimately mixing and/or grinding the compound with extenders, for example solvents, solid carriers and, optionally, surface-active compounds (surfactants).

The agrochemical formulations will usually contain from 0.1 to 99% by weight, preferably from 0.1 to 95% by weight, of the compound of formula I, 99.9 to 1% by weight, preferably 99.8 to 5% by weight, of a solid or liquid adjuvant, and from 0 to 25% by weight, preferably from 0.1 to 25% by weight, of a surfactant.

Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

Advantageous rates of application are normally from 5 g to 2 kg of active ingredient (a.i.) per hectare (ha), preferably from 10 g to 1 kg a.i./ha, most preferably from 20 g to 600 g a.i./ha. When used as seed drenching agent, convenient rates of application are from 10 mg to 1 g of active substance per kg of seeds. The rate of application for the desired action can be determined by experiments. It depends for example on the type of action, the developmental stage of the useful plant, and on the application (location, timing, application method) and can, owing to these parameters, vary within wide limits.

Said methods are particularly effective against the phytopathogenic organisms of the kingdom Fungi, phylum Basidiomycot, class Uredinomyces, subclass Urediniomycetidae

and the order Uredinales (commonly referred to as rusts). Species of rusts having a particularly large impact on agriculture include those of the family Phakopsoraceae, particularly those of the genus *Phakopsora*, for example *Phakopsora pachyrhizi*, which is also referred to as Asian soybean rust, and those of the family Pucciniaceae, particularly those of the genus *Puccinia* such as *Puccinia graminis*, also known as stem rust or black rust, which is a problem disease in cereal crops and *Puccinia recondita*, also known as brown rust.

An embodiment of said method is a method of protecting crops of useful plants against attack by a phytopathogenic organism and/or the treatment of crops of useful plants infested by a phytopathogenic organism, said method comprising simultaneously applying glyphosate, including salts or esters thereof, and at least one compound of formula I, which has activity against the phytopathogenic organism to at least one member selected from the group consisting of the plant, a part of the plant and the locus of the plant.

Surprisingly, it has now been found that the compounds of formula I, or a pharmaceutical salt thereof, described above have also an advantageous spectrum of activity for the treatment and/or prevention of microbial infection in an animal.

"Animal" can be any animal, for example, insect, mammal, reptile, fish, amphibian, preferably mammal, most preferably human. "Treatment" means the use on an animal which has microbial infection in order to reduce or slow or stop the increase or spread of the infection, or to reduce the infection or to cure the infection. "Prevention" means the use on an animal which has no apparent signs of microbial infection in order to prevent any future infection, or to reduce or slow the increase or spread of any future infection.

According to the present invention there is provided the use of a compound of formula I in the manufacture of a medication for use in the treatment and/or prevention of microbial infection in an animal. There is also provided the use of a compound of formula I as a pharmaceutical agent. There is also provided the use of a compound of formula I as an antimicrobial agent in the treatment of an animal. According to the present invention there is also provided a pharmaceutical composition comprising as an active ingredient a compound of formula I, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable diluent or carrier. This composition can be used for the treatment and/or prevention of antimicrobial infection in an animal. This pharmaceutical composition can be in a form suitable for oral administration, such as tablet, lozenges, hard capsules, aqueous suspensions, oily suspensions, emulsions dispersible powders, dispersible granules, syrups and elixirs. Alternatively this pharmaceutical composition can be in a form suitable for topical application, such as a spray, a cream or lotion. Alternatively this pharmaceutical composition can be in a form suitable for parenteral administration, for example injection. Alternatively this pharmaceutical composition can be in inhalable form, such as an aerosol spray.

The compounds of formula I are effective against various microbial species able to cause a microbial infection in an animal. Examples of such microbial species are those causing Aspergillosis such as *Aspergillus fumigatus*, *A. flavus*, *A. terreus*, *A. nidulans* and *A. niger*; those causing Blastomycosis such as *Blastomyces dermatitidis*; those causing Candidiasis such as *Candida albicans*, *C. glabrata*, *C. tropicalis*, *C. parapsilosis*, *C. krusei* and *C. lusitanae*; those causing Coccidioidomycosis such as *Coccidioides immitis*; those causing Cryptococcosis such as *Cryptococcus neoformans*; those causing Histoplasmosis such as *Histoplasma capsulatum* and those causing Zygomycosis such as *Absidia corymbifera*, *Rhizomucor pusillus* and *Rhizopus arrhizus*. Further

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examples are *Fusarium* Spp such as *Fusarium oxysporum* and *Fusarium solani* and *Scedosporium* Spp such as *Scedosporium apiospermum* and *Scedosporium prolificans*. Still further examples are *Microsporium* Spp, *Trichophyton* Spp, *Epidermophyton* Spp, *Mucor* Spp, *Sporothrix* Spp, *Phialophora* Spp, *Cladosporium* Spp, *Petriellidium* spp, *Paracoccidioides* Spp and *Histoplasma* Spp.

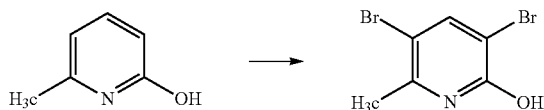
The following non-limiting Examples illustrate the above-described invention in greater detail without limiting it.

PREPARATION EXAMPLES

Example P1

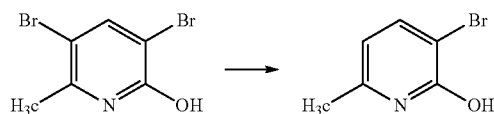
Preparation of N'-[6-(4-Chloro-3-trifluoromethylphenoxy)-5-(4-fluoro-phenyl)-2-methyl-pyridin-3-yl]-N-ethyl-N-methyl-formamidine

a) Preparation of 3,5-dibromo-6-methyl-pyridin-2-ol



In a 1.5 l five-necked reaction flask equipped with a mechanical stirrer, protected from sunlight with aluminium foil, 30.0 g of 6-methyl-pyridin-2-ol is suspended in 300 ml of dry acetonitrile and stirred at ambient temperature. Under cooling with an ice/water cooling bath, 97.9 g of N-bromo-succinimide (NBS) is added slowly portion-wise over a time interval of 25 minutes. A minor exothermicity is observed (temperature up to 29° C.). As the suspension is difficult to stir, an additional 300 ml of dry acetonitrile is added and stirring continued at ambient temperature for 1.75 hours. Thereafter, the suspension was filtered, the filter cake thoroughly washed with methanol in order to remove the succinimide, and dried to give 64.1 g of the compound as a white solid (m.p. >225° C.).

b) Preparation of 3-bromo-6-methyl-pyridin-2-ol



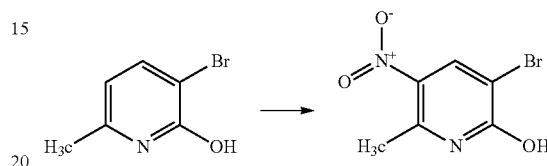
In a 1.5 l five-necked reaction flask (flame-dried), 63.1 g of 3,5-dibromo-6-methyl-pyridin-2-ol is suspended in 300 ml of dry THF and stirred under argon at ambient temperature. The reaction mixture is cooled down to -78 to -80° C. (Et₂O/dry ice cooling bath). 295 ml of a 1.6 M solution of n-butyllithium in hexane is added over 2.5 hour, whereby a temperature increase to -74° C. is observed (yellow-orange suspension). Stirring is continued at -78 to -80° C. for 1 hour. Then, 42.6 ml of water is added slowly over 15 minutes. After stirring at -78° C. for 20 minutes, the temperature was allowed to reach ambient temperature overnight. The next day, the mixture is concentrated in vacuo to give a yellow wet solid. After adding 200 ml of an aqueous NaCl solution, extraction is done using AcOEt at a pH value of 9 giving 37.2 g (gum) after drying the organic phase over sodium sulfate, filtration and concentration in vacuo and concentrating the water phase in vacuo leads to 70.1 g of a solid. The combined batches thus obtained are purified by flash chromatography [silica gel (column: h=25

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cm, Ø=12 cm) with tert-butylmethylether together with 1 volume% of AcOH]. The fractions containing predominantly the compound are combined (29.7 g altogether) and suspended in Et₂O at ambient temperature, the mixture stirred, then filtered, the filter cake washed with Et₂O to give 14.7 g of the compound as a white solid after drying (m.p.=212-213° C.).

¹H NMR (400 MHz, CDCl₃): δ 2.35(s, 3H), 5.97(d, 1H), 7.71(d, 1H), 12.35(broad, 1H).

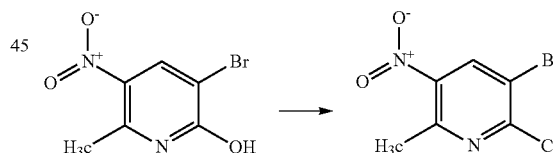
c) Preparation of 3-bromo-6-methyl-5-nitro-pyridin-2-ol



In a 500 ml single-necked round-bottomed flask, 230 ml of a 65% aqueous HNO₃ solution is added and stirred under cooling (ice/water cooling bath). 7.00 g of 3-bromo-6-methyl-pyridin-2-ol 2 at ambient temperature is introduced portion-wise. Stirring is continued for 3.5 hours at ambient temperature. After pouring the mixture into 200 ml of an ice/water mixture (pH 1), the water phase is extracted with AcOEt. The organic phase is washed twice with water brought to pH 4 by adding aqueous NaOH solution (pH meter), then dried over sodium sulfate, filtered and concentrated in vacuo to give 7.52 g of a yellow solid. This crude material is suspended in diethyl ether and stirred for 1 hour at ambient temperature, filtered, washed with the same solvent and dried to give 3.89 g of the compound as a yellow-orange solid (m.p. >220° C.).

¹H NMR (400 MHz, CDCl₃): δ 2.86(s, 3H), 8.66(s, 1H), 12.75(broad, 1H).

d) Preparation of 3-bromo-2-chloro-6-methyl-5-nitro-pyridine

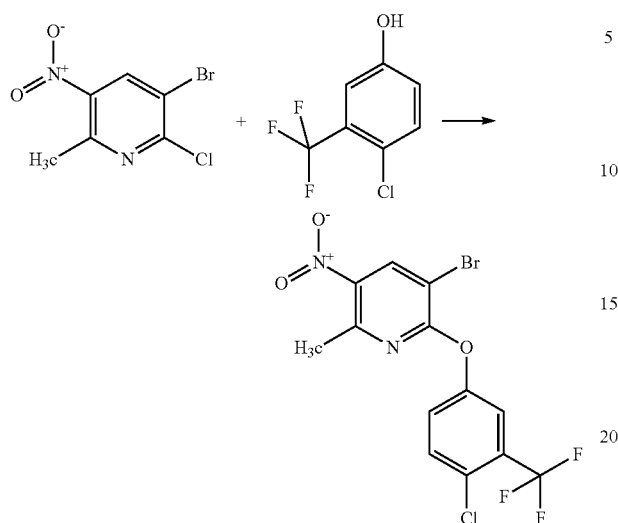


In a 100 ml single-necked round-bottomed flask equipped with a condenser, 4.36 g of the pyridone is introduced into 17 ml of phosphorous oxide chloride (brown suspension). This mixture is then stirred under heating to reflux for 7 h. After cooling the mixture to ambient temperature, it is concentrated in vacuo at 50° C., followed by adding toluene and concentrating in vacuo for three times, to obtain a brown oily gum. This gum is treated with ice followed by an excess of saturated aqueous sodium bicarbonate solution. The extraction is carried out with AcOEt. The organic phase is dried over sodium sulfate, filtered and concentrated in vacuo to get 3.79 g of a brown solid. Purification by flash chromatography over a silica gel cartridge (50 g, 150 ml) of a solid deposition with heptane/ethyl acetate 95:5 (v:v) gives 3.32 g of the compound as a light yellow solid (m.p.=76-78° C.).

¹H NMR (400 MHz, CDCl₃): δ 2.82(s, 3H), 8.55(s, 1H).

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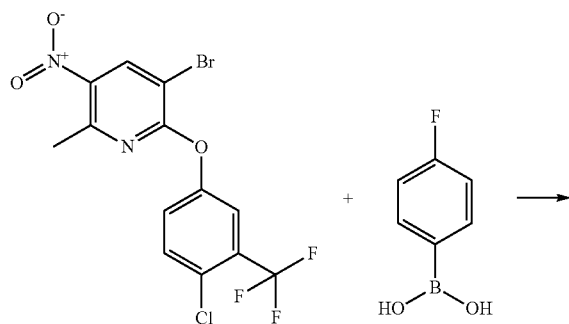
e) Preparation of 3-bromo-2-(4-chloro-3-trifluoromethyl-phenoxy)-6-methyl-5-nitro-pyridine



In a 50 mL single-necked round-bottomed flask, 0.13 ml of hexamethyldisilazane and 1.21 g of 4-chloro-3-trifluoromethyl-phenol are dissolved and stirred in 3.0 ml of dry dioxane under Argon atmosphere at ambient temperature. To this mixture, 270 mg of 55% sodium hydride suspension is added carefully (gas evolution) and stirring is continued for 30 minutes. After this, a solution of 1.55 g of 3-bromo-2-chloro-6-methyl-5-nitro-pyridine in 4.0 ml of dry dioxane is added dropwise by syringe and stirring is continued for 22 hours at ambient temperature. The reaction is then quenched by the addition of an excess of a dilute aqueous NaOH solution (pH=12 of water phase) and extraction carried out with cyclohexane. The organic phase is dried over sodium sulfate, filtered and concentrated in vacuo to obtain an orange oil. Purification by flash chromatography over a silica gel cartridge (50 g, 150 ml) using heptane/ethyl acetate 95:5 (v:v) as eluent gave 480 mg of the compound in the form of a wet solid.

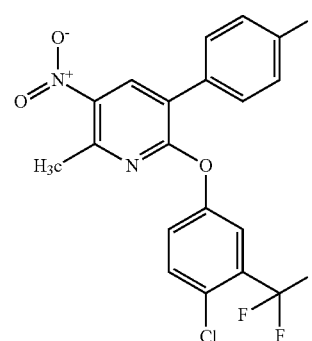
¹H NMR (400 MHz, CDCl₃): δ 2.65(s, 3H), 7.07(dd, 1H), 7.55(d, 1H), 7.58(d, 1H), 8.65(s, 1H).

f) Preparation of 2-(4-chloro-3-trifluoromethyl-phenoxy)-3-(4-fluoro-phenyl)-6-methyl-5-nitro-pyridine



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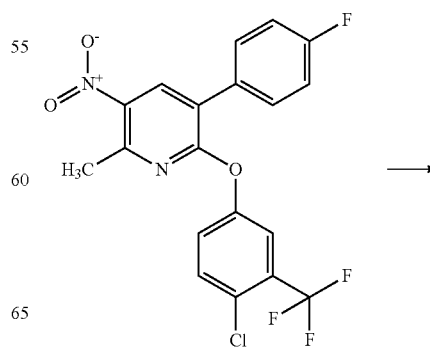
-continued



In a 50 mL single-necked round-bottomed flask equipped with a condenser 260 mg of 3-bromo-2-(4-chloro-3-trifluoromethyl-phenoxy)-6-methyl-5-nitro-pyridine and 97 mg the p-fluorophenyl boronic acid are dissolved and stirred in 1.7 ml of dioxane at ambient temperature (yellow solution) under Argon atmosphere. 228 mg K₃PO₄ dissolved in 0.85 ml of H₂O is then added. The mixture is then degassed by stirring under Argon for 15 min. Now, 4.3 mg of tricyclohexylphosphine together with 3.6 mg of bis(benzylideneacetone)palladium are added. Thereafter, the solution is stirred vigorously at 100° C. for 6.5 h. The dark brown suspension is then cooled down to ambient temperature, followed by the addition of 10 ml of saturated aqueous NH₄Cl solution. This mixture is extracted with AcOEt. The organic phase is dried over Na₂SO₄, filtered and concentrated in vacuo to give 340 mg of a dark brown oil. After purification by flash chromatography [silica gel cartridge (20 g, 60 ml) of a solid deposition with heptane/ethyl acetate 95:5 (v:v), then 9:1 (v/v)] 120 mg of the compound is obtained as a yellow oil.

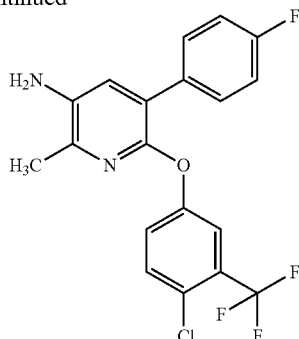
TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 2:1 (v:v); R_f of compound=0.50.

g) Preparation of 6-(4-Chloro-3-trifluoromethyl-phenoxy)-5-(4-fluoro-phenyl)-2-methyl-pyridin-3-ylamine



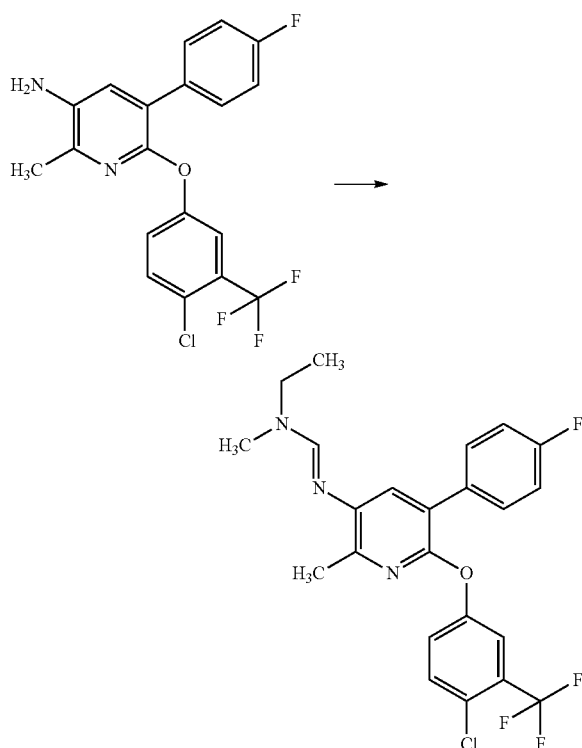
39

-continued



In a 50 mL single-necked round-bottomed flask equipped with a condenser, 120 mg of starting material is stirred in 0.50 ml of methanol (light yellow suspension). Under ice cooling, 0.50 ml of concentrated aqueous HCl is added dropwise by syringe (more precipitation). The ice bath is removed and 270 mg of anhydrous SnCl_2 is added slowly (light yellow suspension). Stirring is continued under heating to reflux for 6.5 h (light yellow solution). Then, the resulting mixture is concentrated in vacuo to give a beige wet solid. After adding AcOEt, 5 ml of 4 M aqueous NaOH solution is added. After extraction, the organic phase is dried over Na_2SO_4 , filtered (sintered glass filter) and the solvent removed in vacuo to give 110 mg of the compound in unpurified form (light yellow brown oil). Purification was done by flash chromatography (silica gel cartridge (20 g, 60 mL) of a solid deposition with heptane/ethyl acetate 2:1 (v:v)) to give 60 mg of the compound as a yellow oil. RP HPLC: retention time of compound: 2.10 minutes

h) Preparation of N'-[6-(4-chloro-3-trifluoromethyl-phenoxy)-5-(4-fluoro-phenyl)-2-methyl-pyridin-3-yl]-N-ethyl-N-methyl-formamidine



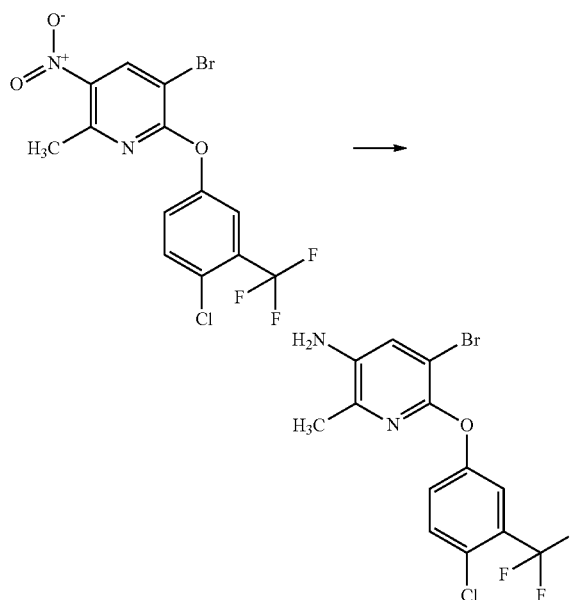
40

In a 25 ml single-necked round-bottomed flask, 30 mg of ethylmethylformamide is solubilized in 0.5 ml of dry dichloromethane at ambient temperature (colourless solution). Under stirring, 50 mg of phosphorous oxide chloride is added dropwise by syringe. Stirring at ambient temperature is continued for 1.5 hours, whereupon a pink-orange solution is obtained. After this, 60 mg of the starting material dissolved in 1 ml of dry dichloromethane is added dropwise by syringe, giving a yellow solution. Stirring is continued at ambient temperature for 2 hours. The mixture is then poured onto ice/water (pH=2, water phase). 2 M aqueous NaOH is then added to get a pH of about 11 and stirring is continued for 5 minutes. The mixture is then extracted with two 10 ml portions of diethyl ether. The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo to obtain 80 mg of the compound in unpurified form as a yellow oil. RP HPLC: Retention time of compound: 1.55 minutes.

Example P2

Preparation of N'-[5-bromo-6-(4-chloro-3-trifluoromethyl-phenoxy)-2-methyl-pyridin-3-yl]-N-ethyl-N-methyl-formamidine

a) Preparation of 5-Bromo-6-(4-chloro-3-trifluoromethyl-phenoxy)-2-methyl-pyridin-3-ylamine



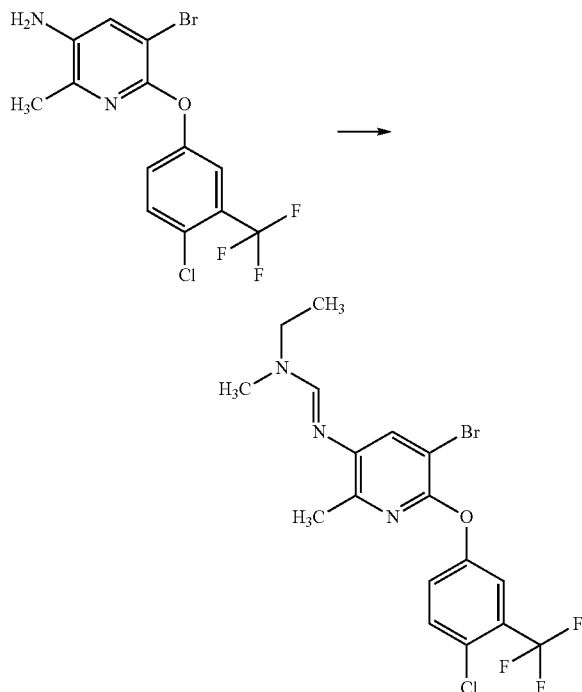
In a 50 ml single-necked round-bottomed flask equipped with a condenser, 140 mg of crude 3-bromo-2-(4-chloro-3-trifluoromethyl-phenoxy)-6-methyl-5-nitro-pyridine is stirred in 0.50 ml of methanol (yellow suspension). Under cooling with an ice/water bath, 0.50 ml of concentrated aqueous HCl is added dropwise by syringe (precipitation). The ice bath is removed and 322 mg of anhydrous SnCl_2 is added in portions. Stirring is continued under heating to reflux for 4.5 h (yellow solution). After cooling the mixture to ambient temperature, it is concentrated in vacuo to give a yellow oil.

After adding AcOEt, 5 ml of 4 M aqueous NaOH solution is added (pH 12). After extraction, the AcOEt phase is dried over Na_2SO_4 , filtered and the solvent removed in vacuo to give 150 mg of a yellow oil. Purification was done by flash chromatography [silica gel cartridge (20 g, 60 ml) of a solid

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deposition with heptane/ethyl acetate 2:1 (v:v)] to give 80 mg of the compound in the form of a light yellow solid. RP HPLC: Retention time of compound: 2.04 minutes.

b) Preparation of N'-[5-bromo-6-(4-chloro-3-trifluoromethyl-phenoxy)-2-methyl-pyridin-3-yl]-N-ethyl-N-methyl-formamidine



In a 25 ml single-necked round-bottomed flask, 36.5 mg of ethylmethylformamide is solubilized in 0.5 ml of dry dichloromethane at ambient temperature (colourless solution). Under stirring, 0.038 ml of phosphorous oxide chloride is added dropwise by syringe. Stirring at ambient temperature is continued for 1.75 hours, whereupon a pink-orange solution is obtained. To this solution, 80 mg of 5-bromo-6-(4-chloro-3-trifluoromethyl-phenoxy)-2-methyl-pyridine is added dropwise by syringe, giving a yellow solution. Stirring is continued at an ambient temperature for 45 minutes. The mixture is then poured into ice/water (pH=2, water phase). 2 M aqueous NaOH is then added to get a pH of about 11 and stirring is continued for 10 minutes. The mixture is then extracted with two 10 ml portions of diethyl ether. The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo to obtain 80 mg of the compound as a yellow oil (mixture of E and Z isomer).

¹H NMR (400 MHz, CDCl₃): δ 1.15-1.35(broad, 3H), 2.34 (s, 3H), 3.03(s, 3H), 3.25-3.60(broad, 2H), 7.16 and 7.19(dd, 1H), 7.35(s, 1H), 7.42(m, 1H), 7.45(m, 1H), 7.30-7.55(broad, 1H).

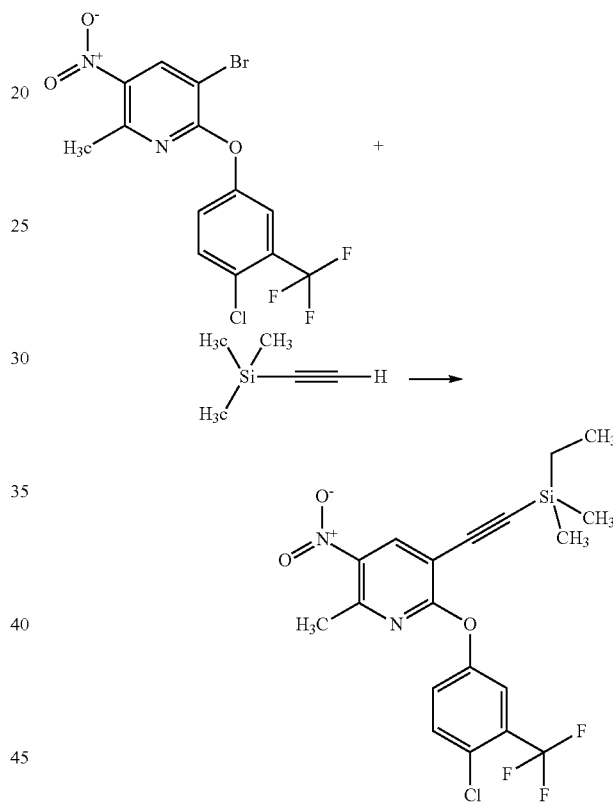
42

TLC: Plates: Merck DC-Platrd, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 2:1 (v:v); R_f of compound=0.27.

Example P3

Preparation of N'-[6-(4-chloro-3-trifluoromethyl-phenoxy)-2-methyl-5-trimethylsilanylethynyl-pyridin-3-yl]-N-ethyl-N-methyl-formamidine

a) Preparation of 2-(4-chloro-3-trifluoromethyl-phenoxy)-6-methyl-5-nitro-3-trimethylsilanylethynyl-pyridine

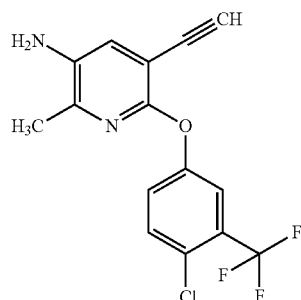
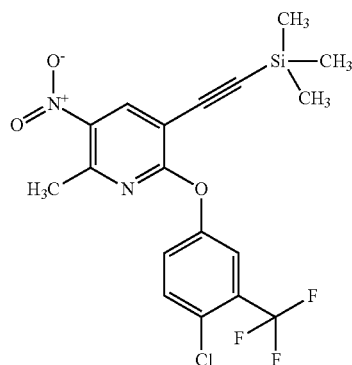


In a 50 ml single-necked round-bottomed flask equipped with a condenser 220 mg of 3-bromo-2-(4-chloro-3-trifluoromethyl-phenoxy)-6-methyl-5-nitro-pyridine is dissolved in 4.0 ml of diisopropylamine and the solution is stirred at ambient temperature under Argon atmosphere. After 20 minutes, 15 mg of cuprous iodide and 56 mg of bis(triphenylphosphin)palladium dichloride are added. This is followed by the dropwise addition of 0.081 ml of ethynyltrimethylsilane. The red solution thus obtained is stirred at 70° C. for 5 h. After cooling the mixture to ambient temperature, it is concentrated in vacuo to obtain 490 mg of a brown solid. Purification of this crude product was carried out by flash chromatography over a silica gel cartridge (20 g; 60 ml) of a solid deposition, with heptane/ethyl acetate 98:2 (v:v) to obtain 40 mg of the compound as a brown oil.

TLC: Plates: Merck DC-Platten, Kieselgel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 2:1 (v:v); R_f of compound=0.63.

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b) Preparation of 6-(4-chloro-3-trifluoromethyl-phenoxy)-5-ethynyl-2-methyl-pyridin-3-ylamine

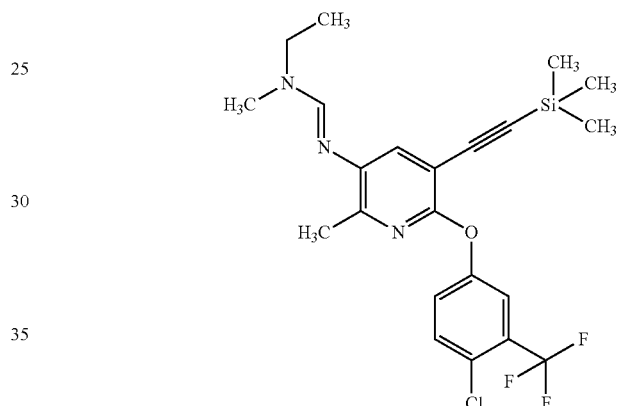
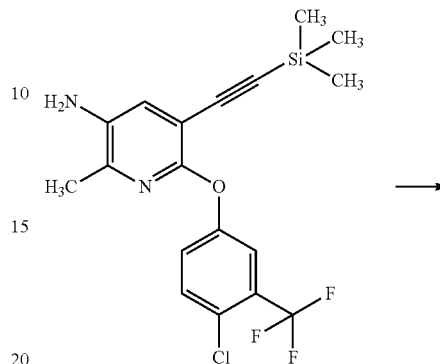


In a 50 ml single-necked round-bottomed flask equipped with a condenser, 35 mg of 2-(4-chloro-3-trifluoromethyl-phenoxy)-6-methyl-5-nitro-3-trimethylsilanylethynyl-pyridine is stirred in 0.50 ml of methanol. Under cooling with an ice/water bath, 0.50 ml of concentrated aqueous HCl is added dropwise by syringe (some precipitation is observed). The ice bath is removed and 77 mg of anhydrous SnCl_2 is added in portions. Stirring is continued under heating to reflux for 2 h. After cooling the mixture to ambient temperature, it is concentrated in vacuo to give a brown solid. After adding AcOEt, 5 ml of 4 M aqueous NaOH solution is added (pH 12). Following extraction, the AcOEt phase is dried over Na_2SO_4 , filtered and the solvent removed in vacuo to give 30 mg a brown oil. Purification was done by flash chromatography [silica gel cartridge (5 g, 20 ml) with heptane/ethyl acetate 3:1 (v:v)] to give 7 mg of a 1. fraction (6-(4-chloro-3-trifluoromethyl-phenoxy)-2-methyl-5-trimethylsilanylethynyl-pyridin-3-ylamine) and 15 mg of a 2. fraction of the compound as a brown solid. RP HPLC: Retention time of compound: 1.87 minutes.

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c) Preparation of N'-[6-(4-chloro-3-trifluoromethyl-phenoxy)-2-methyl-5-trimethylsilanylethynyl-pyridin-3-yl]-N-ethyl-N-methyl-formamidine

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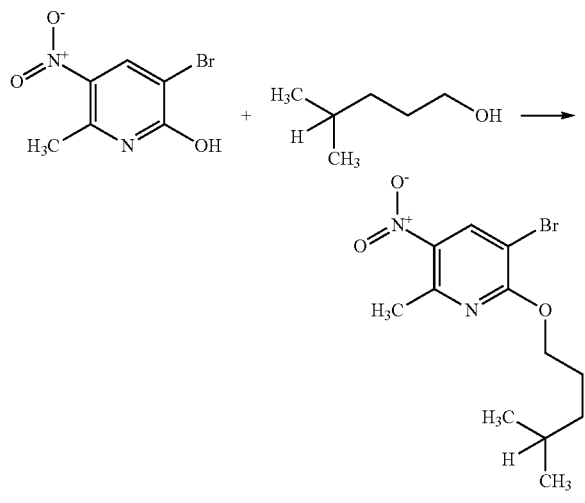
In a 10 ml single-necked round-bottomed flask, 3.1 mg of ethylmethylformamide is solubilized in 0.25 ml of dry dichloromethane at ambient temperature (colourless solution). Under stirring, 0.0032 ml of phosphorous oxide chloride is added dropwise by syringe. Stirring at ambient temperature is continued for 1.0 hour, whereupon a pink-orange solution is obtained. To this solution, 7.0 mg of 6-(4-chloro-3-trifluoromethyl-phenoxy)-2-methyl-5-trimethylsilanylethynyl-pyridin-3-ylamine dissolved in 0.75 ml of dry dichloromethane is added dropwise by syringe, giving a yellow solution. Stirring is continued at room temperature for 2.5 hours. The mixture is then poured into ice/water (pH=2, water phase). 2 M aqueous NaOH is then added to get a pH of about 11 and stirring is continued for 15 minutes. The mixture is then extracted with two 10 ml portions of diethyl ether. The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo to obtain 6.0 mg of the compound as a yellow oil. RP HPLC: retention time of compound: 1.61 minutes.

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Example P4

Preparation of N'-[5-bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-yl]-N-ethyl-N-methyl-formamidine

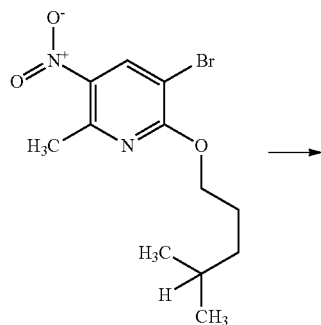
a) Preparation of 3-bromo-6-methyl-2-(4-methyl-pentyloxy)-5-nitro-pyridine



In a 50 ml single-necked round-bottomed flask, 1.00 g of 3-bromo-6-methyl-5-nitro-pyridin-2-ol is dissolved in 4.50 ml of dry dioxane and stirred at ambient temperature under Ar (yellow-orange suspension). 0.593 ml of 4-methyl-1-pentanol together with 2.354 g of triphenylphosphine are added. Then, 0.801 ml of diethyl azodicarboxylate (DEAD) is added dropwise by syringe over 10 min, during this addition a moderate exothermicity is observed. Stirring is continued at ambient temperature for 4.5 hours. The reaction mixture is then quenched by the addition of 10 ml of water (pH=5-6), followed by the extraction with pentane (3x20 mL). The combined organic phases are dried over sodium sulfate, filtered and the solvent removed in vacuo to give 1.87 g of the compound as a yellow-orange oil.

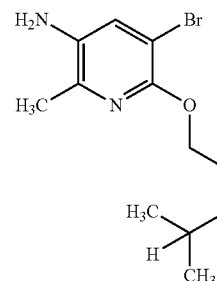
TLC: Plates: Merck DC-Platten, Kieselgel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 1:1 NM; R_f of compound=0.72.

b) Preparation of 5-bromo-2-methyl-6-(4-methyl-pentyloxy)-Pyridin-3-ylamine



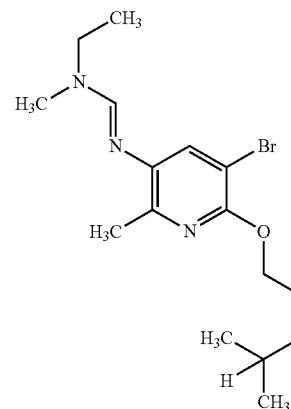
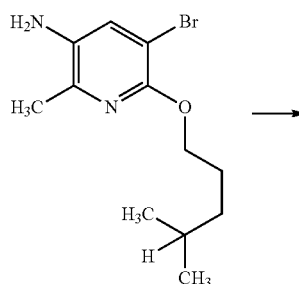
46

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In a 50 ml single-necked round-bottomed flask equipped with a condenser, 1.36 g of crude 3-bromo-6-methyl-2-(4-methyl-pentyloxy)-5-nitro-pyridine is dissolved in 3.15 ml of methanol and the resulting solution stirred. Under cooling using an ice/water bath, 3.15 ml of concentrated aqueous HCl is added dropwise by syringe (precipitation is observed). The ice bath is removed and 2.23 g anhydrous SnCl₂ is added in portions. Stirring is continued under heating to reflux for 5.5 h (yellow suspension). After cooling this mixture to ambient temperature, it is concentrated in vacuo to give a yellow solid. After adding dichloromethane, 10 ml of a 4 M aqueous NaOH solution is added (pH 12). After extraction, the organic phase is dried over Na₂SO₄, filtered and the solvent removed in vacuo to give 1.62 g of a yellow oil. Purification is done by flash chromatography [silica gel cartridge (50 g, 150 ml) of a solid deposition with heptane/ethyl acetate 4:1 (v:v)] to give 490 mg of the compound in the form of a yellow oil. RP HPLC: Retention time of compound: 2.12 minutes.

c) Preparation of N'-[5-bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-yl]-N-ethyl-N-methyl-formamidine



In a 50 ml single-necked round-bottomed flask, 182 mg of ethylmethylformamide is solubilized in 3.0 ml of dry dichloro-

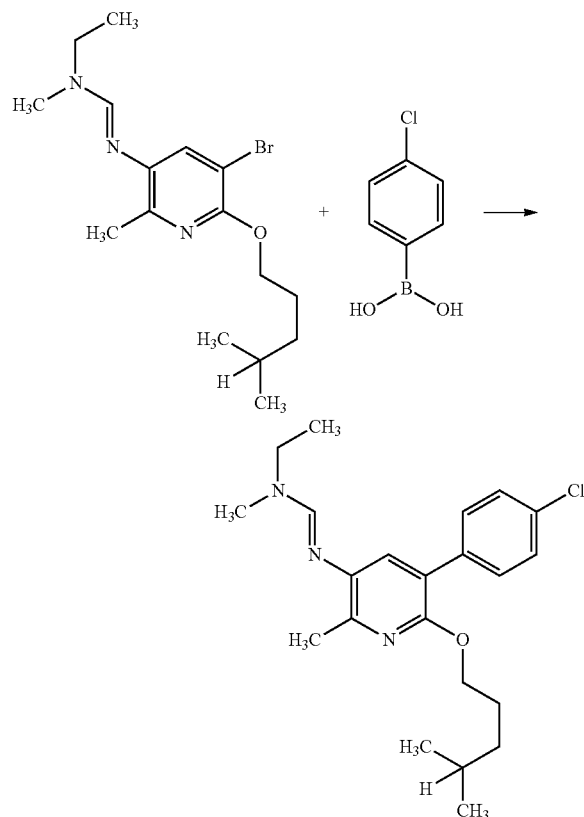
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romethane (colourless solution). Under stirring, 0.191 ml of phosphorous oxide chloride is added dropwise by syringe at ambient temperature. Stirring at ambient temperature is continued for 1.75 hours, whereupon a pink-orange solution is formed. 300 mg of 5-bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-ylamine dissolved in 1.50 ml of dry dichloromethane is then added dropwise by syringe, the solution turning yellow. Stirring is continued at ambient temperature for 5 hours. The solution is then poured into ice/water (pH=2, water phase). 2 M aqueous NaOH is added to a pH of about 11 and the mixture is stirred for 10 minutes. The mixture is then extracted with two 10 ml portions of diethyl ether. The combined ether phases are dried over sodium sulfate, filtered and the solvent is removed in vacuo to obtain 380 mg of the compound as a yellow oil.

¹H NMR (400 MHz, CDCl₃): δ 0.91(d, 6H), 1.15-1.40(m, m, 5 H), 1.61(m, 1H), 1.78(m, 2H), 2.38(s, 3H), 3.04(broad, 3H), 3.25-3.60(broad, 2H), 4.30(t, 2H), 7.28(s, 1H), 7.30-7.50(broad, 1H). TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 1:1 (v:v); R_f of compound=0.48.

Example P5

Preparation of N'-[5-(4-Chloro-phenyl)-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-yl]-N-ethyl-N-methyl-formamidine



In a 10 ml single-necked round-bottomed flask equipped with a condensor (equipment flame-dried), 160 mg of crude N'-[5-bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-yl]-N-ethyl-N-methyl-formamidine and 77.2 mg p-chlorophenyl boronic acid are dissolved in 1.20 ml of dioxane. To

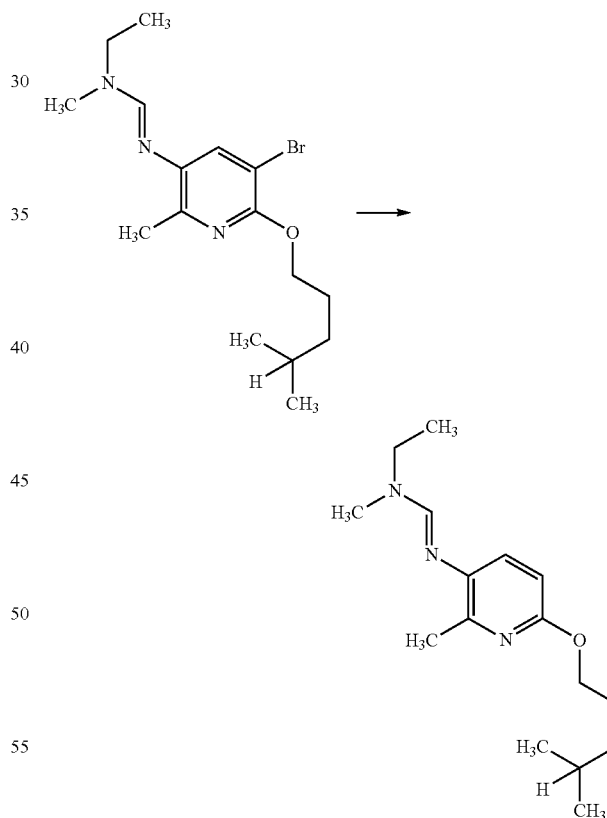
48

this solution, 162 mg of K₃PO₄ in 0.60 ml of water is added at ambient temperature under Argon atmosphere. The resulting biphasic mixture is degassed under Argon atmosphere for 20 minutes, whereupon 3.0 mg of tricyclohexylphosphine and 2.6 mg of bis(benzylideneacetone)palladium are added. The resulting suspension is vigorously stirred at a temperature of 100° C. for 5 hours. After letting the reaction mixture reach ambient temperature, 5.0 ml of a saturated aqueous NH₄Cl solution is added. The water phase is extracted with AcOEt. The organic phase is dried over sodium sulfate, filtered and the solvent removed in vacuo to get 220 mg of a yellow oil. Purification by flash chromatography over a silica gel cartridge (20 g; 60 ml) of a solid deposition with heptane/ethyl acetate 9:1, then 4:1, then 3:2 (v:v) gave 80 mg of the compound as a yellow oil.

¹H NMR (400 MHz, CDCl₃): δ 0.88(d, 6H); 1.20(t, 3H), 1.23(m, 2H), 1.58(m, 1H), 1.72(m, 2H), 2.44(s, 3H), 3.02(s, 3H), 3.15-3.60(broad, 2H), 4.29(t, 2H), 7.06(s, 1H), 7.34(d, 2H), 7.42(broad, 1H), 7.52(d, 2H).

Example P6

Preparation of N-ethyl-N-methyl-N'-[2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-yl]-formamidine



In a 50 ml single-necked round-bottomed flask (flame dried) 150 mg of N'-[5-bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-yl]-N-ethyl-N-methyl-formamidine is dissolved in 1.0 ml of absolute THF and stirred under Argon atmosphere. The solution is cooled down to -82° C. (dry ice/acetone cooling bath). Under stirring, 0.263 ml of a 1.6 M solution of n-butyllithium in hexane is added dropwise by syringe. Stirring at -82° C. is continued for 45 min. Then,

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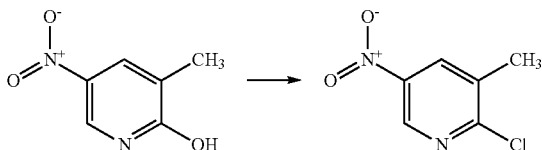
0.091 ml of trimethylchlorosilane is added dropwise by syringe and stirring continued at -82°C . for 3 hours. After this period of time, the reaction mixture is allowed to warm up to ambient temperature. Afterwards, the reaction is quenched by the addition of 0.020 ml of AcOH, followed by 5.0 ml of water. The water phase is extracted with diethyl ether and the resulting organic phase is dried over sodium sulfate, filtered and the solvent removed in vacuo to give 30 mg of a yellow oil. The aqueous phase is then brought to pH 7 by the addition of 10 ml of a saturated aqueous solution of NaHCO_3 . This is followed by extraction using diethyl ether, drying of the organic phase, filtration and concentration in vacuo to give 90 mg of a yellow oil. The 2 oily fractions are combined and purified by flash chromatography [silica gel cartridge (20 g, 60 ml) with heptane/ethyl acetate 95:5, then 9:1, then 4:1 (v:v)] to give 30 mg of the compound as a yellow oil.

^1H NMR (400 MHz, CDCl_3): δ 0.90(d, 6H), 1.20(t, 3H), 1.33(m, 2H), 1.60(m, 1H), 1.76(m, 2H), 2.41(s, 3H), 2.99(s, 3H), 3.20-3.50(broad, 1H), 3.35(broad, 1H), 4.18(t, 2H), 6.46(d, 1H), 7.01(d, 1H), 7.38(broad, 1H). RP HPLC: Retention time of compound: 1.26 minutes.

Example P7

Preparation of N'-[6-(4-chloro-3-trifluoromethyl-phenoxy)-5-methyl-pyridin-3-yl]-N-ethyl-N-methyl-formamidine

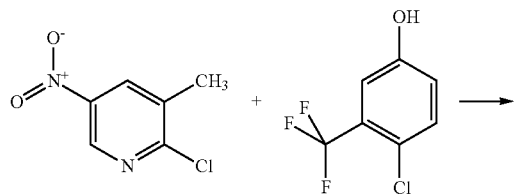
a) Preparation of 2-chloro-3-methyl-5-nitro-pyridine



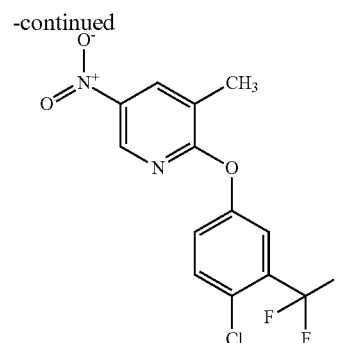
A 350 ml three-necked round-bottomed flask equipped with a magnetic bar, a thermometer, a dropping funnel and a reflux condenser is charged with 3-methyl-5-nitro-pyridin-2-ol (23.1 g), and 1,2-dichloroethane (150 ml). Phosphorous oxide chloride (17 ml) is added dropwise. Into this mixture DMF (11.5 ml) is added dropwise at room temperature. The reaction mixture is heated at 70°C . under stirring for 0.5 hour. After cooling the mixture to ambient temperature, it is concentrated in vacuo at 50°C ., to obtain a brown oily gum. Purification of this gum by flash chromatography over silica gel with hexane/ethyl acetate 7:3 (v:v) gives 23.34 g of the compound as a light yellow solid (MP: $40-42^{\circ}\text{C}$.).

^1H NMR (400 MHz, CDCl_3): δ 2.55(s, 3H, CH_3), 8.35(d, 1H), 9.11(d, 1H).

b) Preparation of 2-(4-chloro-3-trifluoromethyl-phenoxy)-3-methyl-5-nitro-pyridine



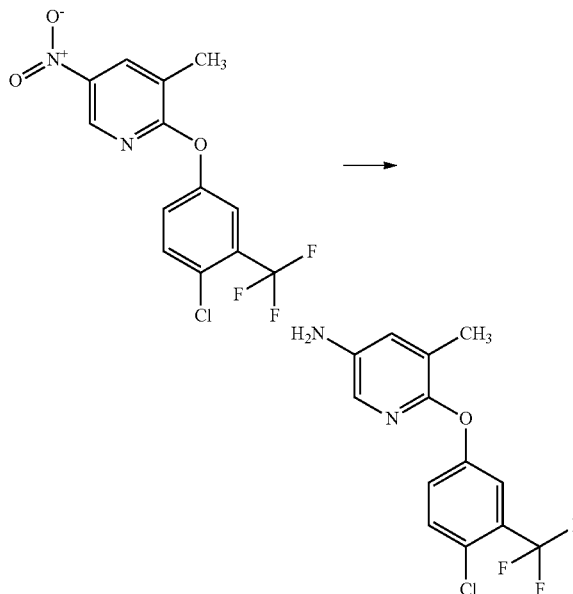
50



A 250 ml two-necked round-bottomed flask equipped with a magnetic bar, a thermometer and a reflux condenser is charged with DMF (50 ml), 4-chloro-3-trifluoromethyl-phenol (4.6 g), 2-chloro-3-methyl-5-nitro-pyridine (4.0 g) and potassium carbonate (6.4 g). The reaction mixture is heated at 100°C . for 2.5 hours. After cooling the mixture to room temperature it is then poured into water (200 ml). The mixture is then extracted with ethylacetate (2x40 ml). The combined organic layers are dried over sodium sulfate, filtered and the solvent is removed in vacuo to obtain 6.10 g of the compound as yellow solid (MP: $95-97^{\circ}\text{C}$.).

^1H NMR (400 MHz, CDCl_3): δ 2.50(s, 3H, CH_3), 7.30(dxd, 1H), 7.49(d, 1H), 7.55(d, 1H), 8.35(d, 1H), 8.80(d, 1H).

c) Preparation of 6-(4-chloro-3-trifluoromethyl-phenoxy)-5-methyl-pyridin-3-ylamine



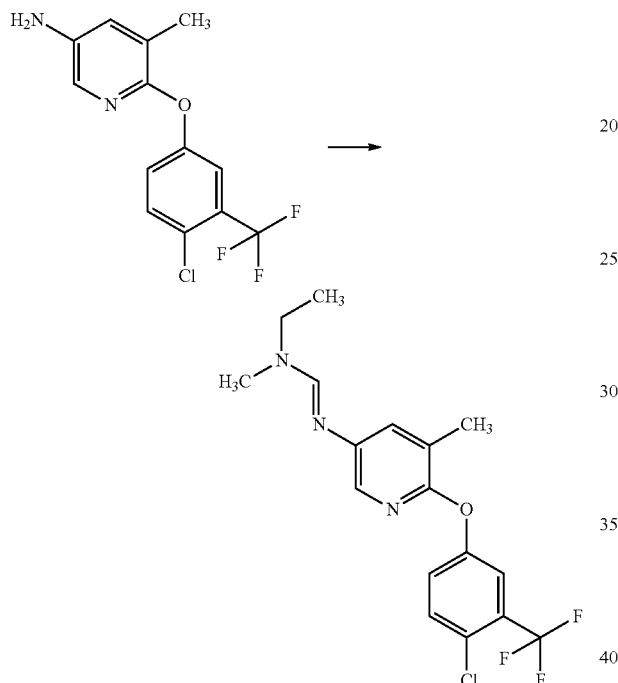
A 250 ml two-necked round-bottomed flask equipped with a KPG-stirrer, a thermometer and a reflux condenser is charged with ethanol (100 ml), water (10 ml), iron (3.11 g) and hydrochloric acid 37% (0.3 ml). The reaction mixture is heated at 50°C . 2-(4-chloro-3-trifluoromethyl-phenoxy)-3-methyl-5-nitro-pyridine (5.81 g) is added portionwise. The mixture was heated at reflux for 2 hours. After cooling the mixture to 50°C . it is filtered through celite. The filtrate is poured into water (200 ml) and extracted with ethylacetate

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(2×50 ml). The combined organic layers are washed with brine (100 ml), dried over sodium sulfate, filtered and the solvent is removed in vacuo to obtain 4.20 g of the compound as yellow solid (MP: 92-94° C.).

¹H NMR (400 MHz, CDCl₃): δ 2.25 (s, 3H, CH₃), 3.55 (s, 2H, NH₂), 6.98 (d, 1H), 7.14 (dxd, 1H), 7.38 (d, 1H), 7.45 (d, 1H), 7.52 (d, 1H).

d) Preparation of N'-[6-(4-chloro-3-trifluoromethyl-phenoxy)-5-methyl-pyridin-3-yl]-N-ethyl-N-methyl-formamidine



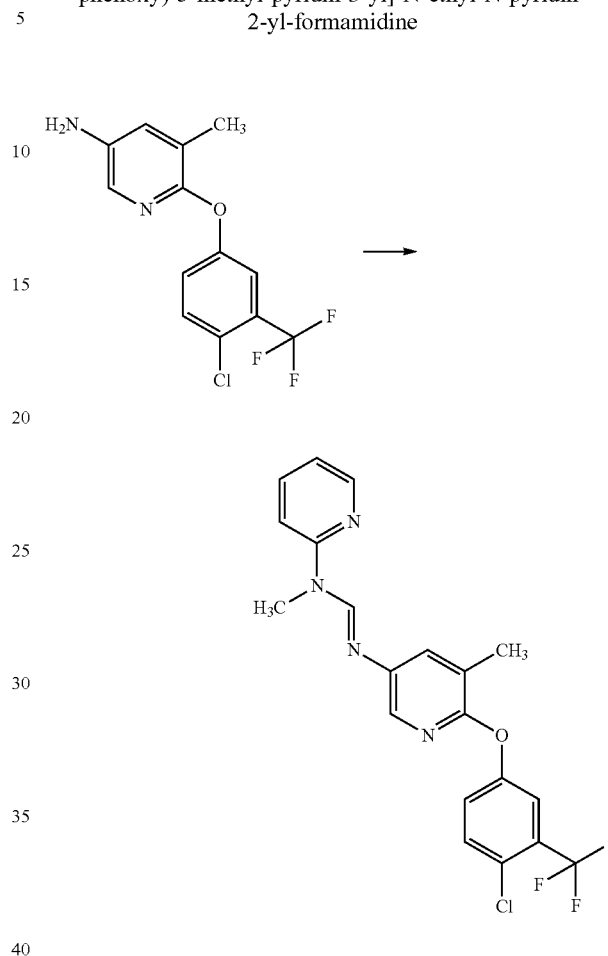
In a 25 ml single-necked round-bottomed flask, ethylmeth-
ylformamide (350 mg) is solubilized in dry dichloromethane
(4 ml) at ambient temperature (colourless solution). Under
stirring phosphorous oxide chloride (0.4 ml) is added drop-
wise by syringe. Stirring at ambient temperature is continued
for 1 hour, whereupon a pink-orange solution is obtained. To
this solution, 6-(4-Chloro-3-trifluoromethyl-phenoxy)-5-
methyl-pyridin-3-ylamine (0.6 g) dissolved in 1.0 ml of dry
dichloromethane is added dropwise by syringe, giving a yel-
low solution. Stirring is continued at an ambient temperature
for 1 hour. The mixture is then poured into ice/water (pH=2,
water phase). 2 M aqueous NaOH is then added to get a pH of
about 11 and stirring is continued for 10 minutes. The mixture
is then extracted with dichloromethane (2×50 ml). The com-
bined organic phases are then dried over sodium sulfate,
filtered and the solvent is removed in vacuo. Purification of
this gum by flash chromatography over silica gel with hexane/
ethyl acetate 1:2 (v:v) gives 0.52 g of the compound as a
yellow oil.

¹H NMR (400 MHz, CDCl₃): δ 1.19-1.24(t, 3, CH₃), 2.28
(s, 3H, CH₃), 3.00(s, 3H, CH₃), 3.28-3.53(m, 2H, CH₂), 7.15-
7.26(m, 2H), 7.40(d, 1H), 7.46(d, 1H), 7.55(s, 1H), 7.65(d,
1H).

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Example P8

Preparation of N'-[6-(4-chloro-3-trifluoromethyl-
phenoxy)-5-methyl-pyridin-3-yl]-N-ethyl-N-pyridin-
2-yl-formamidine



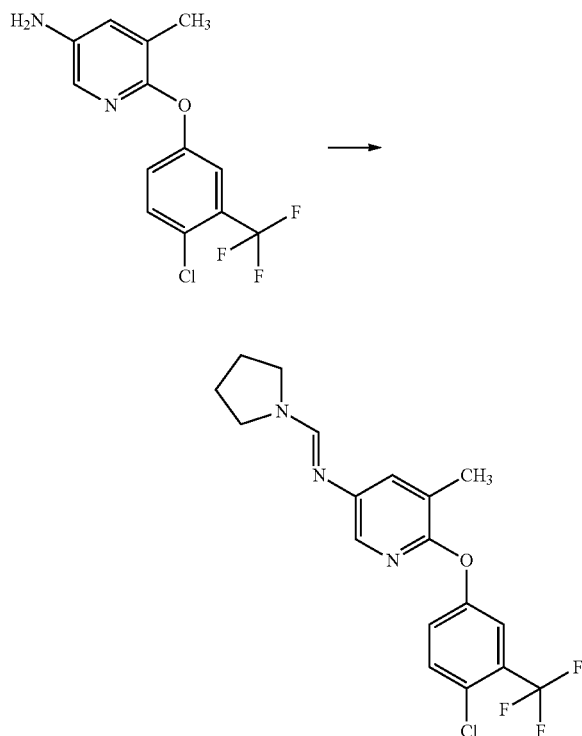
In a 25 ml single-necked round-bottomed flask, N-methyl-
N-pyridin-2-yl-formamide (0.5 ml) is solubilized in dry
dichloromethane (4 ml) at ambient temperature (colourless
solution). Under stirring phosphorous oxide chloride (0.4 ml)
is added dropwise by syringe. Stirring at ambient temperature
is continued for 1 hour. To this solution, 6-(4-Chloro-3-trif-
luoromethyl-phenoxy)-5-methyl-pyridin-3-ylamine (0.6 g)
dissolved in 1.0 ml of dry dichloromethane is added dropwise
by syringe, giving a yellow solution. Stirring is continued at
an ambient temperature for 1 hour. The mixture is then poured
into ice/water (pH=2, water phase). 2 M aqueous NaOH is
then added to get a pH of about 11 and stirring is continued for
10 minutes. The mixture is then extracted with dichlo-
romethane (2×50 ml). The combined organic phases are then
dried over sodium sulfate, filtered and the solvent is removed
in vacuo. Purification of this gum by flash chromatography
over silica gel with hexane/ethyl acetate 1:1 (v:v) gives 0.33
g of the compound as a yellow oil.

¹H NMR (400 MHz, CDCl₃): δ 2.34(t, 3, CH₃), 3.53(s, 3H,
CH₃), 6.96(d, 1H), 7.00(dxd, 1H), 7.21(dxd, 1H), 7.38(d,
1H), 7.45-7.51(m, 2H), 7.68-7.72(m, 1H), 7.79(d, 1H), 8.33
(dxd, 1H), 9.11(s, 1H).

53

Example P9

Preparation of [6-(4-chloro-3-trifluoromethyl-phenoxy)-5-methyl-pyridin-3-yl]-(1-pyrrolidin-1-methyldene)-amine



In a 25 ml single-necked round-bottomed flask, pyrrolidine-1-carbaldehyde (0.4 ml) is solubilized in dry dichloromethane (4 ml) at ambient temperature (colourless solution). Under stirring phosphorous oxide chloride (0.4 ml) is added dropwise by syringe. Stirring at ambient temperature is continued for 1 hour. To this solution, 6-(4-Chloro-3-trifluoromethyl-phenoxy)-5-methyl-pyridin-3-ylamine (0.6 g) dissolved in 1.0 ml of dry dichloromethane is added dropwise by syringe, giving a yellow solution. Stirring is continued at an ambient temperature for 1 hour. The mixture is then poured into ice/water (pH=2, water phase). 2 M aqueous NaOH is then added to get a pH of about 11 and stirring is continued for 10 minutes. The mixture is then extracted with dichloromethane (2x50 ml). The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo. Purification of this gum (0.7 g) by flash chromatography over silica gel with ethyl acetate gives 0.59 g of the compound as a yellow oil.

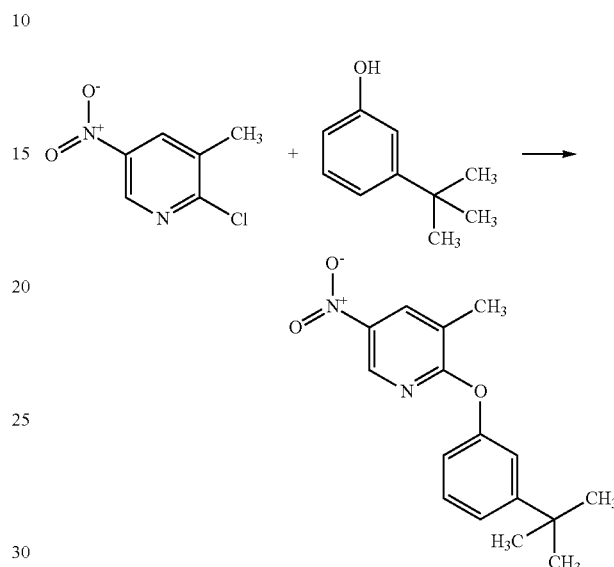
¹H NMR (400 MHz, CDCl₃): δ 1.95 (m_{br}, 4H, 2xCH₂), 2.28 (s, 3H, CH₃), 3.50-3.55 (m, 4H, 2xCH₂), 7.17 (dxd, 1H), 7.23 (d, 1H), 7.39 (d, 1H), 7.55 (d, 1H), 7.64 (d, 1H), 7.75 (s, 1H).

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Example P10

Preparation of N'-[6-(3-tert-butyl-phenoxy)-5-methyl-pyridin-3-yl]-N-ethyl-N-methyl-formamidine

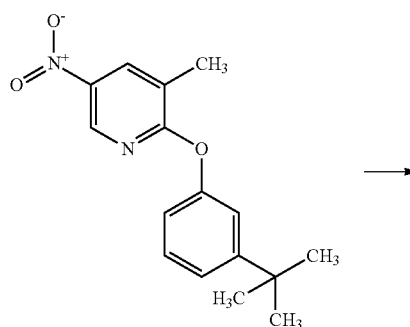
a) Preparation of 2-(3-tert-butyl-phenoxy)-3-methyl-5-nitro-pyridine



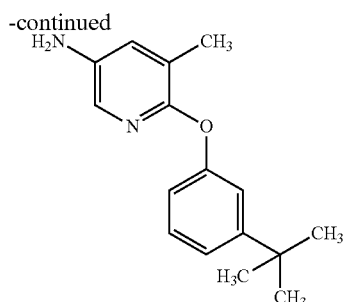
A 50 ml two-necked round-bottomed flask equipped with a magnetic bar, a thermometer and a reflux condenser is charged with DMF (50 ml), 3-tert-butyl-phenol (1.5 g), 2-chloro-3-methyl-5-nitro-pyridine (1.73 g) and potassium carbonate (2.76 g). The reaction mixture is heated at 60° C. for 2 hours. After cooling the mixture to room temperature it is then poured into water (200 ml). The mixture is then extracted with ethylacetate (2x40 ml). The combined organic layers are dried over sodium sulfate, filtered and the solvent is removed in vacuo. Purification of this crude material by flash chromatography over silica gel with hexane/ethyl acetate 4:1 (v:v) gives 2.55 g of the compound as a yellow oil.

¹H NMR (400 MHz, CDCl₃): δ 1.30 (s, 9H, 3xCH₃), 2.48 (s, 3H, CH₃), 6.95 (dxd, 1H), 7.18 (m, 1H), 7.30-7.41 (m, 2H), 8.30 (d, 1H), 8.85 (d, 1H).

b) Preparation of 6-(3-tert-butyl-phenoxy)-5-methyl-pyridin-3-ylamine



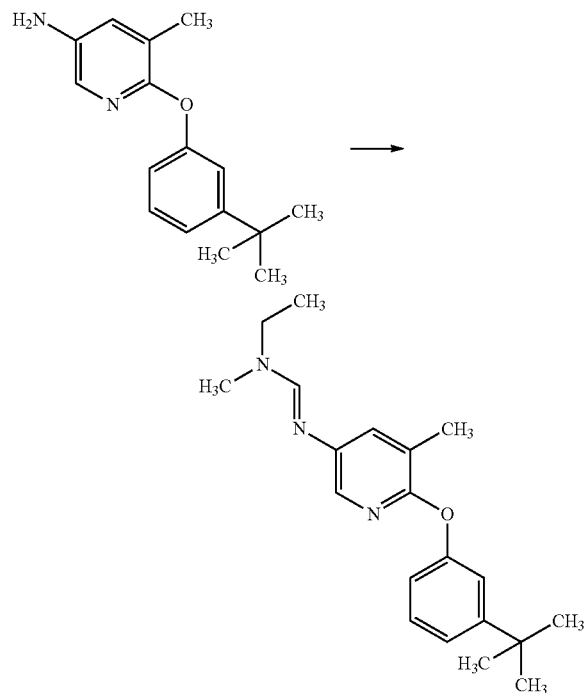
55



A 100 ml two-necked round-bottomed flask equipped with a KPG-stirrer, a thermometer and a reflux condenser is charged with ethanol (50 ml), water (5 ml), iron (1.43 g) and hydrochloric acid 37% (0.2 ml). The reaction mixture is heated at 50° C. 2-(3-tert-Butyl-phenoxy)-3-methyl-5-nitropyridine (2.26 g) was added portionwise. The mixture is heated at reflux for 3 hours. After cooling the mixture to 50° C. it is filtered through celite. The filtrate is poured into water (200 ml) and extracted with ethylacetate (2×50 ml). The combined organic layers are washed with brine (100 ml), dried over sodium sulfate, filtered and the solvent is removed in vacuo. Purification by flash chromatography over silica gel with hexane/ethyl acetate 1:1 (v:v) gives 1.10 g of the compound as a brownish solid (MP: 83-84° C.).

¹H NMR (400 MHz, CDCl₃): δ 1.32(s, 9H, 3×CH₃), 2.25 (s, 3H, CH₃), 3.35(s_{br}, 2H, NH₂), 6.75(dxd, 1H), 6.80(d, 1H), 7.07-7.15(m, 2H), 7.23(d, 1H), 7.55(d, 1H).

c) Preparation of N'-[6-(3-tert-butyl-phenoxy)-5-methyl-pyridin-3-yl]-N-ethyl-N-methyl-formamidine



In a 25 ml single-necked round-bottomed flask, ethylmethylformamide (350 mg) is solubilized in dry dichloromethane (4 ml) at ambient temperature (colourless solution). Under

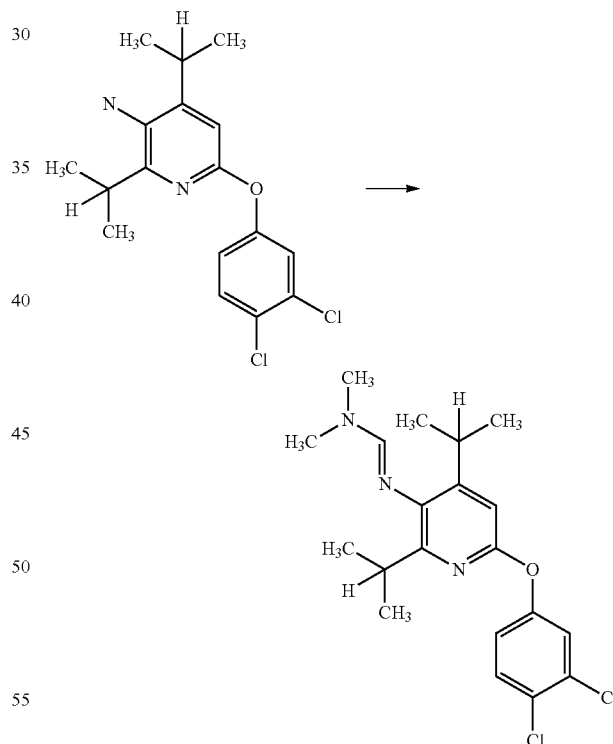
56

stirring phosphorous oxide chloride (0.4 ml) is added dropwise by syringe. Stirring at ambient temperature is continued for 0.5 hour, whereupon a pink-orange solution is obtained. To this solution, 6-(3-tert-butyl-phenoxy)-5-methyl-pyridin-3-ylamine (0.51 g) dissolved in 5.0 ml of dry dichloromethane is added dropwise by syringe, giving a yellow solution. Stirring is continued at an ambient temperature for 2 hours. The mixture is then poured into ice/water (pH=2, water phase). 2 M aqueous NaOH is then added to get a pH of about 11 and stirring is continued for 10 minutes. The mixture is then extracted with dichloromethane (2×50 ml). The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo. Purification of this gum by flash chromatography over silica gel with ethyl acetate gives 0.56 g of the compound as a brown oil.

¹H NMR (400 MHz, CDCl₃): δ 1.19-1.24(t, 3, CH₃), 1.30 (s, 9H, 3×CH₃), 2.28(s, 3H, CH₃), 3.00(s, 3H, CH₃), 3.25-3.35(m_{br}, 2H, CH₂), 6.80(dxd, 1H), 7.08-7.12(m, 2H), 7.20-7.27(m, 2H), 7.53(s_{br}, 1H), 7.67(d, 1H).

Example P11

Preparation of N'-[6-(3,4-Dichloro-phenoxy)-2,4-diisopropyl-pyridin-3-yl]-N,N-dimethyl-formamidine



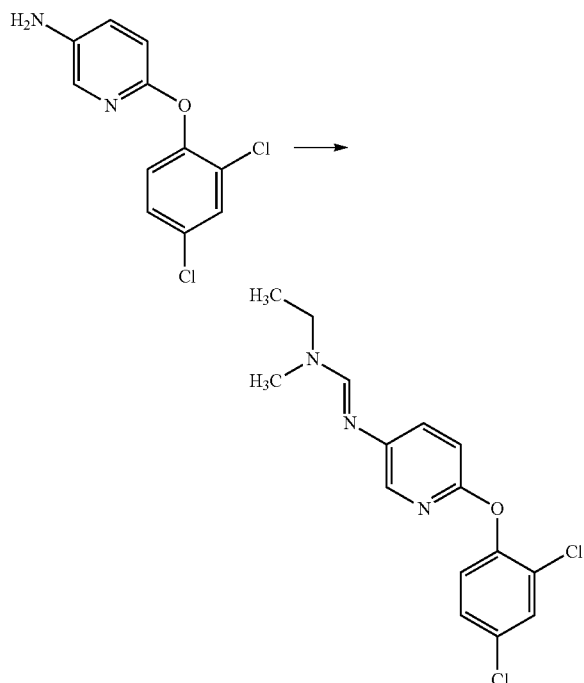
A 25 ml single-necked round-bottomed flask, fitted with a reflux condenser is charged with dimethylformamidedimethylacetate (1.6 g), DMF (10 ml) and 6-(3,4-dichloro-phenoxy)-2,4-diisopropyl-pyridin-3-ylamine (1.70 g). The reaction mixture is heated under reflux and methanol is distilled off for 2.5 hours. The mixture is then concentrated in vacuo at 50° C. The crude material is crystallised from hexane/toluene acetate 4:1 (v:v) to obtain 1.41 g of the compound as a white solid (MP: 102-103° C.).

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¹H NMR (400 MHz, CDCl₃): δ 1.11-1.17(2q, 12H, 4×CH₃), 3.20(s, 6H, 2×CH₃), 3.08-3.20(m, 2H), 6.08(s, 1H), 6.85(dxd, 1H), 7.14(s, 1H), 7.28(d, 1H), 7.37(d, 1H).

Example P12

Preparation of N'-[6-(2,4-Dichloro-phenoxy)-Pyridin-3-yl]-N-ethyl-N-methyl-formamidine



In a 25 ml single-necked round-bottomed flask, ethylmethylformamide (350 mg) is solubilized in dry dichloromethane (4 ml) at ambient temperature (colourless solution). Under stirring phosphorous oxide chloride (0.4 ml) is added dropwise by syringe. Stirring at ambient temperature is continued for 1 hour. To this solution, 6-(2,4-Dichloro-phenoxy)-pyridin-3-ylamine (0.5 g) dissolved in 1.0 ml of dry dichloromethane is added dropwise by syringe, giving a yellow solution. Stirring is continued at an ambient temperature for 1 hour. The mixture is then poured into ice/water (pH=2, water phase). 2 M aqueous NaOH is then added to get a pH of about 11 and stirring is continued for 10 minutes. The mixture is then extracted with dichloromethane (2×50 ml). The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo. Purification by flash chromatography over silica gel with hexane/ethyl acetate 3:4 (v:v) gives 0.31 g of the compound as a yellow oil.

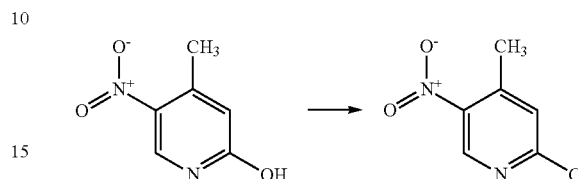
¹H NMR (400 MHz, CDCl₃): δ 1.18-1.23(t, 3H, CH₃), 2.98(s, 3H, CH₃), 3.25-3.51(m_{br}, 2H, CH₂), 6.84-6.89(d, 1H), 7.09(d, 1H), 7.23(dxd, 1H), 7.35(dxd, 1H), 7.45(d, 1H), 7.50(s_{br}, 1H), 7.75(d, 1H).

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Example P13

Preparation of N'-[6-(4-chloro-3-trifluoromethyl-phenoxy)-4-methyl-pyridin-3-yl]-N-ethyl-N-methyl-formamidine

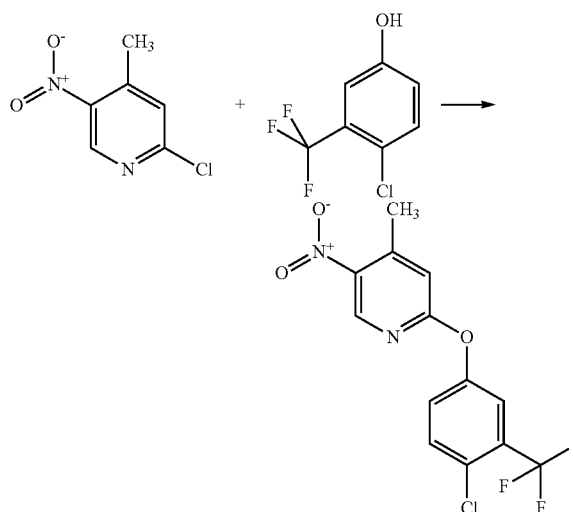
a) Preparation of 2-chloro-4-methyl-5-nitro-pyridine



A 100 ml three-necked round-bottomed flask equipped with a magnetic bar, a thermometer, a dropping funnel and a reflux condenser is charged with 4-methyl-5-nitro-pyridin-2-ol (5.0 g), and 1,2-dichloroethane (30 ml). Phosphorous oxide chloride (3.6 ml) is added dropwise. Into this mixture DMF (2.5 ml) is added dropwise at ambient temperature. The reaction mixture is heated at 70° C. under stirring for 0.5 hours. After cooling the mixture to ambient temperature, it is concentrated in vacuo at 50° C., to obtain a brown oily gum. Purification of this gum by flash chromatography over silica gel with hexane/ethyl acetate 7:3 (v:v) gives 4.91 g of the compound as a light yellow solid (MP: 35-38° C.).

¹H NMR (400 MHz, CDCl₃): δ 2.68(s, 3H, CH₃), 7.38(d, 1H), 8.98(d, 1H).

b) Preparation of 2-(4-chloro-3-trifluoromethyl-phenoxy)-4-methyl-5-nitro-pyridine



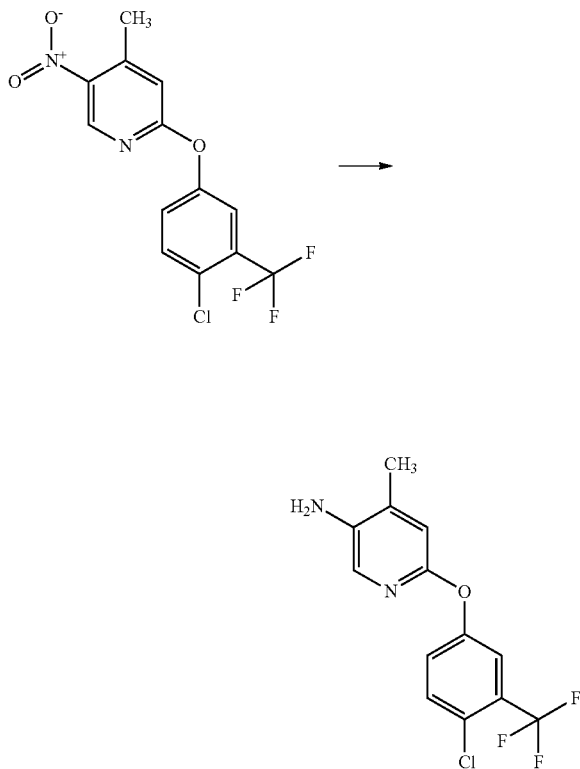
A 250 ml two-necked round-bottomed flask equipped with a magnetic bar, a thermometer and a reflux condenser is charged with DMF (30 ml), 4-chloro-3-trifluoromethyl-phe-

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nol (4.5 g), 2-chloro-4-methyl-5-nitro-pyridine (4.0 g) and potassium carbonate (6.4 g). The reaction mixture is stirred at ambient temperature for 1 hour, poured into water (300 ml), acidified with HCl 5 molar (15 ml) and then extracted with ethylacetate (4x50 ml). The combined organic layers are washed with brine (100 ml), dried over sodium sulfate, filtered and the solvent is removed in vacuo. Purification by flash chromatography over silica gel with hexane/ethyl acetate 7:3 (v:v) gives 7.03 g of the compound as a red solid (MP: 75-80° C.).

¹H NMR (400 MHz, CDCl₃): δ 2.70(s, 3H, CH₃), 6.93(s, 1H), 7.28(dxd, 1H), 7.49(d, 1H), 7.56(d, 1H), 8.35(s, 1H).

c) Preparation of 6-(4-chloro-3-trifluoromethyl-phenoxy)-4-methyl-pyridin-3-ylamine



A 100 ml two-necked round-bottomed flask equipped with a KPG-stirrer, a thermometer and a reflux condenser is charged with ethanol (50 ml), water (5 ml), iron (1.29 g) and hydrochloric acid 37% (0.2 ml). The reaction mixture is heated at 50° C. 2-(4-chloro-3-trifluoromethyl-phenoxy)-4-methyl-5-nitro-pyridine (2.4 g) is added portionwise. The

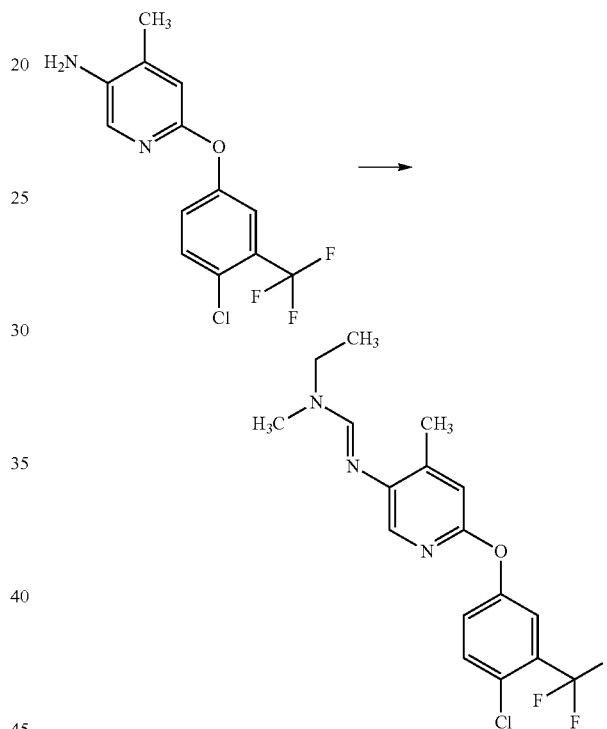
60

mixture is heated at reflux for 1 hour. After cooling the mixture to 50° C. is filtered through celite. The filtrate is poured into water (100 ml) and extracted with ethylacetate (2x50 ml). The combined organic layers are washed with brine (100 ml), dried over sodium sulfate, filtered and the solvent is removed in vacuo. Purification by flash chromatography over silica gel with hexane/ethyl acetate 1:1 (v:v) gives 1.90 g of the compound as a brownish solid (MP: 105-107° C.).

¹H NMR (400 MHz, CDCl₃): δ 2.23(s, 3H, CH₃), 3.50(s_{br}, 2H, NH₂), 6.75(s, 1H), 7.18(dxd, 1H), 7.40(d, 1H), 7.43(d, 1H), 7.63(d, 1H).

d) Preparation of N'-[6-(4-chloro-3-trifluoromethyl-phenoxy)-4-methyl-pyridin-3-yl]-N-ethyl-N-methyl-formamide

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In a 25 ml single-necked round-bottomed flask, ethylmethylformamide (349 mg) is solubilized in dry dichloromethane (4 ml) at ambient temperature (colourless solution). Under stirring phosphorous oxide chloride (0.37 ml) is added dropwise by syringe. Stirring at ambient temperature is continued for 1 hour, whereupon a pink-orange solution is obtained. To this solution, 6-(4-Chloro-3-trifluoromethyl-phenoxy)-4-methyl-pyridin-3-ylamine (605 mg) dissolved in 1.0 ml of dry dichloromethane is added dropwise by syringe, giving a yellow solution. Stirring is continued at an ambient temperature for 1 hour. The mixture is then poured into ice/water (pH=2, water phase). 2 M aqueous NaOH is then added to get a pH of about 11 and stirring is continued for 10 minutes. The mixture is then extracted with dichloromethane (2x50 ml). The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo. Purification of this gum by flash chromatography over silica gel with hexane/ethyl acetate 1:1 (v:v) gives 0.67 g of the compound as a brownish oil.

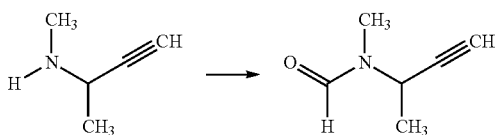
¹H NMR (400 MHz, CDCl₃): δ 1.19-1.24(t, 3, CH₃), 2.30(s, 3H, CH₃), 3.00(s, 3H, CH₃), 3.28-3.53(m, 2H, CH₂), 6.78(s, 1H), 7.19(dxd, 1H), 7.39-7.45(m, 3H), 7.54(s, 1H).

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Example P14

Preparation of N'-[6-(4-chloro-3-trifluoromethyl-phenoxy)-4-methyl-pyridin-3-yl]-N-methyl-N-(1-methyl-prop-2-ynyl)-formamidine

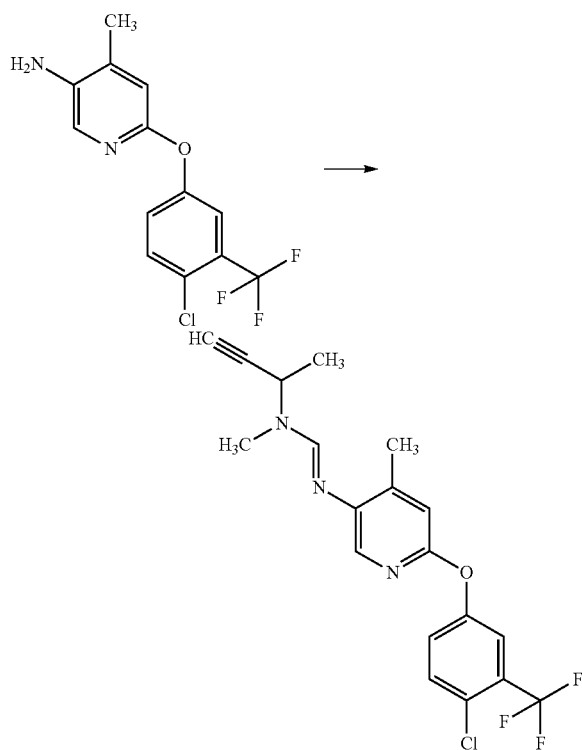
a) Preparation of N-Methyl-N-(1-methyl-prop-2-ynyl)-formamide



A 350 ml three-necked round-bottomed flask equipped with a magnetic bar, a thermometer, a dean stark water separator and a reflux condenser is charged with methyl-(1-methyl-prop-2-ynyl)-amine (8.31 g) and toluene (100 ml). Formic acid (6.9 g) is added dropwise. The reaction mixture is heated at reflux for 2 hours. After cooling the mixture to ambient temperature, it is concentrated in vacuo at 50° C., to obtain a brown liquid. Purification over silica gel with hexane/ethyl acetate 1:1 (v:v) gives 4.83 g of the compound as a brownish liquid.

¹H NMR (400 MHz, CDCl₃): δ 1.38+1.49(2d, 3H, CH₃), 2.30+2.43(2d, 1H, CH), 2.90+2.98(2s, 3H, CH₃), 4.62+5.38(2m, 1H, CH), 6.78(s, 1H), 7.99+8.16(2s, 1H).

b) Preparation of N'-[6-(4-chloro-3-trifluoromethyl-phenoxy)-4-methyl-pyridin-3-yl]-N-methyl-N-(1-methyl-prop-2-ynyl)-formamidine



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In a 25 ml single-necked round-bottomed flask, N-Methyl-N-(1-methyl-prop-2-ynyl)-formamide (223 mg) is solubilized in dry dichloromethane (4 ml) at ambient temperature (colourless solution). Under stirring a mixture of phosphorous oxide chloride (0.18 ml) in dichloromethane (1 ml) is added dropwise by syringe. Stirring at ambient temperature is continued for 1 hour. To this solution, 6-(4-Chloro-3-trifluoromethyl-phenoxy)-4-methyl-pyridin-3-ylamine (303 mg) dissolved in 10 ml of dry dichloromethane is added dropwise by syringe, giving a yellow solution. Stirring is continued at an ambient temperature for 3 hours. The mixture is then poured into ice/water (pH=2, water phase). 2 M aqueous NaOH is then added to get a pH of about 11 and stirring is continued for 10 minutes. The mixture is then extracted with dichloromethane (2x50 ml). The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo. Purification of this gum by flash chromatography over silica gel with hexane/ethyl acetate 3:2 (v:v) gives 198 mg of the compound as a brownish oil.

¹H NMR (400 MHz, CDCl₃): δ 1.38+1.48(2d, 3H, CH₃), 2.20(s, 3H, CH₃), 2.30+2.40(2d, 1H, CH), 2.89+2.98(2s, 3H, CH₃), 4.43+5.38(2m, 1H, CH), 6.72(s, 1H), 7.15(dxd, 1H), 7.38(d, 1H), 7.42(d, 1H), 7.62(s, 1H), 7.98+8.15(2s, 1H).

RP HPLC Method

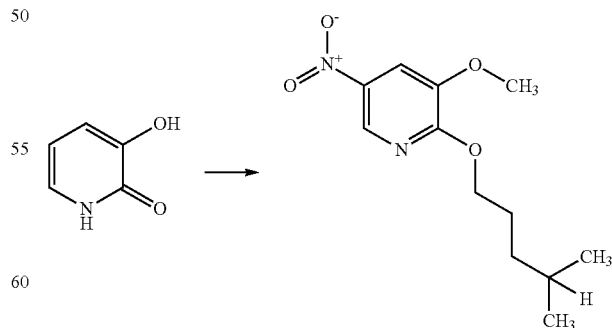
HPLC from Agilent: HP1100 quaternary HPLC pump, HP1100 Variable Wavelength Detector, HP1100 thermostated column compartment and HP1100 solvent degasser. A=water with 0.04% HCOOH, B=Acetonitril/Methanol (4:1, v/v)+0.05% HCOOH Column: Phenomenex Gemini C18, 3 micrometer particle size, 110 Angström, 30x3 mm, Temp: 60° C.

The gradient timetable contains 5 entries which are:

Time	A %	B %	C %	D %	Flow (ml/min)
0.00	95.0	5.0	0.0	0.0	1.700
2.00	0.0	100.0	0.0	0.0	1.700
2.80	0.0	100.0	0.0	0.0	1.700
2.90	95.0	5.0	0.0	0.0	1.700
3.10	95.0	5.0	0.0	0.0	1.700

Example P15

Preparation of
-2-(4-methyl-pentyloxy)-5-nitro-pyridine



In a 350 ml 5-necked reaction flask (mechanical stirrer, dropping funnel, thermometer), 3-Hydroxy-1H-pyridin-2-one [CA registry number 626-06-2] (35.0 g) is suspended in water (120 ml) at an ambient temperature. Under stirring,

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sodium hydroxide (13.48 g) is added portionwise over 10 minutes, whereupon an exothermic reaction is observed. The mixture is then immersed in a cooling bath (common salt/crushed ice) to obtain a temperature of 0° C. Afterwards, dimethyl sulfate (41.72 g) is added over 15 minutes while cooling and stirring is continued. Thereafter, the cooling bath is removed and the mixture is stirred overnight at room temperature. The mixture is then extracted with ethyl acetate. The organic phase is dried over sodium sulfate, filtered and the solvent removed in vacuo to give a dark brown viscous material.

This material is taken up in 112 mol of conc. sulfuric acid and transferred into a 350 ml 5-necked reaction flask. After stirring and cooling in an ice/water bath, a freshly prepared solution of mixed acid [freshly prepared from sulfuric acid (31.7 ml) and fuming nitric acid (31.8 ml)] is added dropwise over 1.5 h while keeping the temperature below 15° C. Stirring is continued at a temperature below 10° C. for an additional 45 minutes. Then, the mixture is carefully transferred onto ice and then water is added (to give finally 700 ml of water phase). The resulting precipitate is stirred for 40 minutes, then filtered and the filter cake washed with water to give 19.6 g of an orange solid after drying.

In a 350 ml 5-necked reaction flask equipped with a condenser, a suspension of this intermediate (5.00 g) in dry dioxane (30.0 ml) is stirred at room temperature. First, 1-bromo-4-methylpentane (5.82 g) then silver oxide (13.62 g) is added. The resulting suspension is stirred under heating to reflux for 13.5 h. After cooling to room temperature, ethyl acetate (50 ml) is added and the mixture filtered through a pad of Hyflo and washed with ethyl acetate (50 ml). The organic phase is washed with water and brine, then, dried over sodium sulfate, filtered and the solvent removed in vacuo to give 4.00 g of an orange oil. This raw product is purified by chromatography over silica gel (eluent: hexanes/ethyl acetate 9:1 (v:v)). This way 1.49 g of the title compound in form of a yellow solid is obtained (MP: 48-49° C.).

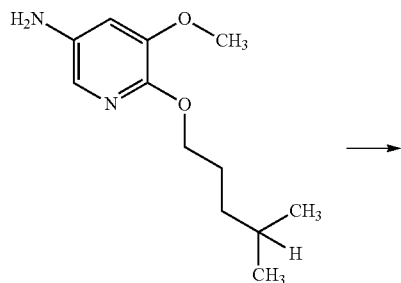
¹H NMR (400 MHz, CDCl₃): δ 0.92(d, 6H), 1.32(m, 2 H), 1.62(m, 1H), 1.86(m, 2H), 3.96(s, 3H), 4.48(t, 2H), 7.76(d, 1H), 7.68(d, 1H).

LC: UV Detection: 220 nm; R_f=2.08 min.

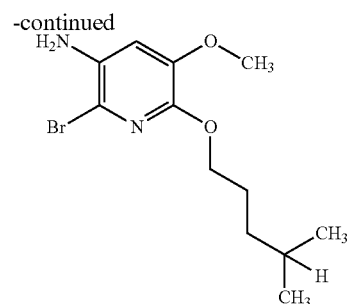
TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 1:1 (v:v); R_f of title compound=0.63.

Example P16

Preparation of 2-Bromo-5-methoxy-6-(4-methyl-pentyloxy)-pyridin-3-ylamine



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In a 50 ml three-necked round-bottomed flask equipped with a condenser, 5-Methoxy-6-(4-methyl-pentyloxy)-pyridin-3-ylamine (70 mg) is dissolved in dry acetonitrile (0.50 ml) and stirred at room temperature. Under stirring, N-bromosuccinimide (55 mg) is added. Stirring is continued for 1.25 h under heating to reflux. After this, a 2 M aqueous solution of sodium hydroxide (20 ml, pH of 10) is added and extraction is done using ether (three times with 20 ml). The organic layer is washed with a 10% aqueous sodium bisulfite solution (20 ml). After drying over sodium sulfate, the organic layer is filtered and the solvent removed in vacuo to give a 40 mg of a brown gum. After chromatography on silica gel (eluent: hexanes/ethyl acetate 2:1 (v:v), 6.3 mg of the title compound are obtained in the form of a red oil.

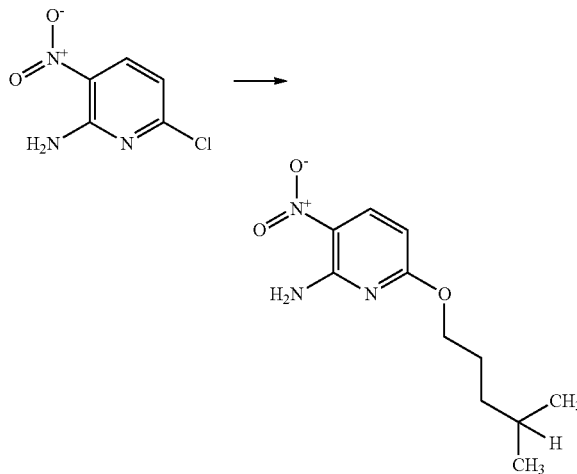
¹H NMR (400 MHz, CDCl₃): δ 0.90(d, 6H), 1.29(m, 2 H), 1.60(m, 1H), 1.79(m, 2H), 3.67(s, 3H), 3.81(s, 3H), 4.26(t, 2H), 6.61(s, 1H).

LC: UV Detection: 220 nm; R_f=1.94 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 1:2 (v:v); R_f of title compound=0.47.

Example P17

Preparation of 6-(4-Methyl-pentyloxy)-3-nitro-pyridin-2-ylamine



A) In a 100 ml three-necked round-bottomed flask equipped with a condenser and a thermometer, sodium hydride (2.51 g of a 55% suspension in mineral oil) is suspended in dry tetrahydrofuran (15 ml) and hexamethyldisilazane (0.60 ml) is added and the mixture stirred for 20 minutes at room

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temperature under argon. Under stirring, 4-methyl-1-pentanol (7.23 ml) was added dropwise by syringe over 10 minutes whereupon gas formation and a temperature increase to 31° C. is observed. Stirring is continued for an additional 50 minutes.

B) In a 200 ml five-necked reaction flask equipped with a mechanical stirrer, dropping funnel, condensor and thermometer, 6-chloro-3-nitro-pyridin-2-ylamine (5.00 g, cf. registry number 27048-04-0) is suspended in dry tetrahydrofuran (15 ml) at room temperature under argon. Under stirring, the suspension obtained as described under A), is added in small portions over 15 minutes. Occasional cooling with an ice/water bath is used to keep the temperature under 30° C. To make stirring easier more dry tetrahydrofuran is added (20 ml). Stirring is continued for 3.5 h. Afterwards, quenching is carried out by carefully adding an excess of water (50 ml). Extraction is done then by using ether (60 ml twice). The organic phase is washed with brine, dried over sodium sulfate, filtered. The solvent is then removed in vacuo to give 10.78 g of a yellow-brown oil. Chromatography on silica gel (eluent: hexanes/ethyl acetate 97:3 (v:v)) gives then 6.89 g of the title compound in the form of a yellow solid (MP: 57-58° C.).

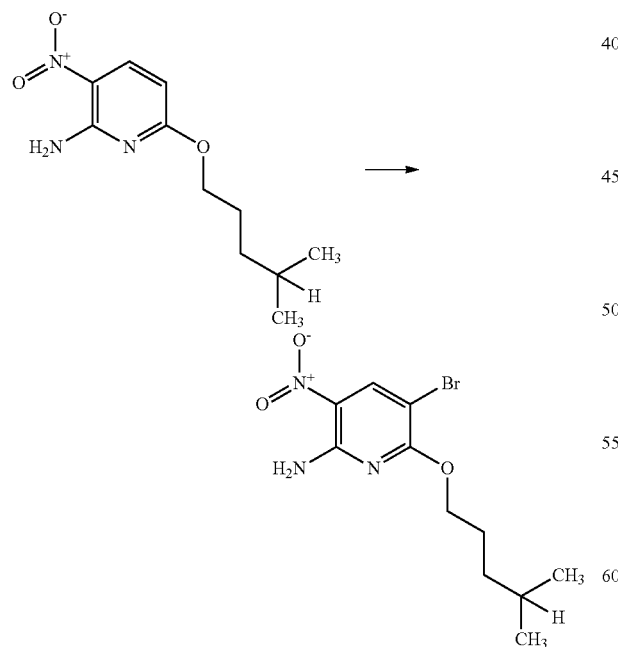
¹H NMR (400 MHz, CDCl₃): δ 0.91(d, 6H), 1.28(m, 2 H), 1.60(m, 1H), 1.75(m, 2H), 4.28(t, 2H), 4.90-8.20(broad, 2H), 6.11(d, 1H), 8.28(d, 1H).

LC: UV Detection: 220 nm; R_f=1.97 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 (v:v); R_f of title compound=0.22.

Example P18

Preparation of 5-Bromo-6-(4-methyl-pentyloxy)-3-nitro-pyridin-2-ylamine



In a 50 ml three-necked round-bottomed flask equipped with a condensor, 6-(4-methyl-pentyloxy)-3-nitro-pyridin-2-ylamine (1.83 g) is dissolved in dry acetonitril (8.00 ml) and

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stirred at room temperature under argon. Under stirring, N-bromosuccinimide (1.36 g) is added. Stirring is continued for 3.5 h under heating to reflux. After this, water is added (30 ml) and extraction is done using ether (twice with 60 ml each time). The organic layer is washed with a 10% aqueous sodium bisulfite solution (40 ml). After drying over sodium sulfate, the organic layer is filtered and the solvent removed in vacuo to give a 2.41 g of a dark red oil. After chromatography on silica gel (eluent: hexanes/ethyl acetate 94:6 (v:v), 1.87 g of the title compound are obtained in the form of a dark red oil.

¹H NMR (400 MHz, CDCl₃): δ 0.92(d, 6H), 1.33(m, 2 H), 1.62(m, 1H), 1.81(m, 2H), 4.34(t, 2H), 4.70-8.40(broad, 2H), 8.52(s, 1H).

LC: UV Detection: 220 nm; R_f=2.16 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 (v:v); R_f of title compound=0.20.

Preparation of 5-Chloro-6-(4-methyl-pentyloxy)-3-nitro-pyridin-2-ylamine:

This compound can be obtained in an analogous from 6-(4-methyl-pentyloxy)-3-nitro-pyridin-2-ylamine using N-chloro-succinimide.

¹H NMR (400 MHz, CDCl₃): δ 0.92(d, 6H), 1.32(m, 2 H), 1.61(m, 1H), 1.81(m, 2H), 4.36(t, 2H), 4.80-8.30(broad, 2H), 8.37(s, 1H).

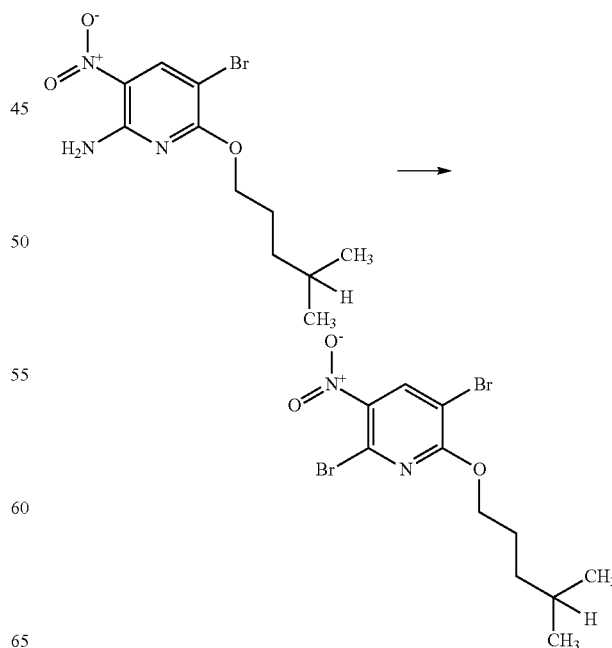
LC: UV Detection: 220 nm; R_f=2.13 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 (v:v); R_f of title compound=0.18.

MP: 53-54° C.

Example P19

Preparation of 2,5-Dibromo-6-(4-methyl-pentyloxy)-3-nitro-pyridine



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A) In a 25 ml single-necked round-bottomed flask 1.41 ml of a 48% aqueous hydrobromic acid solution is added dropwise to dimethylsulfoxide (7.40 ml) under stirring and cooling with an ice/water bath to keep the temperature at about room temperature.

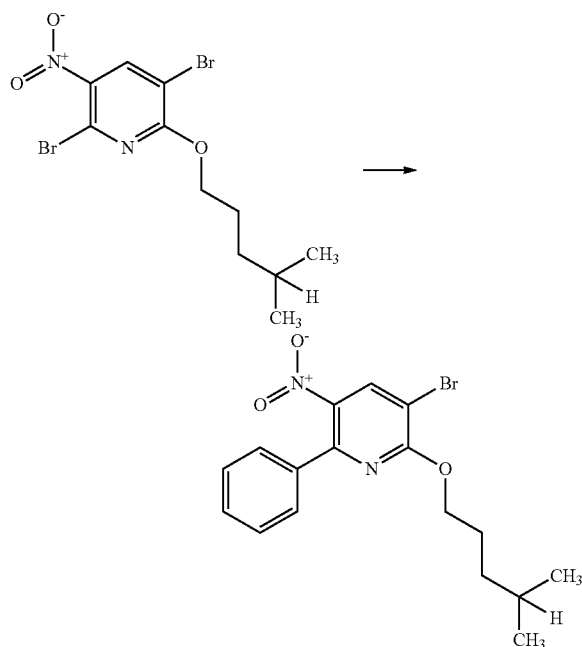
B) In a 50 ml three-necked reaction flask with a condenser, 5-bromo-6-(4-methyl-pentyloxy)-3-nitro-pyridin-2-ylamine (1.00 g) is dissolved in dimethylsulfoxide (3.70 ml). Under stirring, potassium nitrite (1.07 g) and copper(I) bromide (90 mg) are added. Under stirring, the temperature is kept between 35 and 38° C. while the solution obtained under A) is added dropwise over 5 minutes. Stirring is continued for an additional 18 h within the same temperature range whereupon a dark brown suspension is obtained. After cooling to room temperature, the suspension is brought onto a saturated aqueous sodium carbonate solution (70 ml, pH is 8). Extraction is carried out using ether (three times with 40 ml). The combined organic phases are dried over sodium sulfate and then filtered over a pad of silica (on top of a sintered glass filter disk). After washing with ether the combined ether phases are concentrated in vacuo to give 790 mg of the title compound in the form of a yellow oil.

¹H NMR (400 MHz, CDCl₃): δ 0.93(d, 6H), 1.35(m, 2 H), 1.63(m, 1H), 1.84(m, 2H), 4.47(t, 2H), 8.43(s, 1H).

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 (v:v); R_f of title compound=0.56.

Example P20

Preparation of 3-Bromo-2-(4-methyl-pentyloxy)-5-nitro-6-phenyl-pyridine

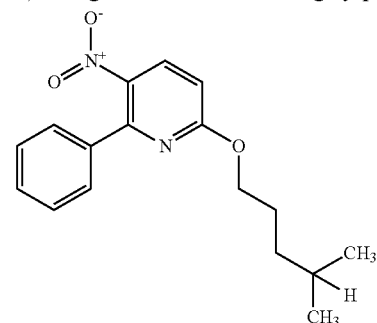


In a 50 ml three-necked round-bottomed flask with a condenser, 2,5-dibromo-6-(4-methyl-pentyloxy)-3-nitro-pyridine (200 mg) is dissolved in a mixture of toluene (6.00 ml) and ethanol (0.75 ml) under argon. Under stirring, potassium carbonate (159 mg) in water (0.95 ml) is added, whereupon a yellow biphasic mixture is obtained. Phenylboronic acid is added (63.8 mg). Stirring at room temperature is continued

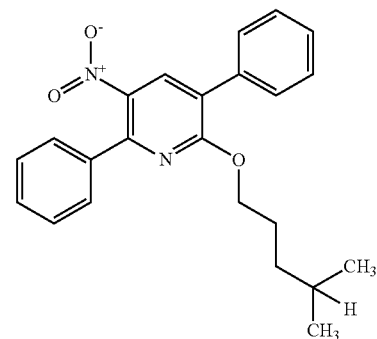
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for 15 minutes while a stream of argon is led over the mixture. After this, tetrakis(triphenylphosphine)-palladium (18.1 mg) is added and the solution stirred under heating to reflux for 3.5 h. The mixture is then stirred at room temperature overnight.

Then, a saturated aqueous solution of ammonium chloride (25 ml) is added and extraction is carried out with ether (twice with 30 ml). The organic phase is dried over sodium sulfate, filtered and the solvent removed in vacuo to give 220 mg of a yellow oil. After purification on silica gel (eluent: hexanes/ethyl acetate gradient from 100:0 to 98:2) 140 mg of an yellow oil is obtained, containing a mixture of the title compound (43%), along with the two following by-products:



6-(4-Methyl-pentyloxy)-3-nitro-2-phenyl-pyridine
36%

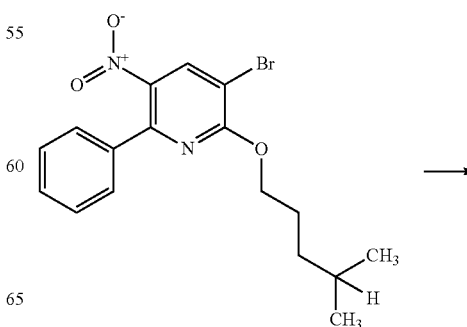


2-(4-Methyl-pentyloxy)-5-nitro-3,6-diphenyl-pyridine
21%

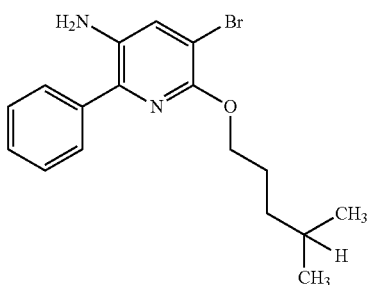
This mixture is used as such for the following reduction step to obtain the corresponding anilines.

Example P21

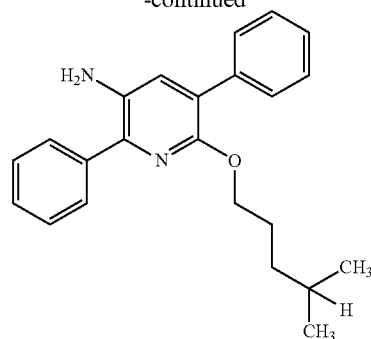
Preparation of 5-Bromo-6-(4-methyl-pentyloxy)-2-phenyl-pyridin-3-ylamine



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-continued



70
-continued



6-(4-Methyl-pentyloxy)-2,5-diphenyl-pyridin-3-ylamine

This mixture is used directly for the following step.

Example P22

Preparation of N-Ethyl-N-methyl-N'-[6-(4-methyl-pentyloxy)-2,5-diphenyl-pyridin-3-yl]-formamidine

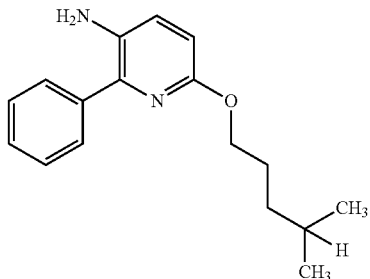
In a 25 ml three-necked reaction flask with a condensor, the mixture obtained above (140 mg) (containing 3-bromo-2-(4-methyl-pentyloxy)-5-nitro-6-phenyl-pyridine (43%), 6-(4-methyl-pentyloxy)-3-nitro-2-phenyl-pyridine (35%), and 2-(4-methyl-pentyloxy)-5-nitro-3,6-diphenyl-pyridine (21%)) was solubilized in methanol (0.50 ml). Under stirring and cooling with an ice/water bath, 37% aqueous hydrochloric acid (0.15 ml) is added dropwise. After removing the cooling bath, tin powder (88 mg) is added. The resulting suspension is then stirred under heating to reflux for 3.25 h. Then, the mixture is allowed to reach room temperature and the methanol is removed in vacuo. To the resulting orange gum, 2 molar aqueous sodium hydroxide solution is added (10 ml). Extraction is carried out using ethyl acetate (twice with 20 ml). The organic layer is dried over sodium sulfate, filtered and the solvent is removed in vacuo to give 130 mg of a yellow gum. The raw material is purified by chromatography on silica gel (eluent: hexanes/ethyl acetate 97:3 (v:v)). 50 mg of the title compound is obtained in the form of a yellow oil.

¹H NMR (400 MHz, CDCl₃): δ 0.91(d, 6H), 1.33(m, 2 H), 1.61(m, 1H), 1.79(m, 2H), 3.56(s, 3H), 4.31(t, 2H), 7.33(s, 1H), 7.37(tt, 1H), 7.46(td, 2H), 7.71(dt, 2H).

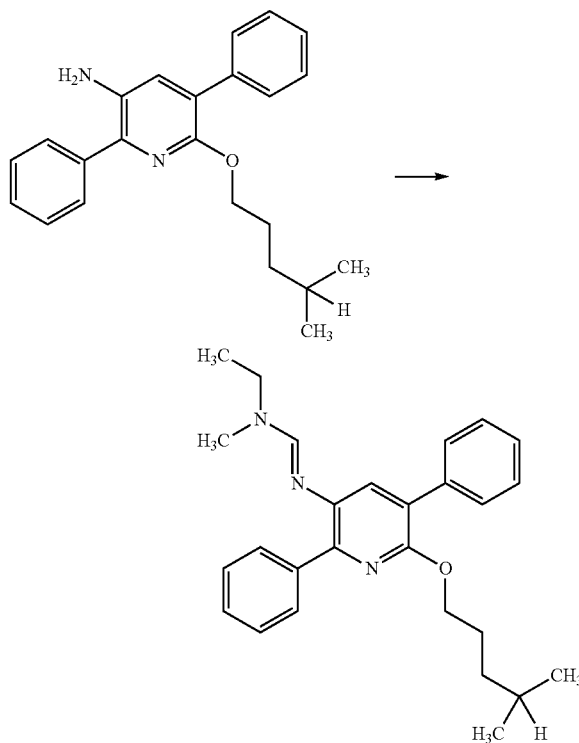
LC: UV Detection: 220 nm; R_f=2.30 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 3:1 (v:v); R_f of title compound=0.35.

Along with this, a mixture of the two following compounds in the form of a yellow oil (53 mg) is isolated as well.



6-(4-Methyl-pentyloxy)-2-phenyl-pyridin-3-ylamine



In a 8 ml Supelco vessel (closed by a septum), ethylmethylformamide (13.7 mg) is solubilized in dry dichloromethane (3.00 ml) at ambient temperature (colourless solution). Under stirring phosphorous oxide chloride (0.37 ml) is added dropwise by syringe. Stirring at ambient temperature is continued for 1.5 hour, whereupon a light-orange solution is obtained. To this solution, 36.2 mg of the mixture of the two by-products obtained above [consisting of 6-(4-methyl-pentyloxy)-2,5-diphenyl-pyridin-3-ylamine and 6-(4-methyl-pentyloxy)-2-phenyl-pyridin-3-ylamine] as a solution in dry dichloromethane (2.00 ml) is added dropwise by syringe, giving a light-brown solution. Stirring is continued at an ambient temperature for 3.5 hours. The mixture is then

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poured into ice/water. 2 M aqueous NaOH (10 ml) is then added to get a pH of about 12 and stirring is continued for 10 minutes. The mixture is then extracted with dichloromethane (2×20 ml). The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo. Purification of the yellow gum by flash chromatography over silica gel with hexane/ethyl acetate 4:1 (v:v) gives 17.1 mg of the title compound as a yellow oil (66%).

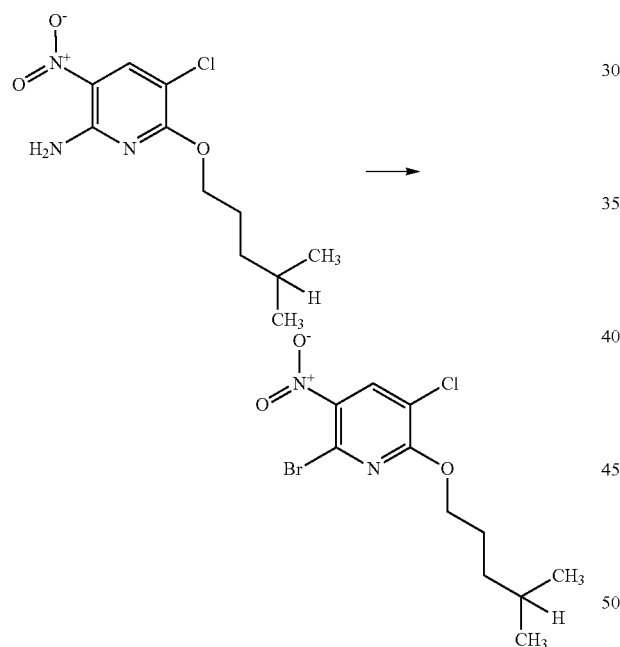
¹H NMR (400 MHz, CDCl₃): δ 0.90(d, 6H), 1.15(t, 3H), 1.33(m, 2 H), 1.59(m, 1H), 1.79(m, 2H), 2.98(s, 3H), 3.10-3.70(broad, 2H), 4.41(t, 2H), 7.33(m, 8H), 7.67(dd, 2H), 8.18(dd, 2H).

LC: UV Detection: 220 nm; R_f=1.61 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 3:1 (v:v); R_f of title compound=0.18.

Example P23

Preparation of 2-Bromo-5-chloro-6-(4-methyl-pentyloxy)-3-nitro-pyridine



A) In a 25 ml single-necked round-bottomed flask 1.40 ml of a 48% aqueous hydrobromic acid solution is added dropwise to dimethylsulfoxide (7.30 ml) under stirring and cooling with an ice/water bath to keep the temperature at about room temperature.

B) In a 50 ml three-necked reaction flask with a condenser, 5-chloro-6-(4-methyl-pentyloxy)-3-nitro-pyridin-2-ylamine (850 mg) is dissolved in dimethylsulfoxide (3.70 ml) at room temperature. Under stirring, potassium nitrite (1.06 g) and copper(I) bromide (89 mg) are added. Under stirring, the temperature is kept between 35 and 38° C. while the solution obtained under A) is added dropwise over 6 minutes. Stirring is continued for an additional 20 h within the same temperature range whereupon a dark

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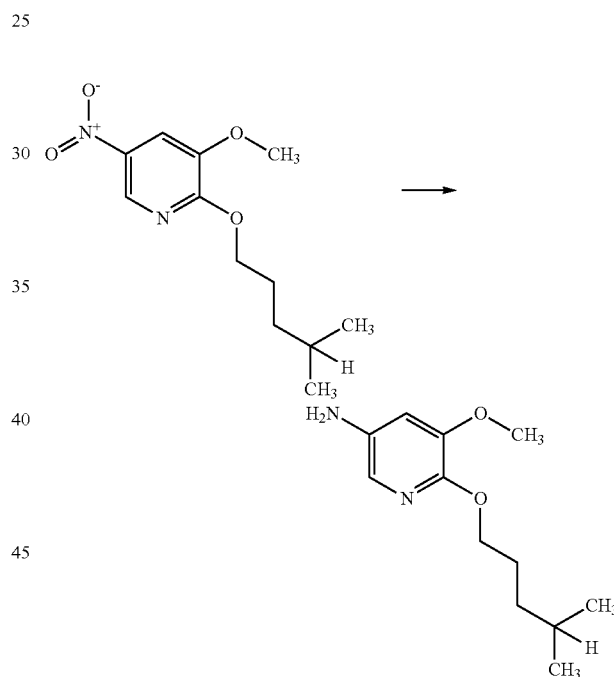
brown solution is obtained. After cooling to room temperature, the suspension is brought onto a saturated aqueous sodium carbonate solution (50 ml, pH is 9). Extraction is carried out using ether (three times with 50 ml). The combined organic phases are dried over sodium sulfate and then filtered over a pad of silica (on top of a sintered glass filter disk). After washing with ether the combined ether phases are concentrated in vacuo to give 810 mg of the title compound in the form of a yellow oil. After purification by chromatography on silica gel (eluent: hexanes/ethyl acetate 95:5 (v:v)) 870 mg of the title compound are obtained in the form of yellow oil.

¹H NMR (400 MHz, CDCl₃): δ 0.93(d, 6H), 1.35(m, 2 H), 1.63(m, 1H), 1.85(m, 2H), 4.48(t, 2H), 8.28(s, 1H).

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 (v:v); R_f of title compound=0.55.

Example P24

Preparation of 5-Methoxy-6-(4-methyl-pentyloxy)-pyridin-3-ylamine



In a 25 ml three-necked reaction flask with a condenser, 3-Methoxy-2-(4-methyl-pentyloxy)-5-nitro-pyridine is solubilized in methanol (2.00 ml). Under stirring and cooling with an ice/water bath, 37% aqueous hydrochloric acid (0.82 ml) is added. After removing the cooling bath, tin powder (470 mg) is added. The resulting suspension is then stirred under heating to reflux for 3.5 h. Then, the mixture is allowed to reach room temperature and the methanol is removed in vacuo. To the resulting yellow gum, 2 molar aqueous sodium hydroxide solution is added (25 ml). Extraction is carried out using ethyl acetate (twice with 30 ml). The organic layer is dried over sodium sulfate, filtered and the solvent is removed in vacuo to give 350 mg of a brown oil. The raw material is purified by chromatography on silica gel (eluent: hexanes/ethyl acetate 2:1 (v:v)). 170 mg of the title compound (38.5%) is obtained in the form of a red oil.

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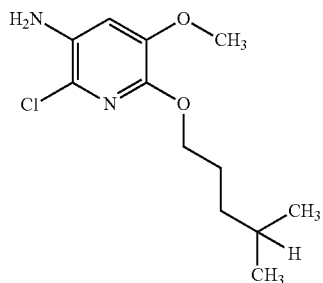
^1H NMR (400 MHz, CDCl_3): δ 0.90(d, 6H), 1.30(m, 2H), 1.59(m, 1H), 1.81(m, 2H), 3.36(s, 2H), 3.82(s, 3H), 4.27(t, 2H), 6.56(d, 1H), 7.21(d, 1H).

LC: UV Detection: 220 nm; R_f =1.47 min.

TLC: Plates: Merck DC-Plates, silica gel F_{254} , saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 1:1 (v:v); R_f of title compound=0.15.

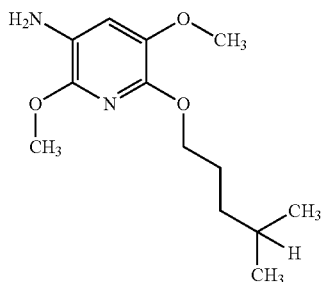
Along with this, 70 mg of a mixture of two by-products in the form of a brown oil was obtained as well. This mixture could be separated by a second chromatography on silica gel (eluent: toluene/acetone 97:3 (v:v)) to give the following compounds:

Compound A 15



2-Chloro-5-methoxy-6-(4-methyl-pentyloxy)-pyridin-3-ylamine
35 mg (86%, along with some 14% of compound B)

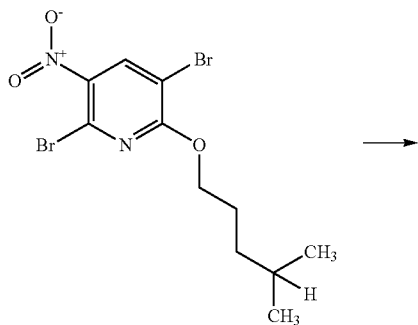
Compound B 30



2,5-Dimethoxy-6-(4-methyl-pentyloxy)-pyridin-3-ylamine
55% (92%, along with some 8% of compound A)

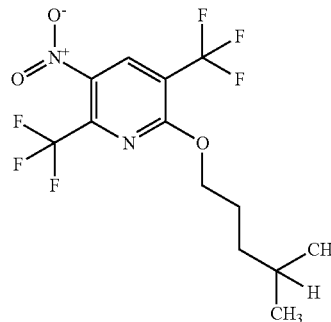
Example P25

Preparation of 2-(4-Methyl-pentyloxy)-5-nitro-3,6-bis-trifluoromethyl-pyridine



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-continued



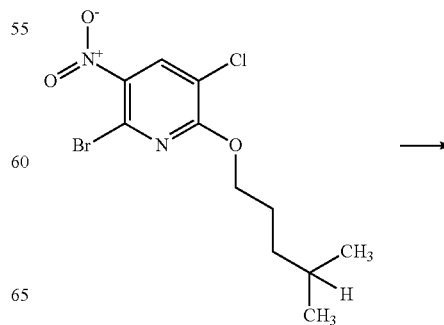
In a 10 ml single-necked round-bottomed flask equipped with a condensor, 2,5-dibromo-6-(4-methyl-pentyloxy)-3-nitro-pyridine (150 mg) is dissolved in dry dichloromethane (1.00 ml). To the resulting yellow solution, methyl-2,2-difluoro-2-(fluorosulfonyl)-acetate (377 mg), copper(i)-iodide (90 mg) and hexamethylphosphoramide (HMPA) (350 mg) are added. The resulting suspension is stirred under heating to reflux for 6 hours. The progress of the transformation is followed by ^{19}F -NMR (CDCl_3). Stirring is continued overnight at an ambient temperature. Saturated ammonium chloride solution is then added (30 ml) and the mixture extracted with ether (2x20 ml). The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo. Purification of the yellow oil obtained (120 mg) by flash chromatography over silica gel with hexane/ethyl acetate 98:2 (v:v) gives 100 mg of the title compound as a yellow oil.

^1H NMR (400 MHz, CDCl_3): δ 0.92(d, 6H), 1.34(m, 2H), 1.63(m, 1H), 1.85(m, 2H), 4.59(t, 2H), 8.49(s, 1H).

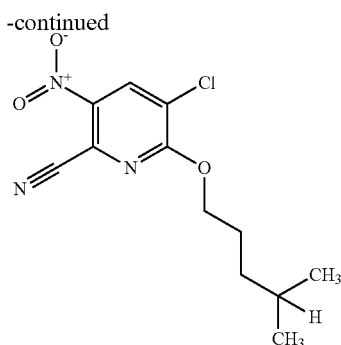
TLC: Plates: Merck DC-Plates, silica gel F_{254} , saturated atmosphere in developing tank, UV detection, eluent: heptane; R_f of title compound=0.11.

Example P26

Preparation of 5-Chloro-6-(4-methyl-pentyloxy)-3-nitro-pyridine-2-carbonitrile



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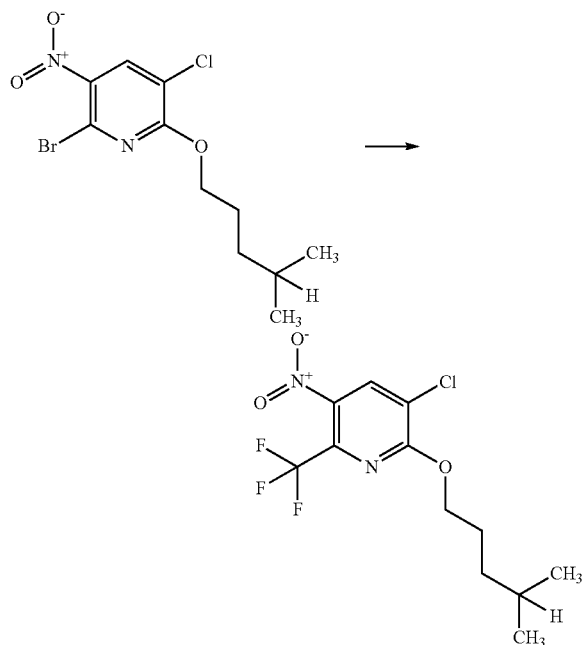
In a 10 ml single-necked round-bottomed flask equipped with an efficient condenser, 2-bromo-5-chloro-6-(4-methyl-pentyloxy)-3-nitro-pyridine (200 mg) is dissolved in dry acetonitrile (3.00 ml). To the resulting yellow solution, copper (I) cyanide (109 mg) is added. The resulting suspension is stirred under heating to reflux for 6 hours whereupon a brown solution is obtained. The progress of the transformation is followed by GC-MS. The mixture is allowed to reach ambient temperature. Saturated ammonium chloride solution (20 ml) along with some ice is then added and the mixture extracted with ether (2x20 ml). The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo to give 150 mg of the title compound in the form of a yellow oil (89%).

¹H NMR (400 MHz, CDCl₃): δ 0.93(d, 6H), 1.36(m, 2H), 1.63(m, 1H), 1.87(m, 2H), 4.54(t, 2H), 8.53(s, 1H).

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 NM; R_f of title compound=0.28.

Example P27

Preparation of 3-Chloro-2-(4-methyl-pentyloxy)-5-nitro-6-trifluoromethyl-pyridine



In a 10 ml single-necked round-bottomed flask equipped with an efficient condenser, 2-bromo-5-chloro-6-(4-methyl-

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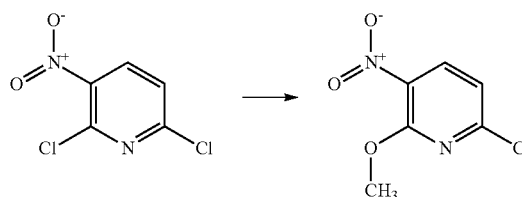
pentyloxy)-3-nitro-pyridine (150 mg) is dissolved in dry dimethylformamide (1.20 ml). To the resulting yellow solution, methyl-2,2-difluoro-2-(fluorosulfonyl)-acetate (256 mg), copper(I)-iodide (102 mg) and hexamethylphosphoramide (HMPA) (400 mg) are added. The resulting suspension is stirred and heating to 100° C. for 2 hours. The progress of the transformation is followed by GC-MS. The reaction mixture is allowed to reach room temperature. Saturated ammonium chloride solution is then added (30 ml, pH about 3) and the mixture extracted with ether (2x30 ml). The combined organic phases are then dried over sodium sulfate, filtered through a pad of silica gel and the solvent is removed in vacuo. Purification of the yellow oil obtained (120 mg) by flash chromatography over silica gel with hexane/ethyl acetate 98:2 (v:v) gives 120 mg of the title compound as a yellow oil (83%).

¹H NMR (400 MHz, CDCl₃): δ 0.93(d, 6H), 1.35(m, 2H), 1.62(m, 1H), 1.86(m, 2H), 4.52(t, 2H), 8.26(s, 1H).

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane; R_f of title compound=0.11.

Example P28

Preparation of 6-Chloro-2-methoxy-3-nitro-pyridine



A) In a 50 ml three-necked round-bottomed flask equipped with a condenser and a thermometer, sodium hydride (2.26 g of a 0.55% dispersion in mineral oil) is suspended in dry dioxane (10 ml) under argon. Then, hexamethyldisilazane (0.81 ml) is added. Under stirring, dry methanol (2.10 ml) is added dropwise by syringe (foaming, gas escapes). The temperature is kept below 34° C. by cooling using an ice/water bath. After the addition, stirring is continued at an ambient temperature for 50 minutes. To make the following transfer of the suspension easier more dioxane is added (10 ml).

B) In a 200 ml five-necked reaction flask equipped with a condenser, mechanical stirrer, dropping funnel and thermometer, 2,6-dichloro-3-nitro-pyridine [CA registry number 136901-10-5] (10.0 g) dissolved in dry dioxane (40 ml) is stirred under argon. The suspension freshly prepared as described under A), is added slowly over 12 minutes (again foaming and gas formation). An ice/water bath is used to keep the temperature below 32° C. Stirring at an ambient temperature is continued for 2 hours. Progress of reaction is monitored by thin layer chromatography (cf. below).

Water is then added (50 ml, pH about 8-9) and the mixture extracted with ether (2x50 ml). The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo to give 10.45 g of a light yellow solid. Purification of the yellow oil obtained (120 mg) by flash chromatography over silica gel with hexane/ethyl acetate 97:3 (v:v) gives 8.00 g of the title compound as a light yellow solid (MP: 73-74° C., yield: 82%).

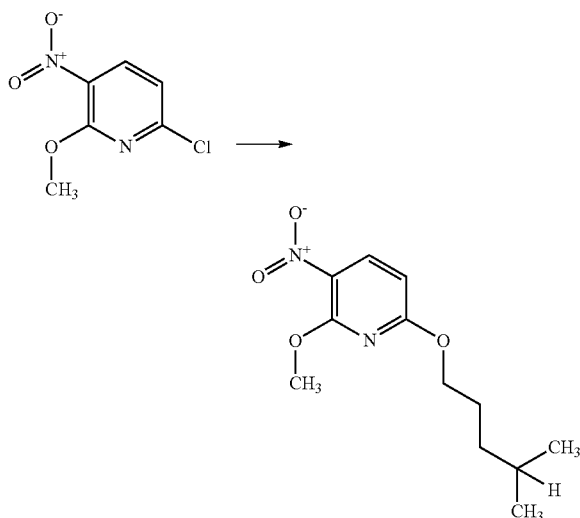
77

^1H NMR (400 MHz, CDCl_3): δ 4.14 (s, 3H), 7.05 (d, 1H), 8.28 (d, 1H).

TLC: Plates: Merck DC-Plates, silica gel F_{254} , saturated atmosphere in developing tank, UV detection, eluent: hexanes/ethyl acetate 9:1 (v:v); R_f of title compound=0.33, R_f of starting material=0.21.

Only minor amounts of the isomer of the title compound and of the bis-methoxy-pyridine are found.

Example P29

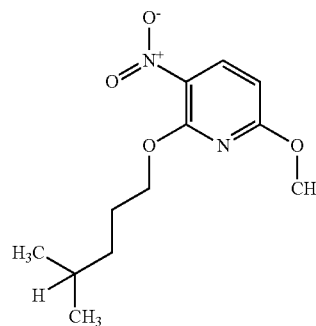
Preparation of
2-Methoxy-6-(4-methyl-pentyloxy)-3-nitro-pyridine

A) In a 100 ml five-necked reaction flask equipped with an condensor, mechanical stirrer, dropping funnel and a thermometer, sodium hydride (1.16 g of a 0.55% dispersion in mineral oil) is suspended in dry dioxane (20 ml) under argon. Then, hexamethyldisilazane (0.44 ml) is added and stirring continued for 15 minutes. Under stirring, 4-methyl-1-pentanol (3.33 ml) is added dropwise by syringe over 5 minutes (foaming, gas escapes, slightly exothermic). The temperature doesn't go beyond 25°C . Stirring is continued for 60 minutes at an ambient temperature whereupon a light yellow suspension is obtained.

B) Afterwards, 6-chloro-2-methoxy-3-nitro-pyridine dissolved in dry dioxane (10 ml) is added over 8 minutes (foam and gas formation). Cooling with an ice/water bath is used to keep the temperature below 28°C . More dry dioxane is added (10 ml) and the suspension stirred at an ambient temperature overnight. Progress of reaction is followed by ^1H -NMR of a sample (obtained by a work-up of a small sample as described below), indicating about 30% of starting material left. In order to drive the reaction forward, two additional additions of the alcoholate of 4-methyl-1-pentanol following the same protocol as given under B): For the first addition, 0.5 times of the amount given under A) is used and stirring continued for 1 hour. For the

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second addition, 0.3 times the amount described under A) is used and stirring continued for 2.5 hours. Water is then added (50 ml, pH about 10) and the mixture extracted with ether (2×80 ml). The combined organic phases are then dried over sodium sulfate, filtered and the solvent is removed in vacuo to give 6.70 g of an orange-brown oil. Purification by flash chromatography over silica gel with hexane/ethyl acetate 98:2 (v:v) gives 2.70 g of a mixture of the title compound (15%) along with 6-methoxy-2-(4-methyl-pentyloxy)-3-nitro-pyridine (85%, shown below). This mixture is used as such for the following nitro reduction to obtain the corresponding aniline derivatives.



6-Methoxy-2-(4-methyl-pentyloxy)-3-nitro-pyridine

^1H NMR (400 MHz, CDCl_3) title compound: δ 0.92 (d, 6H), 1.37 (m, 2H), 1.62 (m, 1H), 1.85 (m, 2H), 4.10 (s, 3H), 4.37 (t, 2H), 6.35 (d, 1H), 8.33 (d, 1H).

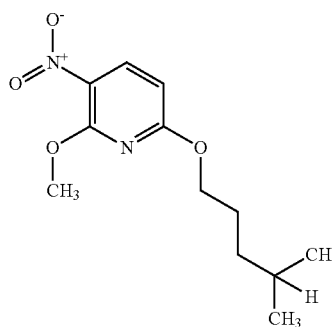
^1H NMR (400 MHz, CDCl_3) isomer: δ 0.92 (d, 6H), 1.37 (m, 2H), 1.62 (m, 1H), 1.85 (m, 2H), 3.99 (s, 3H), 4.48 (t, 2H), 6.34 (d, 1H), 8.32 (d, 1H).

LC: UV Detection: 220 nm; R_t =2.12 min (both components).

TLC: Plates: Merck DC-Plates, silica gel F_{254} , saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 NM; R_f of title compound and isomer=0.35, R_f of starting material=0.33.

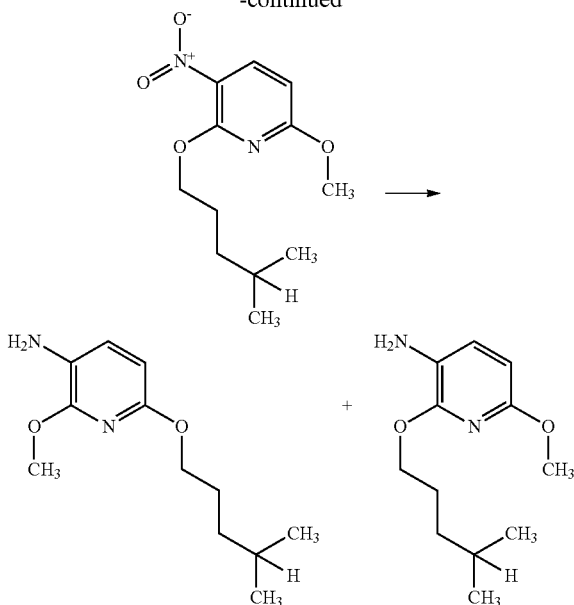
Example P30

Preparation of 2-Methoxy-6-(4-methyl-pentyloxy)-pyridin-3-ylamine and 6-Methoxy-2-(4-methyl-pentyloxy)-Pyridin-3-ylamine



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-continued



In a 10 ml single-necked round-bottomed flask with a condensor, 400 mg of a mixture consisting of 2-methoxy-6-(4-methyl-pentyloxy)-3-nitro-pyridine (15%) and 6-methoxy-2-(4-methyl-pentyloxy)-3-nitro-pyridine (85%) is suspended in methanol (1.50 ml). Under stirring and cooling with an ice/water bath, 37% aqueous hydrochloric acid (0.66 ml) is added. After removing the cooling bath, tin powder (280 mg) is added. The resulting suspension is then stirred under heating to reflux for 3.5 h. Then, the mixture is allowed to reach room temperature and the methanol is removed in vacuo. To the resulting dark green gum, 2 molar aqueous sodium hydroxide solution is added (10 ml, pH about 12). Extraction is carried out using ethyl acetate (2x20 ml). The organic layer is dried over sodium sulfate, filtered and the solvent is removed in vacuo to give 310 mg of a yellow oil. The raw material is purified by chromatography on silica gel (eluent: hexanes/ethyl acetate, gradient from 1:0 to 98:2 (v:v)). 30 mg of the title compound (8.5%) is obtained in the form of a brown oil.

Title Compound

$^1\text{H NMR}$ (400 MHz, CDCl_3): δ 0.90 (d, 6H), 1.31 (m, 2H), 1.60 (m, 1H), 1.75 (m, 2H), 3.37 (broad, 2H), 3.95 (s, 3H), 4.14 (t, 2H), 6.15 (d, 1H), 6.93 (d, 1H).

LC: UV Detection: 220 nm; R_f =1.69 min.

TLC: Plates: Merck DC-Plates, silica gel F_{254} , saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 (v:v); R_f of title compound=0.10, R_f of starting material=0.35.

Along with the title compound, 250 mg of the isomeric 6-methoxy-2-(4-methyl-pentyloxy)-pyridin-3-ylamine in the form of an orange-brown oil is isolated as well (71%).

$^1\text{H NMR}$ (400 MHz, CDCl_3): δ 0.91 (d, 6H), 1.33 (m, 2H), 1.61 (m, 1H), 1.79 (m, 2H), 3.38 (broad, 2H), 3.82 (s, 3H), 4.32 (t, 2H), 6.14 (d, 1H), 6.94 (d, 1H).

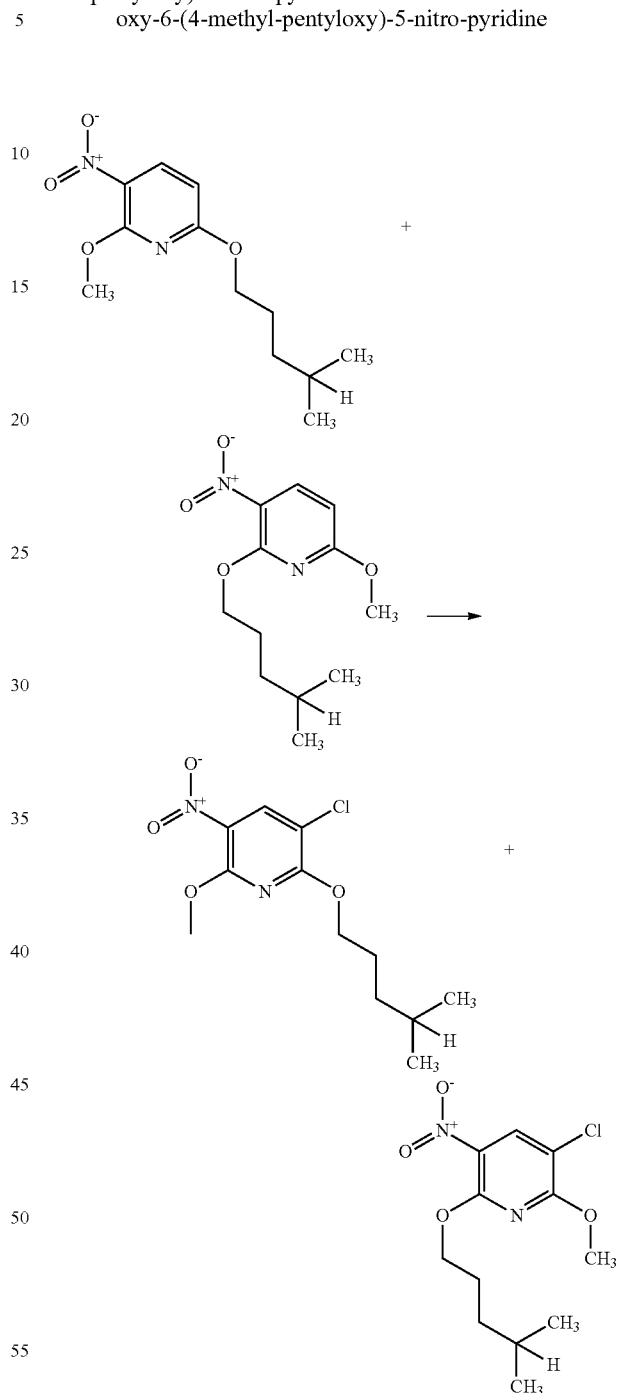
LC: UV Detection: 220 nm; R_f =1.72 min.

TLC: Plates: Merck DC-Plates, silica gel F_{254} , saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 (v:v); R_f =0.15.

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Example P31

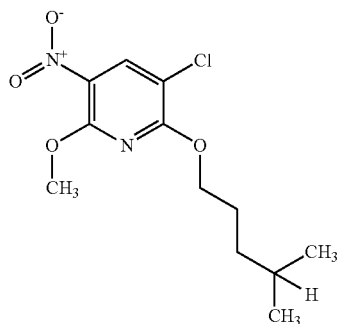
Preparation of 3-Chloro-6-methoxy-2-(4-methyl-pentyloxy)-5-nitro-pyridine and 3-Chloro-2-methoxy-6-(4-methyl-pentyloxy)-5-nitro-pyridine



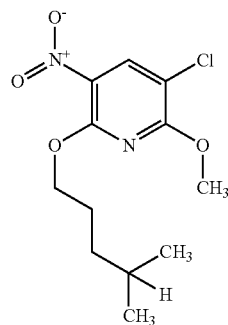
In a 10 ml three-necked round-bottomed flask equipped with a condensor, 2-methoxy-6-(4-methyl-pentyloxy)-3-nitro-pyridine (15%) and 6-methoxy-2-(4-methyl-pentyloxy)-3-nitro-pyridine (85%) (250 mg) is dissolved in dry acetonitrile (1.00 ml) and stirred at room temperature. Under stirring, N-chlorosuccinimide (131 mg) is added. Stirring is continued for 3.5 h under heating to reflux. After cooling to room temperature, water is added (5 ml, pH about 6) and extraction is done using ether (2x10 ml). The organic layer is washed with

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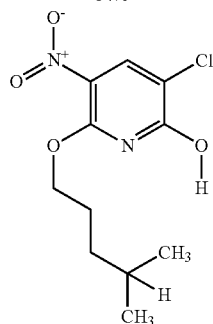
a 10% aqueous sodium bisulfite solution (10 ml). After drying over sodium sulfate, the organic layer is filtered and the solvent removed in vacuo to give a 250 mg of a yellow solid. After chromatography on silica gel (eluent: hexanes/ethyl acetate 99:1 (v:v)), 230 mg of a dark red oil is obtained that has the following composition:



3-Chloro-6-methoxy-2-(4-methyl-pentyloxy)-5-nitro-pyridine
11%



3-Chloro-2-methoxy-6-(4-methyl-pentyloxy)-5-nitro-pyridine
84%



6-Methoxy-2-(4-methyl-pentyloxy)-3-nitro-pyridine
5%

^1H NMR (400 MHz, CDCl_3) of title compound: δ 0.92 (d, 6H), 1.36 (m, 2H), 1.62 (m, 1H), 1.85 (m, 2H), 4.10 (s, 3H), 4.46 (t, 2H), 8.42 (s, 1H).

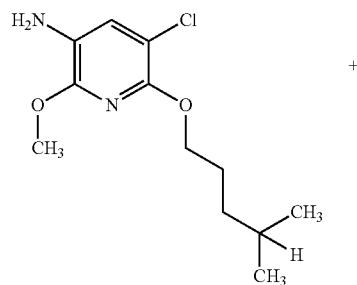
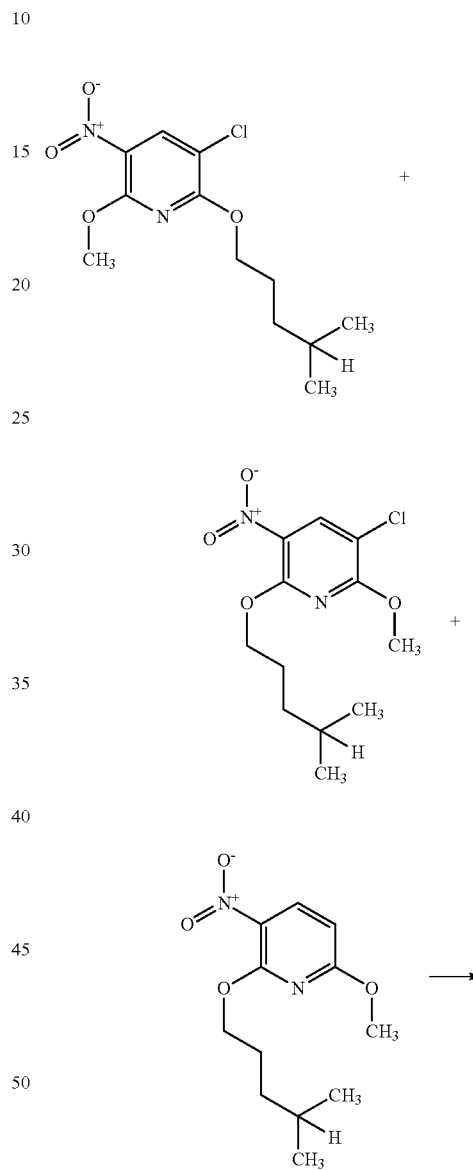
^1H NMR (400 MHz, CDCl_3) of 3-chloro-2-methoxy-6-(4-methyl-pentyloxy)-5-nitro-pyridine: δ 0.92 (d, 6H), 1.36 (m, 2H), 1.62 (m, 1H), 1.85 (m, 2H), 4.08 (s, 3H), 4.47 (t, 2H), 8.41 (s, 1H).

TLC: Plates: Merck DC-Plates, silica gel F_{254} , saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 (v:v); R_f of title compound and of 3-chloro-2-methoxy-6-(4-methyl-pentyloxy)-5-nitro-pyridine=0.43, R_f of starting material=0.35.

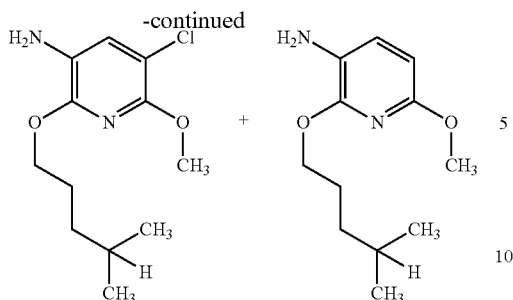
82

Example P32

Preparation of 5-Chloro-2-methoxy-6-(4-methyl-pentyloxy)-pyridin-3-ylamine, 5-Chloro-6-methoxy-2-(4-methyl-pentyloxy)-pyridin-3-ylamine and 6-Methoxy-2-(4-methyl-pentyloxy)-pyridin-3-ylamine



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In a 50 ml single-necked round-bottomed flask with a condenser, 220 mg of a mixture consisting of 3-chloro-6-methoxy-2-(4-methyl-pentyloxy)-5-nitro-pyridine (11%), 3-chloro-2-methoxy-6-(4-methyl-pentyloxy)-5-nitro-pyridine (84%) and 6-methoxy-2-(4-methyl-pentyloxy)-3-nitro-pyridine (5%) is suspended in methanol (1.50 ml). Under stirring and cooling with an ice/water bath, 37% aqueous hydrochloric acid (0.32 ml) is added. After removing the cooling bath, tin powder (181 mg) is added. The resulting suspension is then stirred under heating to reflux for 2.5 hours. Following the course of the reaction by thin layer chromatography indicated that no starting materials are left. The mixture is then allowed to reach room temperature and the methanol is removed in vacuo. To the resulting yellow solid, 2 molar aqueous sodium hydroxide solution is added (5 ml, pH about 12). Extraction is carried out using ethyl acetate (2×10 ml). The organic layer is dried over sodium sulfate, filtered and the solvent is removed in vacuo to give 170 mg of a yellow oil. The raw material is purified by chromatography on silica gel (eluent: hexanes/ethyl acetate 97:3 (v:v)). This gives 170 mg of 5-chloro-6-methoxy-2-(4-methyl-pentyloxy)-pyridin-3-ylamine in pure form, along with 20 mg of a mixture of 5-chloro-2-methoxy-6-(4-methyl-pentyloxy)-pyridin-3-ylamine (62%) and 6-methoxy-2-(4-methyl-pentyloxy)-pyridin-3-ylamine (38%). The mixture was used as such for the transformation to obtain the corresponding amide derivatives.

Title compound (5-chloro-6-methoxy-2-(4-methyl-pentyloxy)-pyridin-3-ylamine)

¹H NMR (400 MHz, CDCl₃): δ 0.91 (d, 6H), 1.33 (m, 2H), 1.61 (m, 1H), 1.78 (m, 2H), 3.42 (broad, 2H), 3.91 (s, 3H), 4.31 (t, 2H), 6.99 (s, 1H).

LC: UV Detection: 220 nm; R_f=2.07 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 (v:v); R_f of isomer=0.28, R_f of starting material=0.43.

For the mixture consisting of:

5-chloro-2-methoxy-6-(4-methyl-pentyloxy)-pyridin-3-ylamine (62%)

¹H NMR (400 MHz, CDCl₃): δ 0.91 (d, 6H), 1.32 (m, 2H), 1.61 (m, 1H), 1.79 (m, 2H), 3.40 (broad, 2H), 3.93 (s, 3H), 4.27 (t, 2H), 6.98 (s, 1H).

LC: UV Detection: 220 nm; R_f=2.09 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 (v:v); R_f of title compound=0.18, R_f of starting material=0.43.

6-methoxy-2-(4-methyl-pentyloxy)-pyridin-3-ylamine (38%)

¹H NMR (400 MHz, CDCl₃): δ 0.91 (d, 6H), 1.33 (m, 2H), 1.61 (m, 1H), 1.79 (m, 2H), 3.40 (broad, 2H), 3.82 (s, 3H), 4.32 (t, 2H), 6.14 (d, 1H), 6.94 (d, 1H).

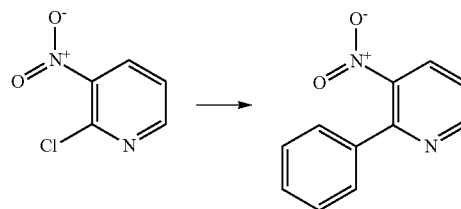
LC: UV Detection: 220 nm; R_f=1.72 min.

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TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 9:1 (v:v); R_f of title compound=0.15, R_f of starting material=0.35.

Example P33

Preparation of 3-Nitro-2-phenyl-pyridine



In a 250 mL single-necked round-bottomed flask equipped with a condenser, 10.0 g of 2-chloro-3-nitro-pyridine (CA registry number 5470-18-8) is dissolved in 75.0 ml of toluene and 9.3 ml of ethanol under argon. Then, 19.18 g of potassium carbonate in 12.0 ml of water is added, followed by 7.69 g of phenyl boronic acid. After stirring for 15 minutes under a flow of argon, 2.19 g of tetrakis(triphenylphosphine)palladium is added. The mixture is then stirred for 20 hours under heating to reflux. The dark brown mixture is then cooled down to ambient temperature, followed by the addition of 100 ml of saturated aqueous NH₄Cl solution. This mixture is extracted with AcOEt (2×100 ml). The organic phase is dried over Na₂SO₄, filtered and concentrated in vacuo to give 15.26 g of a dark brown oil. After purification by flash chromatography [silica gel cartridge (20 g, 60 ml) of a solid deposition with hexane/ethyl acetate 3:2 (v:v), 12.23 g of the title compound is obtained as a brown oil.

title compound is obtained as a brown oil.

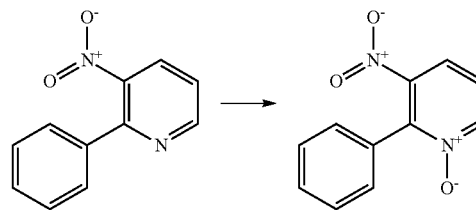
¹H NMR (400 MHz, CDCl₃): δ 7.46 (m, 4H), 7.56 (m, 2H), 8.13 (dd, 1H), 8.85 (dd, 1H).

LC: UV Detection: 220 nm; R_f=1.54 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 1:1 (v:v); R_f of title compound=0.44, R_f of starting material=0.44.

Example P34

Preparation of 3-Nitro-2-phenyl-pyridine-1-oxide



In a 250 mL three-necked round-bottomed flask equipped with a thermometer, dropping funnel and a condenser, 11.62 g of 3-nitro-2-phenyl-pyridine is dissolved in 58.0 ml of

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dichloromethane. Then, 13.65 g of H₂O₂ urea adduct is added. Under cooling with an ice/water bath, 16.40 ml trifluoroacetic acid anhydride is added dropwise over 25 minutes (temperature below 12° C.). After stirring at 10° C. for 45 minutes, the cooling bath is removed and the mixture is stirred at an ambient temperature for 18 hours. Afterwards, 150 ml of water is added (pH about 1) and extraction is carried out with dichloromethane (3×100 ml). After washing the organic phase with 10% aqueous sodium sulfite solution, it is dried over Na₂SO₄. After purification by chromatography on a pad of silica gel (eluent: first dichloromethane, then ethyl acetate), 9.45 g of the title compound is obtained as a yellow-green solid (MP: 116-117° C.).

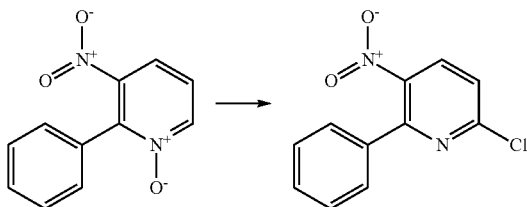
¹H NMR (400 MHz, CDCl₃): δ 7.42 (m, 3H), 7.50 (m, 3H), 7.64 (dd, 1H), 8.50 (dd, 1H).

LC: UV Detection: 220 nm; R_f=1.12 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 1:1 (v:v); R_f of title compound=0.05, R_f of starting material=0.44.

Example P35

Preparation of 6-Chloro-3-nitro-2-phenyl-pyridine



In a 100 ml single-necked round-bottomed flask equipped with a condenser, 5.00 g of 3-nitro-2-phenylpyridine-1-oxide is dissolved in 25.0 ml dry 1,2-dichloroethane. Phosphorous oxide chloride (3.18 ml) is added carefully (yellow-orange solution). This mixture is then stirred under heating to reflux for 17 h. After cooling the mixture to ambient temperature. Ice/water is added then. The extraction is carried out with dichloromethane (2×50 ml). After washing with brine, the organic phase is dried over sodium sulfate, filtered and concentrated in vacuo. Purification by flash chromatography over a silica gel cartridge (25 g, 150 ml) of a solid deposition with hexane/ethyl acetate 4:1 (v:v) gives 2.61 g of the title compound as a yellow oil.

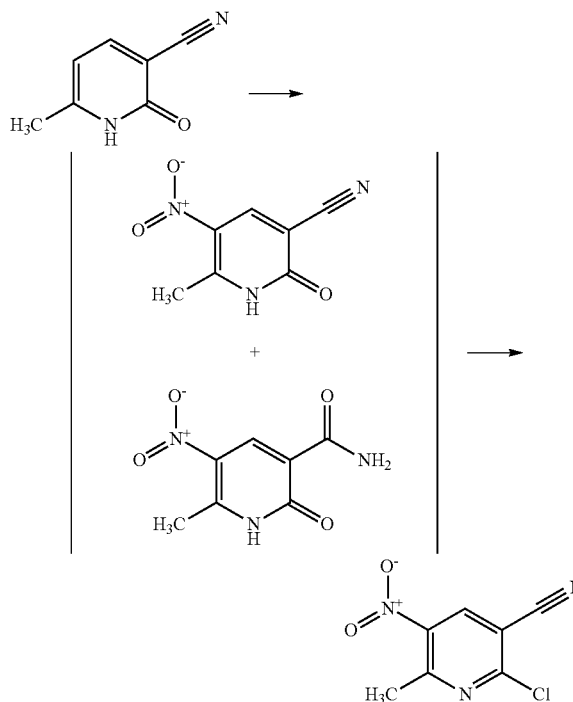
¹H NMR (400 MHz, CDCl₃): δ 7.46 (m, 4H), 7.56 (m, 2H), 8.10 (d, 1H).

LC: UV Detection: 220 nm; R_f=1.78 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 1:1 (v:v); R_f of title compound=0.59, R_f of starting material=0.05.

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Example P36

Preparation of
2-Chloro-6-methyl-5-nitro-nicotinonitril

In a 200 ml five-necked reaction flask equipped with a mechanical stirrer, dropping funnel, thermometer and a condenser, 10.00 g of 6-methyl-2-oxo-1,2-dihydropyridine-3-carbonitrile (CA registry number: 4241-27-4) is added slowly to 75.0 ml concentrated sulfuric acid (exothermic). While stirring and cooling with an ice/water bath, mixed acid reagent (freshly prepared from 5.0 ml of concentrated sulfuric acid and 3.40 ml of fuming nitric acid) is added dropwise over 10 minutes. This mixture is first allowed to reach 25° C. and then stirred at about this temperature (under occasional cooling initially with an ice/water bath) for 4 hours. The mixture is then carefully poured into ice and add then water (250 ml volume altogether). A precipitate begins to form. After filtration, washing with water and drying 750 mg of a yellow solid is isolated being a mixture of 6-methyl-5-nitro-2-oxo-1,2-dihydropyridine-3-carbonitrile (39%) and 6-methyl-5-nitro-2-oxo-1,2-dihydropyridine-3-carboxylic acid amide (61%). This is used directly for the following step.

In a 50 ml single-necked round-bottomed flask equipped with a condenser, the mixture described above is suspended in 3.80 ml of phosphorous oxide chloride. Under stirring this mixture is heated under reflux for 23.5 hours (dark brown solution).

After cooling the mixture to ambient temperature, it is concentrated in vacuo at 50° C. The resulting gum is treated with ice followed by an excess of saturated aqueous sodium bicarbonate solution. The extraction is carried out with AcOEt (3×20 ml). The organic phase is dried over sodium sulfate, filtered and concentrated in vacuo to get 600 mg of a brown solid. Purification by flash chromatography over a silica gel cartridge (20 g, 60 ml) of a solid deposition with

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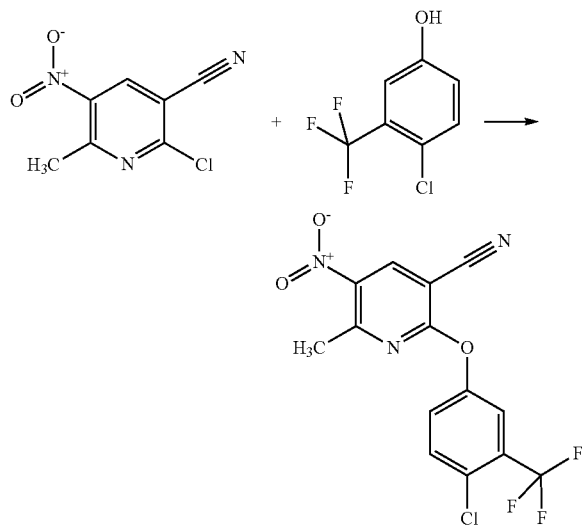
hexane/ethyl acetate 9:1 (v:v) gives 510 mg of the title compound as a light yellow solid (MP: 94-95° C.).

¹H NMR (400 MHz, CDCl₃): δ 2.95 (s, 3H), 8.60 (s, 1H).

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 1:4 (v:v); R_f of title compound=0.68, R_f of starting material=0.

Example P37

Preparation of 2-(4-Chloro-3-trifluoromethyl-phenoxy)-6-methyl-5-nitro-nicotinonitrile



In a 50 ml single-necked round-bottomed flask, 990 mg 4-chloro-3-trifluoromethyl-phenol is dissolved in 5.00 ml of dry dioxane. Afterwards, 1.73 ml of Hünig's base is added under stirring, followed by 1.00 g of 2-chloro-6-methyl-5-nitro-nicotinonitrile and stirring continued at an ambient temperature for 24 hours (dark violet suspension). Afterwards, the mixture is filtered through a pad of silica gel on a sintered glass filter disk, followed by washing with dichloromethane. The combined organic phases are concentrated in vacuo to give 2.32 g of a dark violet gum. After purification by chromatography [silica gel cartridge (50 g, 150 ml), eluent: hexanes/ethyl acetate 4:1 (v:v)], 1.53 g of the title compound are obtained in the form of a orange solid (MP: 110-111° C.).

¹H NMR (400 MHz, CDCl₃): δ 2.77 (s, 3H), 7.34 (dd, 1H), 7.60 (m, 2H), 8.72 (s, 1H).

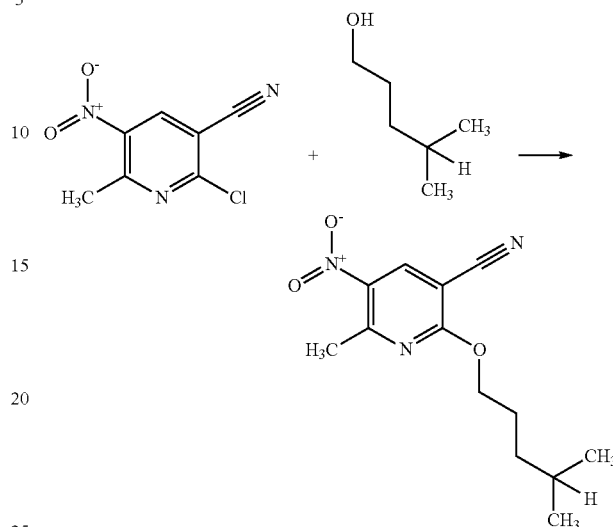
LC: UV Detection: 220 nm; R_t=2.08 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 2:1 (v:v); R_f of title compound=0.54, R_f of starting material=0.52.

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Example P38

Preparation of 6-Methyl-2-(4-methyl-pentyloxy)-5-nitro-nicotinonitrile



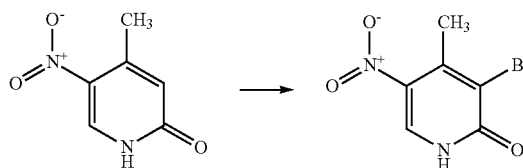
In a 12 ml Supelco vessel, to 0.95 ml of 4-methyl-pentan-1-ol is added 1.00 g of 2-chloro-6-methyl-5-nitro-nicotinonitrile. After closing the vessel with a septum, the mixture is stirred under heating to reflux (oil bath temperature of 130° C.). The progress of the reaction is monitored by thin layer chromatography. After 46 hours, an additional 0.53 ml of 4-methyl-pentan-1-ol is added and stirring continued under the specified conditions. After a heating period of 118 hours in total, the mixture is allowed to come to an ambient temperature. Then, the volatiles are removed in vacuo at a temperature of 50° C. to give 1.08 g of a brown oil. After purification by chromatography [silica gel cartridge (50 g, 100 ml), eluent: hexanes/ethyl acetate 95:5 (v:v)], 690 mg of the title compound are obtained in the form of a yellow oil.

¹H NMR (400 MHz, CDCl₃): δ 0.93 (d, 6H), 1.34 (m, 2H), 1.62 (m, 1H), 1.85 (m, 2H), 2.87 (s, 3H), 4.52 (t, 2H), 8.59 (s, 1H).

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 2:1 (v:v); R_f of title compound=0.59, R_f of starting material=0.52.

Example P39

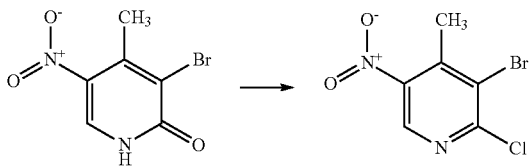
Preparation of 3-Bromo-4-methyl-5-nitro-1H-pyridin-2-one



In a 1000 ml three-necked round-bottomed flask, 5.00 g of 4-methyl-5-nitro-1H-pyridin-2-one (CA registry number: 21901-41-7) is suspended in 500 ml of water. Under stirring, the mixture is kept at a temperature of 40° C. while 1.83 ml of elemental bromine is added dropwise. Stirring at 40° C. is continued for an additional 4 hours. Afterwards, the mixture is cooled to 10° C. and the resulting precipitate collected by filtration and washed with water (4x). After drying, 6.65 g of the title compound is obtained in the form of a beige solid (MP: 237-240° C.).

89

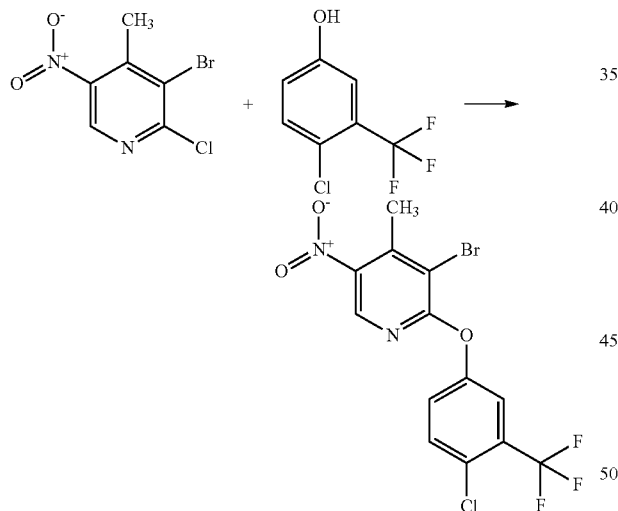
Example P40

Preparation of
3-Bromo-2-chloro-4-methyl-5-nitro-pyridine

In a 25 ml single-necked round-bottomed flask, to 1.72 ml phosphorous oxide chloride kept at a temperature of 5° C., 0.857 ml quinoline and 3.40 g of 3-bromo-4-methyl-5-nitro-1H-pyridin-2-one are added consecutively. The resulting beige suspension is stirred under heating to 120° C. whereupon a brown solution is obtained. Stirring is continued for 2 hours. Afterwards, the solution is cooled down to an ambient temperature and poured into water. The resulting precipitate is collected by filtration, the filter cake washed with water (4x) and dried to give 3.15 g of the title compound in the form of a brown solid (MP: 60-62° C.).

Example P41

Preparation of 3-Bromo-2-(4-chloro-3-trifluoromethyl-phenoxy)-4-methyl-5-nitro-pyridine

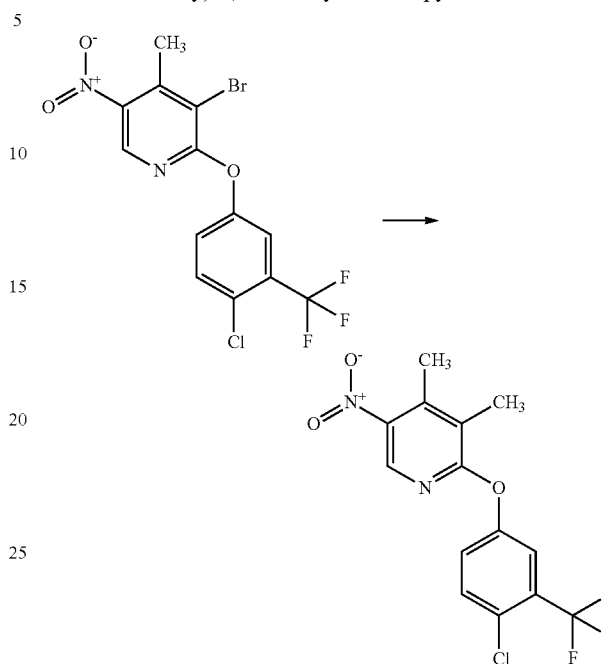


In a 250 ml three-necked round-bottomed flask, 4.00 g 4-chloro-3-trifluoromethyl-phenol is dissolved in 80 ml of dry methyl-ethyl-ketone. 3.85 g potassium carbonate followed by 4.70 g of 3-bromo-2-chloro-4-methyl-5-nitro-pyridine are added. The resulting brown suspension is heated to 80° C. under stirring for 3 hours. Afterwards, the green suspension is allowed to reach an ambient temperature and it then poured into water. The mixture is extracted with ethyl acetate (3x50 ml). The combined organic phases are washed with brine, dried over sodium sulfate, filtered and the solvent removed in vacuo. After purification of the raw product on silica gel with cyclohexane/ethyl acetate 19:1 (v:v) 7.36 g of the title compound are obtained as a light yellow gum that solidifies upon standing (MP: 73-74° C.).

90

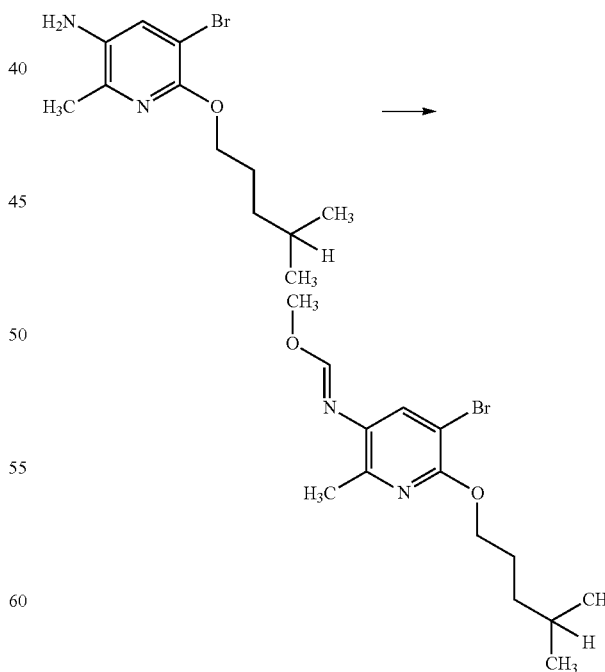
Example P42

Preparation of 244-Chloro-3-trifluoromethyl-phenoxy)-3,4-dimethyl-5-nitro-pyridine



Example P43

Preparation of N-[5-Bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-yl]-formimidic acid methyl ester



In a 50 ml single-necked round-bottomed flask, 3.00 g 5-bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-ylamine is dissolved in 10 ml of trimethyl-orthoformate.

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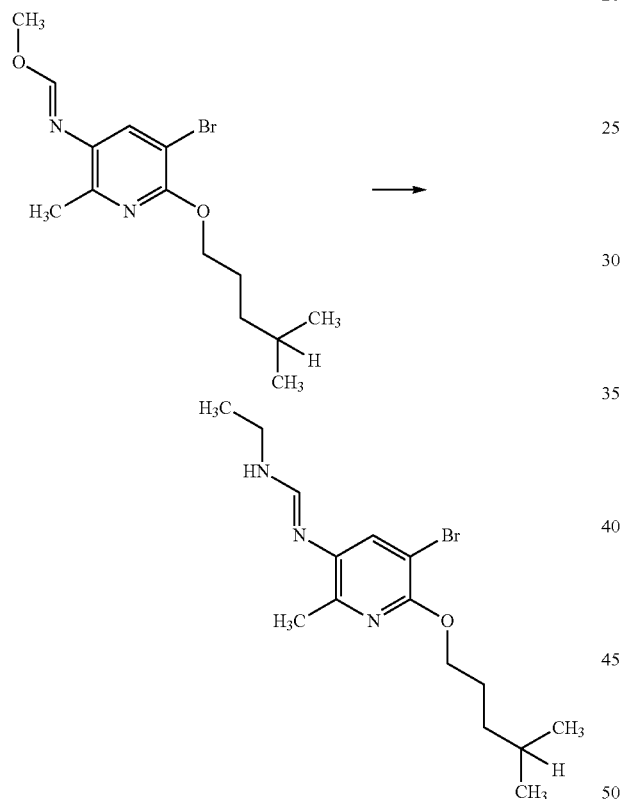
Under stirring the solution is heated to reflux for 8 hours. Afterwards, the reaction mixture is allowed to reach an ambient temperature and the volatile components are removed in vacuo at 50° C. to give 3.38 g of the title compound in the form of a brown oil.

¹H NMR (400 MHz, CDCl₃): δ 0.91-0.94(d,6H, CH₃), 1.31-1.41(m,2H, CH₂), 1.56-1.73(m,1H, CH), 1.76-1.80(m, 2H, CH₂), 2.35(s,3H, CH₃), 4.28(s,3H, CH₃), 4.33-4.36(t, 2H, CH₂), 7.26(s,1H), 7.75(s,1H).

TLC: Plates: Merck DC-Platten, Kieselgel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: cyclohexane/ethyl acetate 1:1 (v:v); R_f of title compound=0.73.

Example P44

Preparation of N-[5-Bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-yl]-N'-ethyl-formamidine



In a 50 ml single-necked round-bottomed flask, 540 mg of N-[5-Bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-yl]-formimidic acid methyl ester is dissolved in 6.60 ml of dry dichloromethane. Under stirring at an ambient temperature, 214 mg of ethylamine hydrochloride along with 0.45 ml of Hünig's base are added. Stirring is continued at room temperature for 20 hours. Then, the volatiles are removed in vacuo at 50° C. After purification on silica gel (eluent: heptane/ethyl acetate 8:1 (v:v) with 5% triethylamine) to give 530 mg of the title compound in the form of a brown oil.

¹H NMR (400 MHz, CDCl₃): δ 0.88-0.89 (d,6H, CH₃), 1.22-1.28 (t, 3H, CH₃) 1.30-1.36(m,2H, CH₂), 1.57-1.68(m,

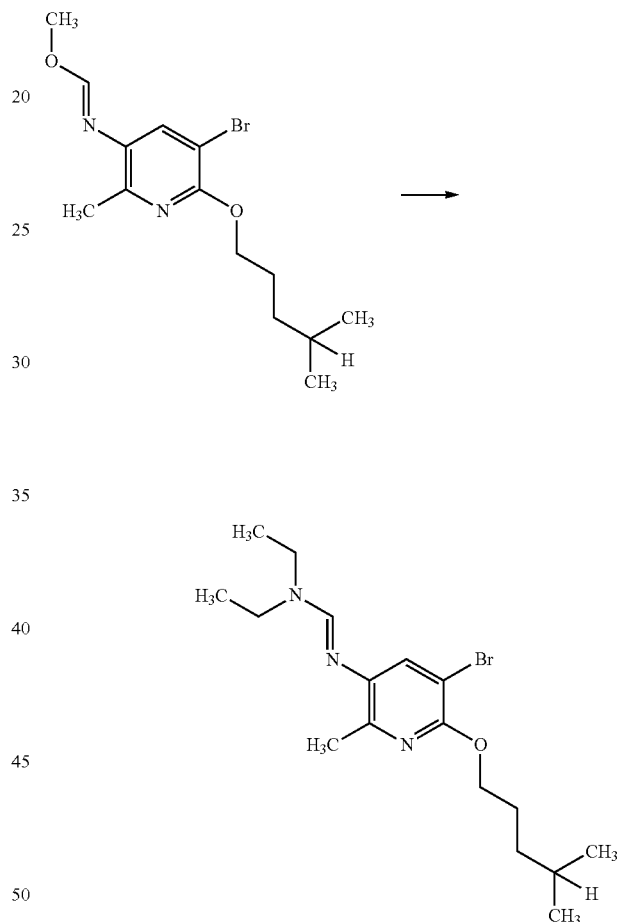
92

1H, CH), 1.75-1.82(m,2H, CH₂), 3.32-3.40(broad, 2H, CH₂) 2.35(s,3H, CH₃), 4.30-4.34(t,2H, CH₂), 4.34-4.71(broad, 1H, NH) 7.28(s,1H), 7.45(s,1H).

TLC: Plates: Merck DC-Platten, Kieselgel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: cyclohexane/ethyl acetate 1:1+5% Triethylamine (v:v); R_f of title compound=0.24.

Example P45

Preparation of N-[5-Bromo-2-methyl-6-(4-methyl-pentyloxy)-Pyridin-3-yl]-N,N-diethyl-formamidine



In a 50 ml single-necked round-bottomed flask, 540 mg of N-[5-Bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-yl]-formimidic acid methyl ester is dissolved in 6.60 ml of dry dichloromethane. Under stirring at an ambient temperature, 0.273 ml of diethylamine is added. Stirring is continued for 44 hours at an ambient temperature.

LC of a sample indicated that about 40% of starting material is still present.

An additional amount of diethylamine is added and stirring is continued for an additional 24 hours. Then, the volatiles are removed in vacuo at 50° C. After purification on silica gel

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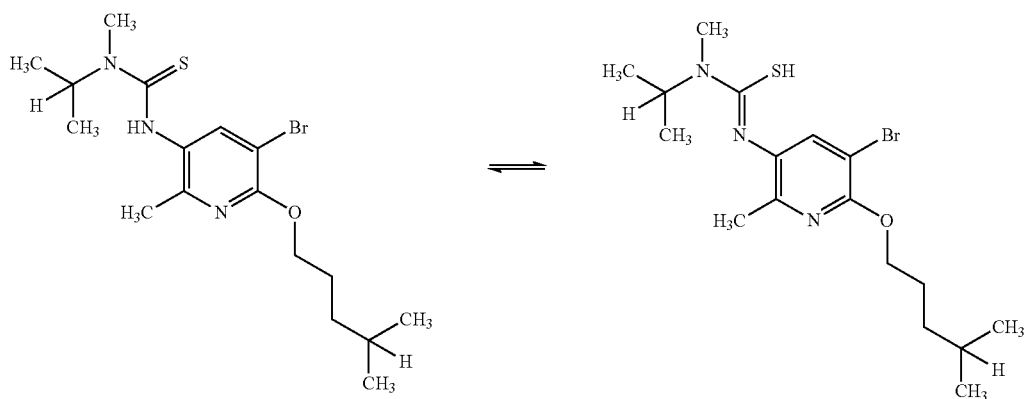
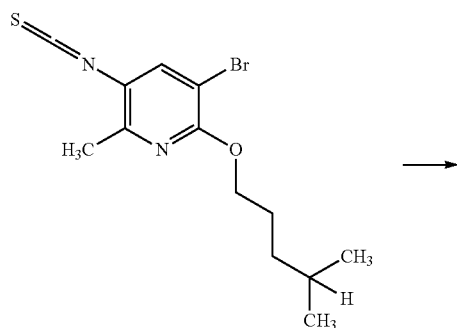
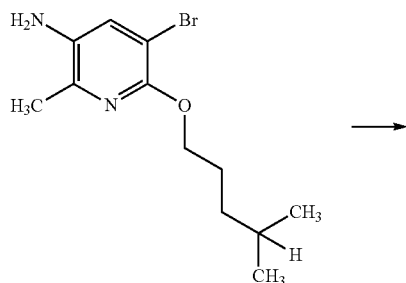
(eluent: heptane/ethyl acetate 8:1 (v:v) with 5% triethylamine) 530 mg of the title compound are obtained in the form of a yellow oil.

¹H NMR (400 MHz, CDCl₃): δ 0.92-0.0.94 (d, 6H, CH₃), 1.20-1.25 (t, 6H, CH₃), 1.31-1.39 (m, 2H, CH₂), 1.57-1.67 (m, 1H, CH), 1.74-1.82 (m, 2H, CH₂), 2.34 (s, 3H, CH₃), 3.19-3.49 (broad, 4H, CH₂), 4.28-4.34 (t, 2H, CH₂), 7.30 (s, 1H), 7.36 (s, 1H).

TLC: Plates: Merck DC-Platten, Kieselgel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: cyclohexane/ethyl acetate 1:1 (v:v); R_f of title compound=0.66.

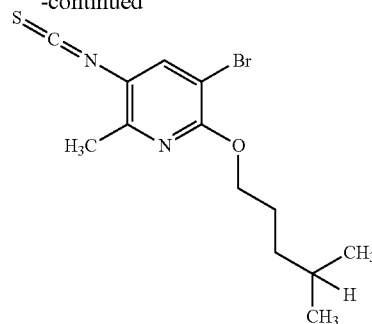
Example P46

Preparation of 3-Bromo-5-isothiocyanato-6-methyl-2-(4-methyl-pentyloxy)-pyridine



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-continued



- 15 In a 50 ml single-necked round-bottomed flask, 800 mg of 5-Bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-ylamine in 1.00 ml of dry dichloromethane (light yellow solution). Under stirring at a temperature below 5° C. (ice/water bath), first triethylamine (46.6 ml) followed by thiophosgene (CICSCl) (28.5 ml) are added dropwise. Stirring is continued at the same temperature for 1.25 hours. Then, water (10 ml) is added and the extraction is carried out with diethyl ether (2×10 ml). After washing with brine, the organic phase is dried over sodium sulfate, filtered and concentrated in vacuo to give 130 mg of a yellow oil. The material is used as such for the next step.

Example P47

- 30 Preparation of 3-[5-Bromo-2-methyl-6-(4-methyl-pentyloxy)-pyridin-3-yl]-1-isopropyl-1-methyl-thio-urea

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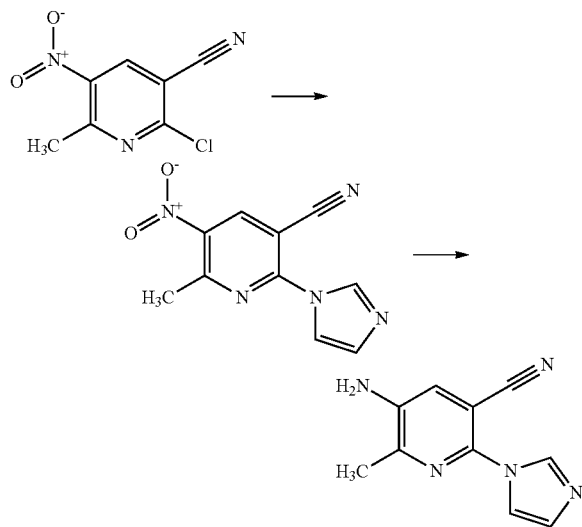
In a 50 ml single-necked round-bottomed flask, 920 mg of 3-bromo-5-isothiocyanato-6-methyl-2-(4-methyl-pentyloxy)-pyridine oxide is dissolved in 1.00 ml dry chloroform. Under stirring, isopropyl-ethyl-amine (20.4 mg) is added dropwise at an ambient temperature. Stirring is continued at the same temperature for 45 minutes. Then, 5.00 ml of water is added to the resulting orange solution. The extraction is carried out with diethyl ether (2×10 ml). After washing with brine, the organic phase is dried over sodium sulfate, filtered and concentrated in vacuo to give 140 mg of a brown oil. Purification by flash chromatography over a silica gel cartridge (20 g, 60 ml) of a solid deposition with hexane/ethyl acetate 95:5 (v:v) gives 60.0 mg of the title compound as a yellow oil.

¹H NMR (400 MHz, CDCl₃): δ 0.92 (d, 6H), 1.24 (d, 6H), 1.34 (m, 2H), 1.63 (m, 1H), 1.80 (m, 2H), 2.34 (s, 3H), 3.08 (s, 3H), 4.34 (t, 2H), 5.48 (broad, 1H), 6.70 (broad, 1H), 7.70 (s, 1H).

LC: UV Detection: 220 nm; R_t=2.19 min.

TLC: Plates: Merck DC-Plates, silica gel F₂₅₄, saturated atmosphere in developing tank, UV detection, eluent: heptane/ethyl acetate 4:1 (v:v); R_f of title compound=0.22, R_f of starting material=0.67.

Example P48

Preparation of
5-Amino-2-imidazol-1-yl-6-methyl-nicotinonitrile

In a 5 ml Supelco vessel, 200 mg of 2-chloro-6-methyl-5-nitro-nicotinonitrile (140 mg) is solubilized in dry dioxane (1.00 ml). After adding 138 mg of imidazole, the mixture was stirred for 70 hours at an ambient temperature. The suspension was filtered over a pad of silica gel, the filter cake washed with ethyl acetate and the combined organic phases concentrated in vacuo to give 240 mg of orange-brown solid.

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In a 50 ml single-necked round-bottomed flask, this solid (240 mg) is dissolved in methanol (1.00 ml). Under stirring and cooling with an ice/water bath, 1.00 mol of an aqueous 27% hydrochloric acid is added dropwise. After removing the cooling bath, tin powder is added (186 mg). The green-gray suspension is stirred under heating to reflux for 2.45 hours. Afterwards, the heating bath is removed and the mixture stirred at an ambient temperature overnight. Then, the volatiles are removed in vacuo and 20 ml of a 4 molar aqueous sodium hydroxide solution is added. The extraction is done with ethyl acetate (3×15 ml). The organic layer is dried over sodium sulfate, filtered and the solvent is removed in vacuo to give 160 mg of an orange-brown solid.

MS: ES⁺: 200 (M+H)⁺; ES⁻: 198 (M-H)⁻

LC Methodology Used

Method 1

HP 1100 HPLC from Agilent: solvent degasser, quaternary pump, heated column compartment and diode-array detector.

Column: Phenomenex Gemini C18, 3 μm particle size, 110 Angström, 30×3 mm,

Temp: 60° C.

DAD Wavelength range (nm): 200 to 500

Solvent Gradient: (same for all methods)

A=water+0.05% HCOOH

B=Acetonitril/Methanol (4:1, v/v)+0.04% HCOOH

Time	A %	B %	Flow (ml/min)
0.00	95.0	5.0	1.700
2.00	0.0	100.0	1.700
2.80	0.0	100.0	1.700
2.90	95.0	5.0	1.700
3.10	95.0	5.0	1.700

Method 3

HP 1100 HPLC from Agilent: solvent degasser, binary pump, heated column compartment and diode-array detector.

Column: Phenomenex Gemini C18, 3 μm particle size, 110 Angström, 30×3 mm,

Temp: 60° C.

DAD Wavelength range (nm): 200 to 500

Solvent Gradient: (same as above)

Method 4

HP 1100 HPLC from Agilent: solvent degasser, binary pump, heated column compartment and wavelength detector.

Column: Phenomenex Gemini C18, 3 nm particle size, 110 Angström, 30×3 mm

Temp: 60° C.

Solvent Gradient: (same as above)

MS. Spectra were recorded on a ZMD (Micromass, Manchester UK) or a ZQ (Waters Corp. Milford, Mass., USA) mass spectrometer equipped with an electrospray source (ESI; source temperature 80 to 100° C.; desolvation temperature 200 to 250° C.; cone voltage 30 V; cone gas flow 50 L/Hr, desolvation gas flow 400 to 600 L/Hr, mass range: 150 to 1000 Da).

The compounds according to the following tables can be prepared analogously. The examples which follow are intended to illustrate the invention and show preferred compounds of formula I and X.

TABLE P

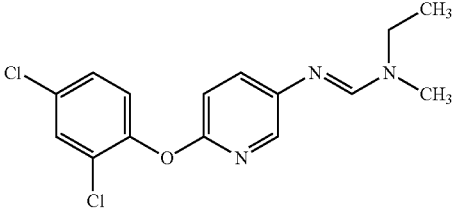
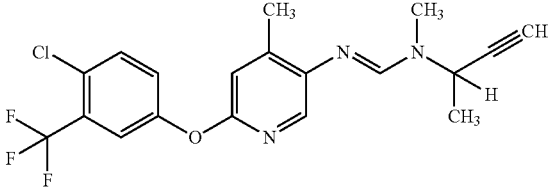
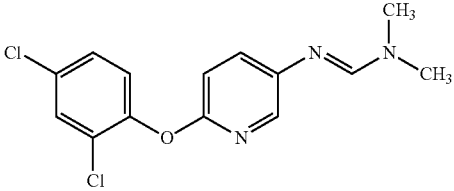
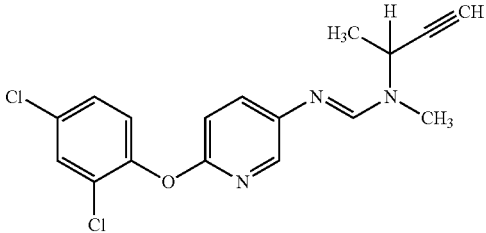
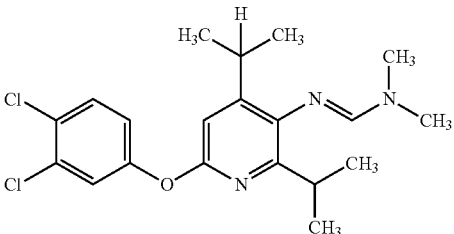
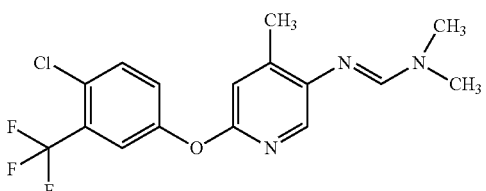
Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.01		¹ H NMR (400 MHz, CDCl ₃): δ 1.18-1.23(t, 3H, CH ₃), 2.98(s, 3H, CH ₃), 3.25-3.51(m _{br} , 2H, CH ₂), 6.84-6.89(d, 1H), 7.09(d, 1H), 7.23(dxd, 1H), 7.35(dxd, 1H), 7.45(d, 1H), 7.50(s _{br} , 1H), 7.75(d, 1H).
P.02		¹ H NMR (400 MHz, CDCl ₃): δ 1.38 + 1.48(2d, 3H, CH ₃), 2.20(s, 3H, CH ₃), 2.30 + 2.40(d, 1H, CH), 2.89 + 2.98(2s, 3H, CH ₃), 4.43 + 5.38(2m, 1H, CH), 6.72(s, 1H), 7.15(dxd, 1H), 7.38(d, 1H), 7.42(d, 1H), 7.62(s, 1H), 7.98 + 8.15(2s, 1H).
P.03		¹ H NMR (500 MHz, CD ₃ CN): δ 2.92(s, 3H, CH ₃), 2.97(s, 3H, CH ₃), 6.88(d, 1H), 7.13(d, 1H), 7.32(dxd, 1H), 7.36(dxd, 1H), 7.55(d, 1H), 7.60(s, 1H), 7.63(d, 1H).
P.04		gum
P.05		¹ H NMR (400 MHz, CDCl ₃): δ 1.11-1.17(2q, 12H, 4xCH ₃), 3.20(s, 6H, 2xCH ₃), 3.08-3.20(m, 2H), 6.08(s, 1H), 6.85(dxd, 1H), 7.14(s, 1H), 7.28(d, 1H), 7.37(d, 1H).
P.06		gum

TABLE P-continued

Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.07		gum
P.08		gum
P.09		gum
P.10		gum
P.11		¹ H NMR (400 MHz, CDCl ₃): δ 1.19-1.24(t, 3, CH ₃), 2.30(s, 3H, CH ₃), 3.00(s, 3H, CH ₃), 3.28-3.53(m, 2H, CH ₂), 6.78(s, 1H), 7.19(dxd, 1H), 7.39-7.45(m, 3H), 7.54(s, 1H).
P.12		gum

TABLE P-continued

Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.13		¹ H NMR (400 MHz, CDCl ₃): δ 1.95(mbr, 4H, 2xCH ₂), 2.28(s, 3H, CH ₃), 3.50-3.55(m, 4H, 2xCH ₂), 7.17(dxd, 1H), 7.23(d, 1H), 7.39(d, 1H), 7.55(d, 1H), 7.64(d, 1H), 7.75(s, 1H).
P.14		gum
P.15		gum
P.16		¹ H NMR (400 MHz, CDCl ₃): δ 2.34(t, 3, CH ₃), 3.53(s, 3H, CH ₃), 6.96(d, 1H), 7.00(dxd, 1H), 7.21(dxd, 1H), 7.38(d, 1H), 7.45-7.51(m, 2H), 7.68-7.72(m, 1H), 7.79(d, 1H), 8.33(dxd, 1H), 9.11(s, 1H).
P.17		¹ H NMR (400 MHz, CDCl ₃): δ 1.19-1.24(t, 3, CH ₃), 1.30(s, 9H, 3xCH ₃), 2.28(s, 3H, CH ₃), 3.00(s, 3H, CH ₃), 3.25-3.35(mbr, 2H, CH ₂), 6.80(dxd, 1H), 7.08-7.12(m, 2H), 7.20-7.27(m, 2H), 7.53(sbr, 1H), 7.67(d, 1H).
p.18.		gum

TABLE P-continued

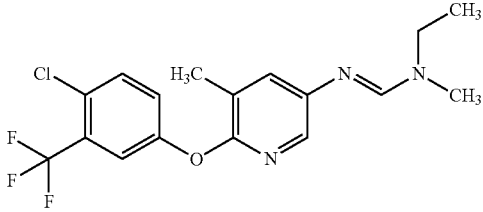
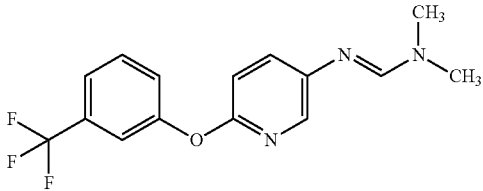
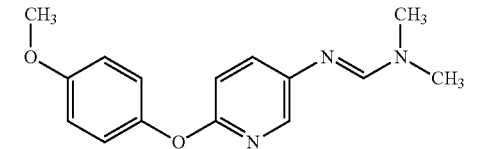
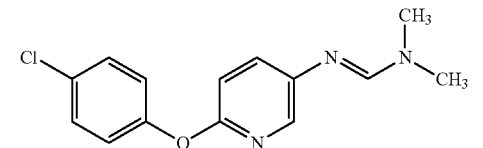
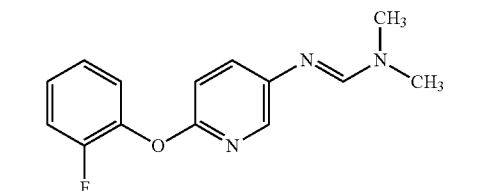
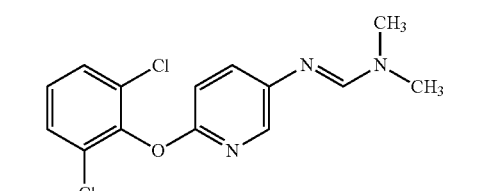
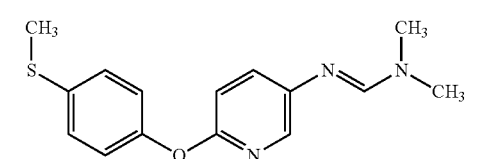
Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.19		¹ H NMR (400 MHz, CDCl ₃): δ 1.19-1.24(t, 3, CH ₃), 2.28(s, 3H, CH ₃), 3.00(s, 3H, CH ₃), 3.28-3.53(m, 2H, CH ₃), 7.15-7.26(m, 2H), 7.40(d, 1H), 7.46(d, 1H), 7.55(sbr, 1H), 7.65(d, 1H).
P.20		¹ H NMR (500 MHz, CD ₃ CN): δ 2.94(s, 3H, CH ₃), 2.98(s, 3H, CH ₃), 6.90(d, 1H), 7.30(m, 1H), 7.36-7.40(2m, 2H), 7.46(m, 1H), 7.54(m, 1H), 7.62(s, 1H), 7.72(d, 1H).
P.21		¹ H NMR (500 MHz, CD ₃ CN): δ 2.92(s, 3H, CH ₃), 2.97(s, 3H, CH ₃), 3.75(s, 3H, OCH ₃), 6.75(d, 1H), 6.91(d, 2H), 6.98(d, 2H), 7.30(dxd, 1H), 7.58(s, 1H), 7.65(d, 1H).
P.22		¹ H NMR (500 MHz, CD ₃ CN): δ 2.90(s, 3H, CH ₃), 2.97(s, 3H, CH ₃), 6.84(d, 1H), 7.02(d, 2H), 7.34(d, 2H), 7.35(dxd, 1H), 7.60(s, 1H), 7.70(d, 1H).
P.23		¹ H NMR (500 MHz, CD ₃ CN): δ 2.90(s, 3H, CH ₃), 2.97(s, 3H, CH ₃), 6.87(d, 1H), 7.15-7.23(2m, 4H), 7.35(dxd, 1H), 7.58(s, 1H), 7.81(d, 1H).
P.24		¹ H NMR (500 MHz, CD ₃ CN): δ 2.90(s, 3H, CH ₃), 2.96(s, 3H, CH ₃), 6.93(d, 1H), 7.21(t, 1H), 7.38(dxd, 1H), 7.45(d, 2H), 7.54(d, 1H), 7.58(s, 1H).
P.25		¹ H NMR (500 MHz, CD ₃ CN): δ 2.45(s, 3H, SCH ₃), 2.92(s, 3H, CH ₃), 2.97(s, 3H, CH ₃), 6.82(d, 1H), 7.02(d, 2H), 7.28(d, 2H), 7.35(dxd, 1H), 7.60(s, 1H), 7.70(d, 1H).

TABLE P-continued

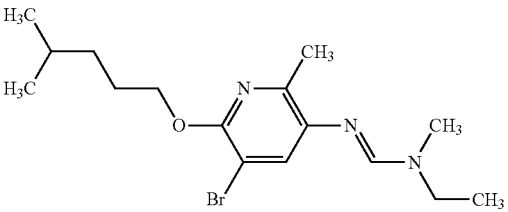
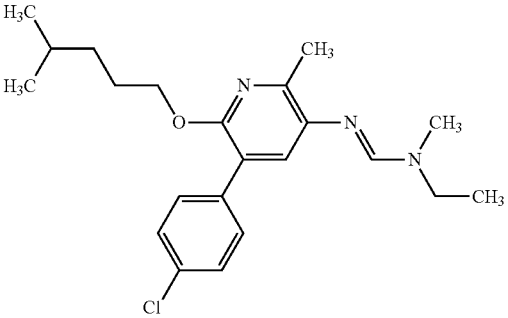
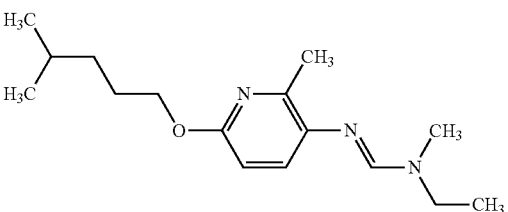
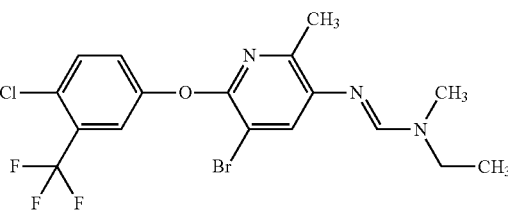
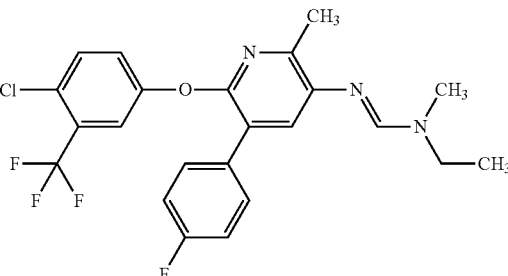
Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.26		¹ H NMR (400 MHz, CDCl ₃): δ 0.91(d, 6H), 1.15-1.40(m, m, 5 H), 1.61(m, 1H), 1.78(m, 2H), 2.38(s, 3H), 3.04(broad, 3H), 3.25-3.60(broad, 2H), 4.30(t, 2H), 7.28(s, 1H), 7.30-7.50(broad, 1H).
P.27		¹ H NMR (400 MHz, CDCl ₃): δ 0.88(d, 6H); 1.20(t, 3H), 1.23(m, 2H), 1.58(m, 1H), 1.72(m, 2H), 2.44(s, 3H), 3.02(s, 3H), 3.15-3.60(broad, 2H), 4.29(t, 2H), 7.06(s, 1H), 7.34(d, 2H), 7.42(broad, 1H), 7.52(d, 2H).
P.28		¹ H NMR (400 MHz, CDCl ₃): δ 0.90(d, 6H), 1.20(t, 3H), 1.33(m, 2H), 1.60(m, 1H); 1.76(m, 2H), 2.41(s, 3H), 2.99(s, 3H), 3.20-3.50(broad, 1H), 3.35(broad, 1H), 4.18(t, 2H), 6.46(d, 1H), 7.01(d, 1H), 7.38(broad, 1H).
P.29		¹ H NMR (400 MHz, CDCl ₃): δ 1.15-1.35(broad, 3H), 2.34(s, 3H), 3.03(s, 3H), 3.25-3.60(broad, 2H), 7.16 and 7.19(dd, 1H), 7.35(s, 1H), 7.42(m, 1H), 7.45(m, 1H), 7.30-7.55(broad, 1H).
P.30		RP HPLC: Retention time of compound: 1.55 minutes

TABLE P-continued

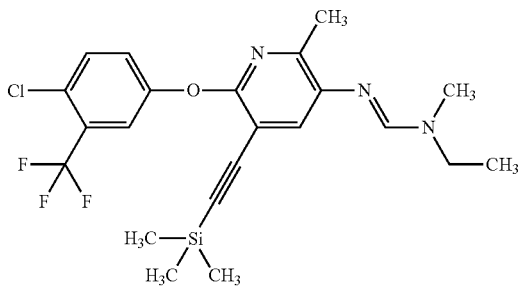
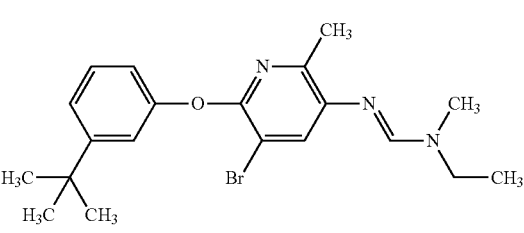
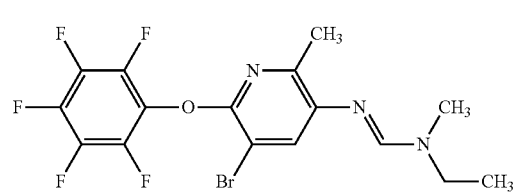
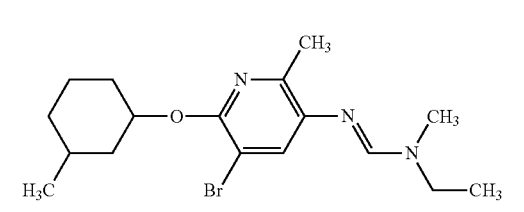
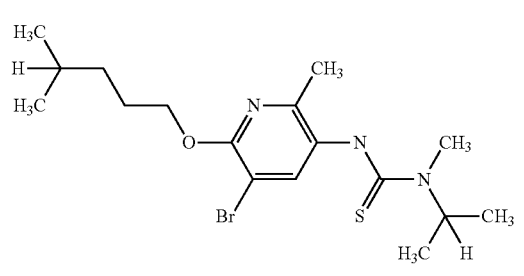
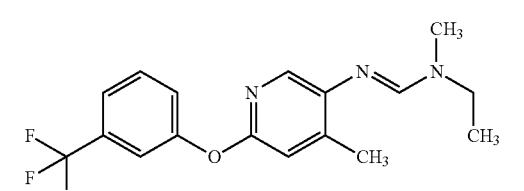
Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.31		RP HPLC: retention time of compound: 1.61 minutes
P.32		RP HPLC: retention time of compound: 1.46 and 1.49 minutes
P.33		RP HPLC: retention time of compound: 1.44 minutes
P.34		RP HPLC: retention time of compound: 1.38 minutes
P.35		RP HPLC: retention time of compound: 2.19 minutes
P.36		RP HPLC: retention time of compound: 1.11 minutes

TABLE P-continued

Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.37		78-79° C. intermediate (formula X)
P.38		RP HPLC: retention time of compound: 1.35 minutes
P.39		93-94° C.
P.40		155-156° C.
P.41		142-143° C.
P.42		92-93° C.

TABLE P-continued

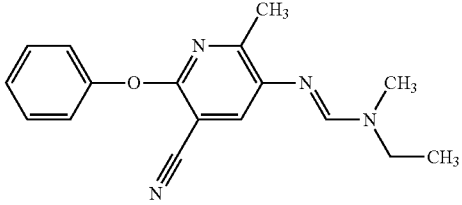
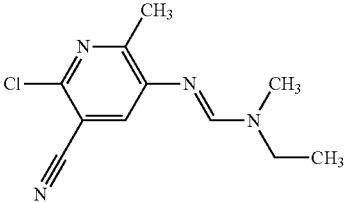
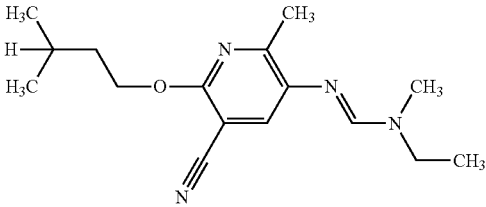
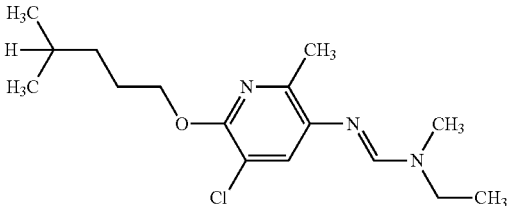
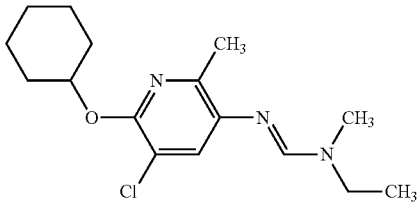
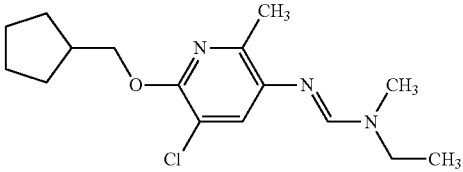
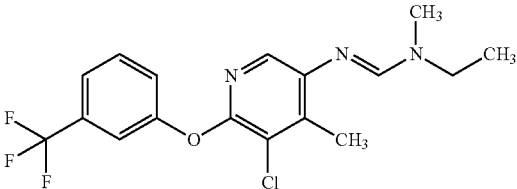
Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.43		66-67° C.
P.44		91-92° C. intermediate (formula X)
P.45		RP HPLC: retention time of compound: 1.27 minutes
P.46		RP HPLC: retention time of compound: 1.42 minutes
P.47		RP HPLC: retention time of compound: 1.45 minutes
P.48		RP HPLC: retention time of compound: 1.50 minutes
P.49		72-73° C.

TABLE P-continued

Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.50		82-83° C.
P.51		70-71° C.
P.52		81-82° C.
P.53		RP HPLC: retention time of compound: 1.43 minutes
P.54		RP HPLC: retention time of compound: 1.51 minutes
P.55		RP HPLC: retention time of compound: 2.31 minutes
P.56		RP HPLC: retention time of compound: 2.27 minutes

TABLE P-continued

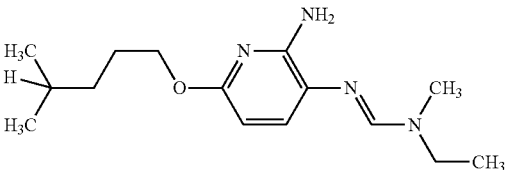
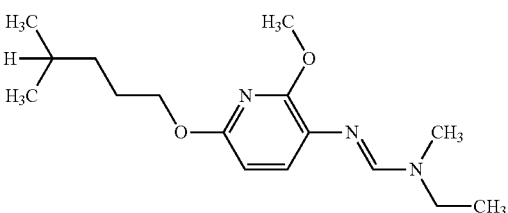
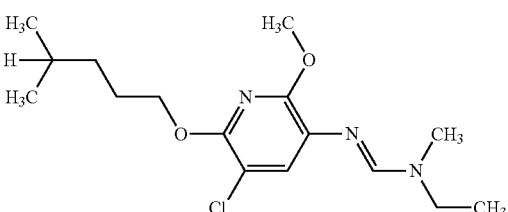
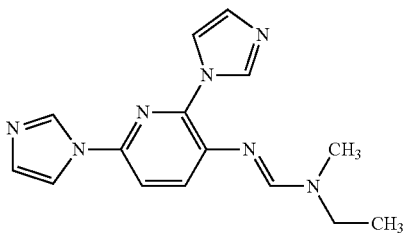
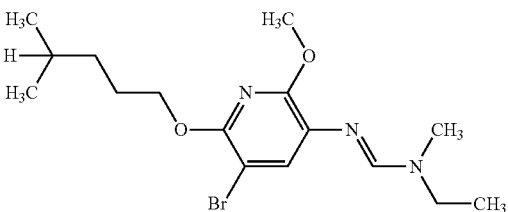
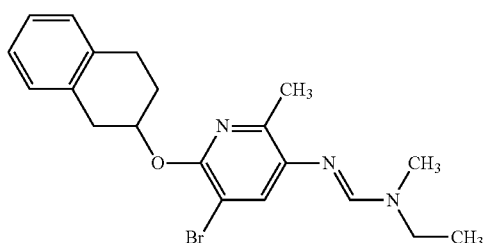
Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.57		74-75° C.
P.58		RP HPLC: retention time of compound: 1.31 minutes
P.59		RP HPLC: retention time of compound: 1.40 minutes
P.60		MS (M + 1) 2.96 intermediate (formula X)
P.61		RP HPLC: retention time of compound: 1.42 minutes
P.62		RP HPLC: retention time of compound: 1.36 minutes

TABLE P-continued

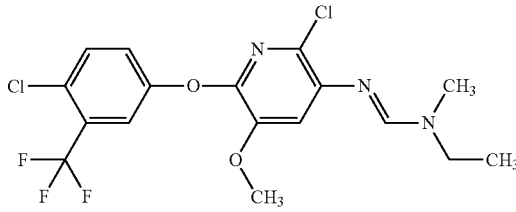
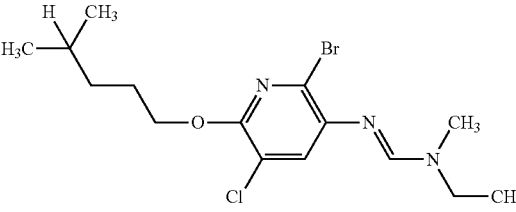
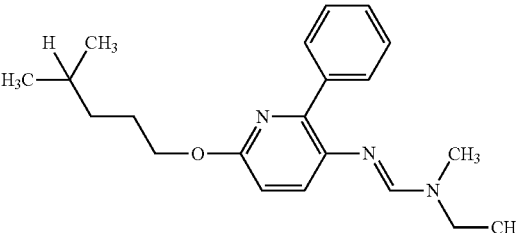
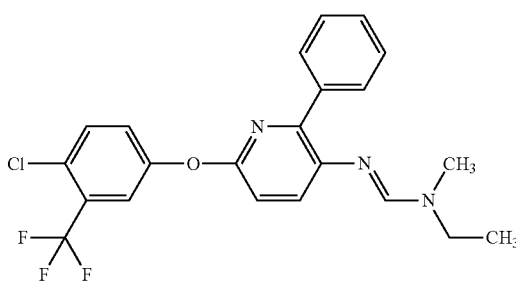
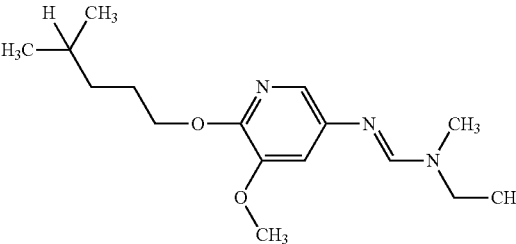
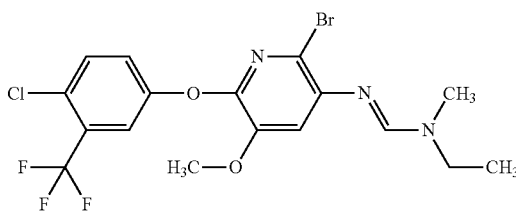
Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.63		80-82° C.
P.64		RP HPLC: retention time of compound: 1.65 minutes
P.65		RP HPLC: retention time of compound: 1.41 minutes
P.66		RP HPLC: retention time of compound: 1.45 minutes
P.67		RP HPLC: retention time of compound: 1.20 minutes
P.68		84-85° C.

TABLE P-continued

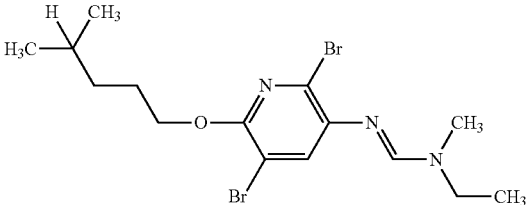
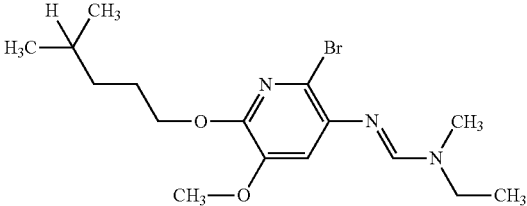
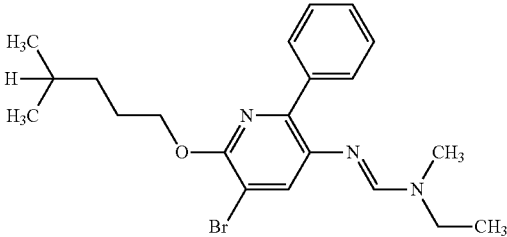
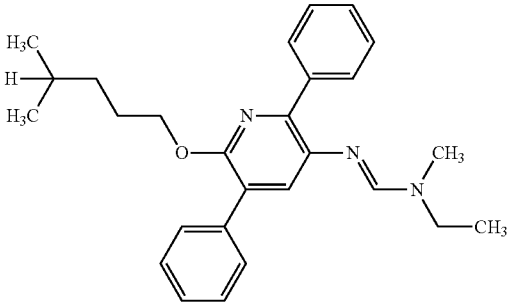
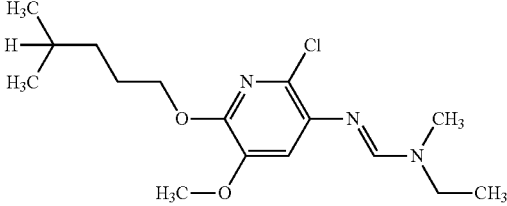
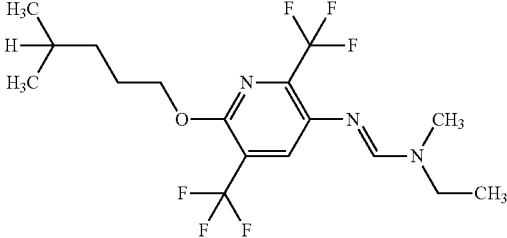
Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.69		RP HPLC: retention time of compound: 1.72 minutes
P.70		RP HPLC: retention time of compound: 1.32 minutes
P.71		RP HPLC: retention time of compound: 1.60 minutes
P.72		RP HPLC: retention time of compound: 1.61 minutes
P.73		RP HPLC: retention time of compound: 1.33 minutes
P.74		RP HPLC: retention time of compound: 2.24 minutes

TABLE P-continued

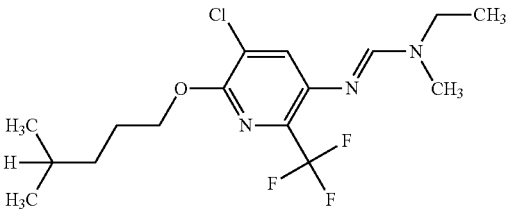
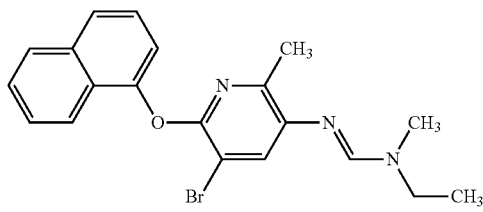
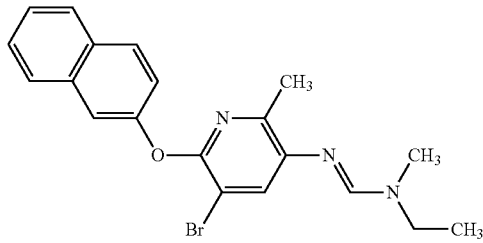
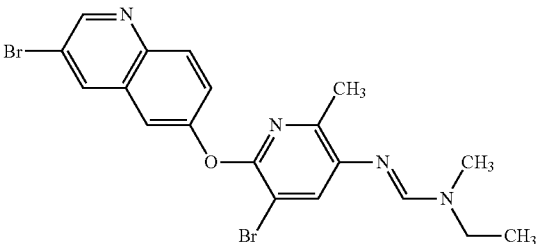
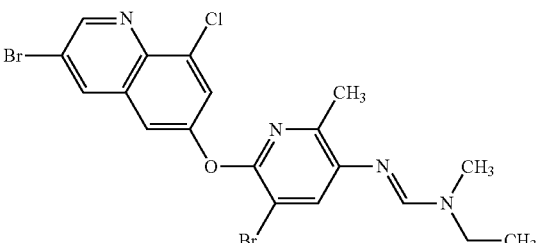
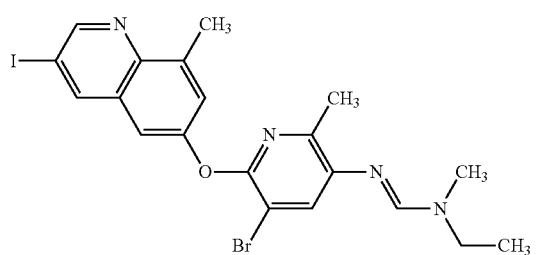
Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.75		RP HPLC: retention time of compound: 2.10 minutes
P.76		RP HPLC: retention time of compound: 1.35 minutes
P.77		RP HPLC: retention time of compound: 1.37 minutes
P.78		123-125° C.
P.79		RP HPLC: retention time of compound: 1.46 minutes
P.80		RP HPLC: retention time of compound: 1.50 minutes

TABLE P-continued

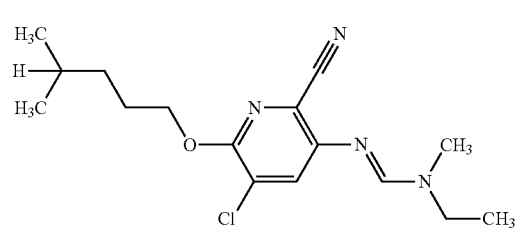
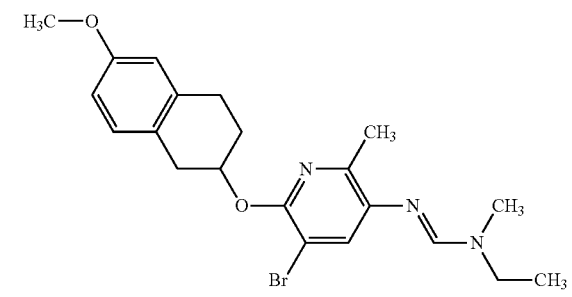
Physical data of compounds of formula I and X:		
Compound No.	Structures	MS/NMR/melting point in ° C.
P.81		RP HPLC: retention time of compound: 2.22 minutes
P.82		RP HPLC: retention time of compound: 1.39 minutes

Table A discloses 526 sets of meanings of the variables R_1 , R_2 , R_5 and R_6 in a compound of formula I.

TABLE A

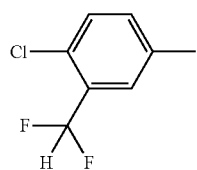
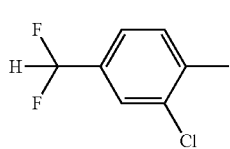
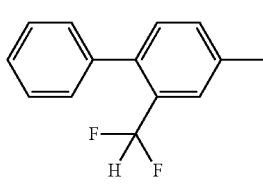
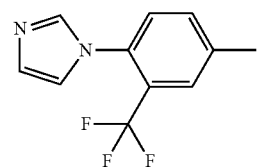
Meanings for R_1 , R_2 , R_5 and R_6 :				
Line	R_1	R_2	R_6	R_5
A.1.1	CH ₃	CH ₂ CH ₃	H	
A.1.2	CH ₃	CH ₂ CH ₃	H	
A.1.3	CH ₃	CH ₂ CH ₃	H	
A.1.4	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

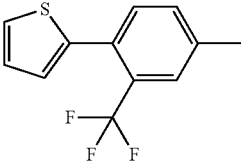
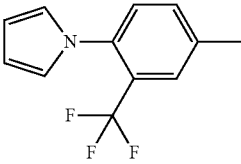
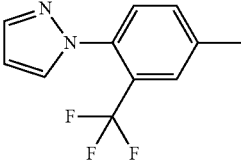
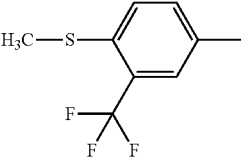
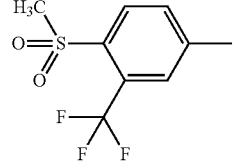
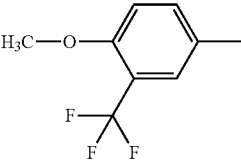
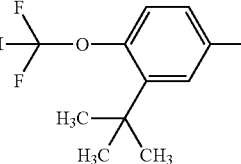
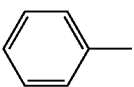
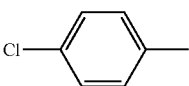
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.5	CH ₃	CH ₂ CH ₃	H	
A.1.6	CH ₃	CH ₂ CH ₃	H	
A.1.7	CH ₃	CH ₂ CH ₃	H	
A.1.8	CH ₃	CH ₂ CH ₃	H	
A.1.9	CH ₃	CH ₂ CH ₃	H	
A.1.10	CH ₃	CH ₂ CH ₃	H	
A.1.11	CH ₃	CH ₂ CH ₃	H	
A.1.12	CH ₃	CH ₂ CH ₃	H	
A.1.13	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

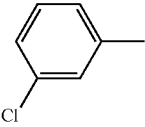
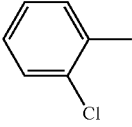
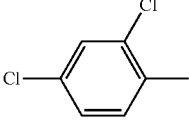
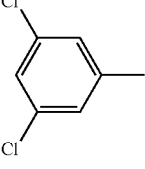
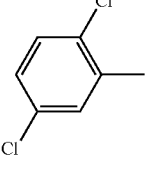
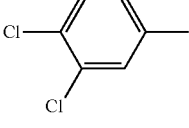
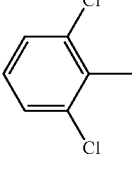
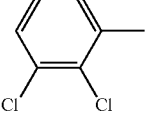
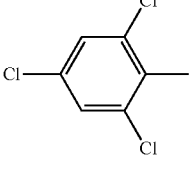
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.14	CH ₃	CH ₂ CH ₃	H	
A.1.15	CH ₃	CH ₂ CH ₃	H	
A.1.16	CH ₃	CH ₂ CH ₃	H	
A.1.17	CH ₃	CH ₂ CH ₃	H	
A.1.18	CH ₃	CH ₂ CH ₃	H	
A.1.19	CH ₃	CH ₂ CH ₃	H	
A.1.20	CH ₃	CH ₂ CH ₃	H	
A.1.21	CH ₃	CH ₂ CH ₃	H	
A.1.22	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

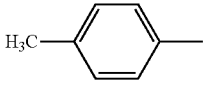
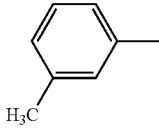
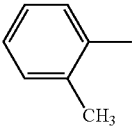
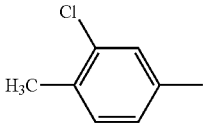
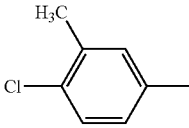
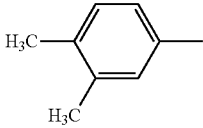
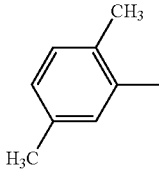
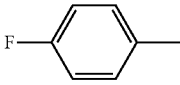
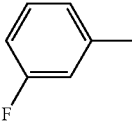
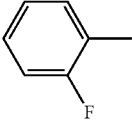
Meanings for R ₁ , R ₂ , R ₃ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.23	CH ₃	CH ₂ CH ₃	H	
A.1.24	CH ₃	CH ₂ CH ₃	H	
A.1.25	CH ₃	CH ₂ CH ₃	H	
A.1.26	CH ₃	CH ₂ CH ₃	H	
A.1.27	CH ₃	CH ₂ CH ₃	H	
A.1.28	CH ₃	CH ₂ CH ₃	H	
A.1.29	CH ₃	CH ₂ CH ₃	H	
A.1.30	CH ₃	CH ₂ CH ₃	H	
A.1.31	CH ₃	CH ₂ CH ₃	H	
A.1.32	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

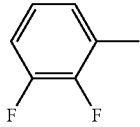
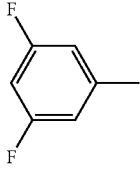
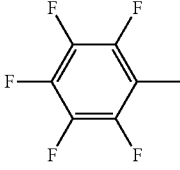
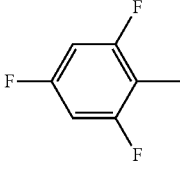
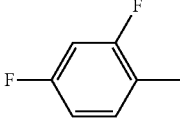
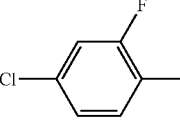
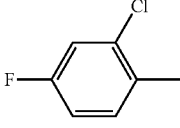
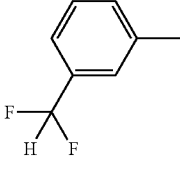
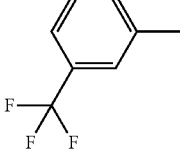
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.33	CH ₃	CH ₂ CH ₃	H	
A.1.34	CH ₃	CH ₂ CH ₃	H	
A.1.35	CH ₃	CH ₂ CH ₃	H	
A.1.36	CH ₃	CH ₂ CH ₃	H	
A.1.37	CH ₃	CH ₂ CH ₃	H	
A.1.38	CH ₃	CH ₂ CH ₃	H	
A.1.39	CH ₃	CH ₂ CH ₃	H	
A.1.40	CH ₃	CH ₂ CH ₃	H	
A.1.41	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

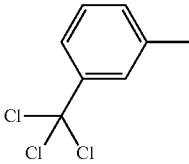
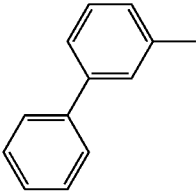
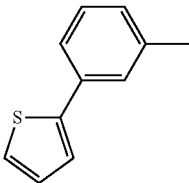
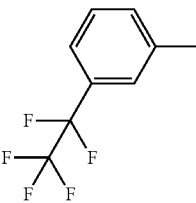
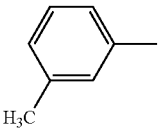
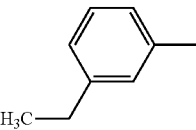
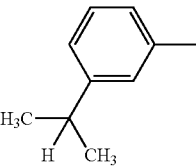
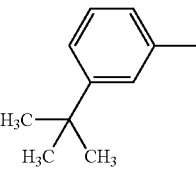
Meanings for R ₁ , R ₂ , R ₃ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.42	CH ₃	CH ₂ CH ₃	H	
A.1.43	CH ₃	CH ₂ CH ₃	H	
A.1.44	CH ₃	CH ₂ CH ₃	H	
A.1.45	CH ₃	CH ₂ CH ₃	H	
A.1.46	CH ₃	CH ₂ CH ₃	H	
A.1.47	CH ₃	CH ₂ CH ₃	H	
A.1.48	CH ₃	CH ₂ CH ₃	H	
A.1.49	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

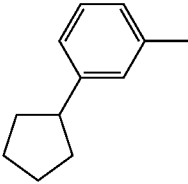
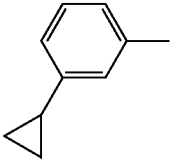
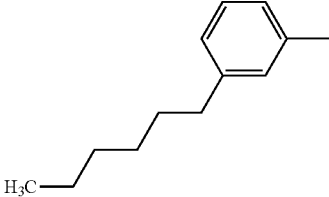
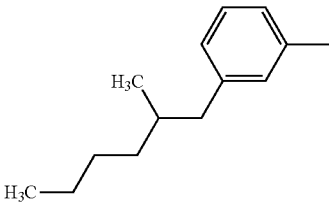
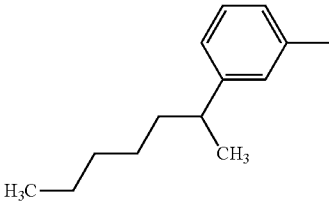
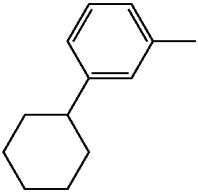
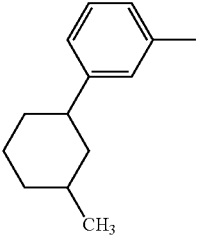
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.50	CH ₃	CH ₂ CH ₃	H	
A.1.51	CH ₃	CH ₂ CH ₃	H	
A.1.52	CH ₃	CH ₂ CH ₃	H	
A.1.53	CH ₃	CH ₂ CH ₃	H	
A.1.54	CH ₃	CH ₂ CH ₃	H	
A.1.55	CH ₃	CH ₂ CH ₃	H	
A.1.56	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

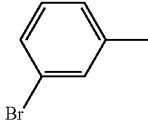
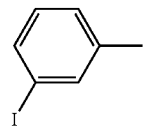
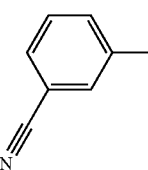
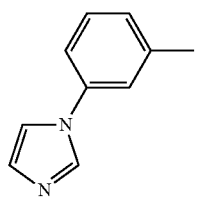
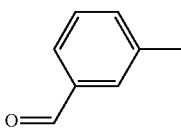
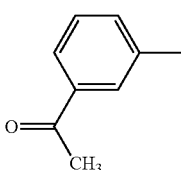
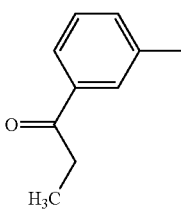
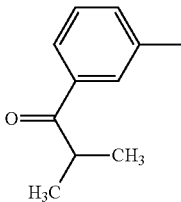
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.57	CH ₃	CH ₂ CH ₃	H	
A.1.58	CH ₃	CH ₂ CH ₃	H	
A.1.59	CH ₃	CH ₂ CH ₃	H	
A.1.60	CH ₃	CH ₂ CH ₃	H	
A.1.61	CH ₃	CH ₂ CH ₃	H	
A.1.62	CH ₃	CH ₂ CH ₃	H	
A.1.63	CH ₃	CH ₂ CH ₃	H	
A.1.64	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

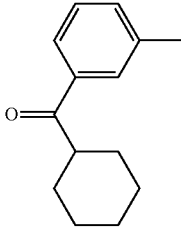
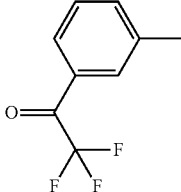
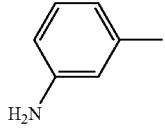
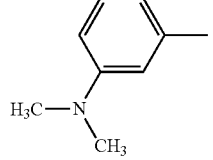
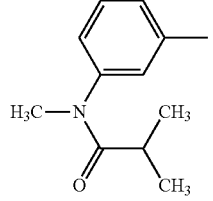
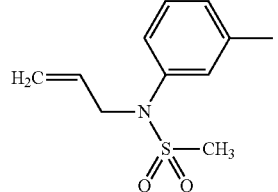
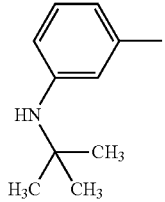
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.65	CH ₃	CH ₂ CH ₃	H	
A.1.66	CH ₃	CH ₂ CH ₃	H	
A.1.67	CH ₃	CH ₂ CH ₃	H	
A.1.68	CH ₃	CH ₂ CH ₃	H	
A.1.69	CH ₃	CH ₂ CH ₃	H	
A.1.70	CH ₃	CH ₂ CH ₃	H	
A.1.71	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

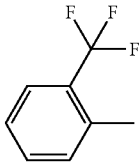
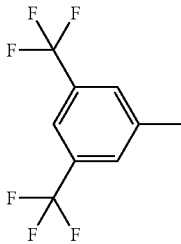
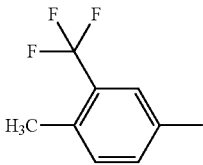
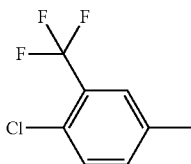
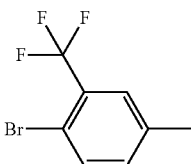
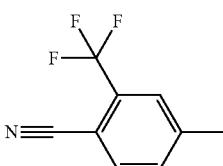
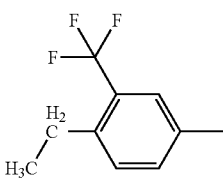
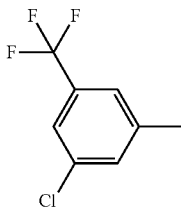
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :					
Line	R ₁	R ₂	R ₆	R ₅	
A.1.72	CH ₃	CH ₂ CH ₃	H		
A.1.73	CH ₃	CH ₂ CH ₃	H		
A.1.74	CH ₃	CH ₂ CH ₃	H		
A.1.75	CH ₃	CH ₂ CH ₃	H		
A.1.76	CH ₃	CH ₂ CH ₃	H		
A.1.77	CH ₃	CH ₂ CH ₃	H		
A.1.78	CH ₃	CH ₂ CH ₃	H		
A.1.79	CH ₃	CH ₂ CH ₃	H		

TABLE A-continued

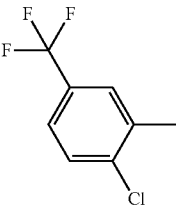
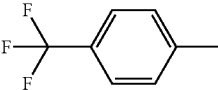
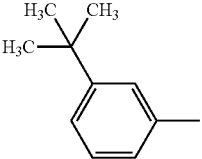
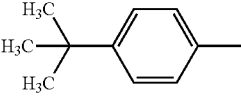
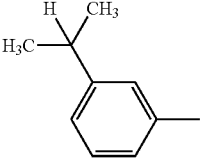
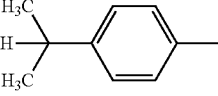
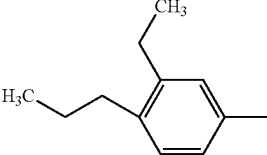
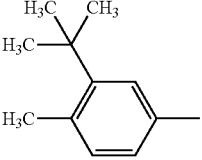
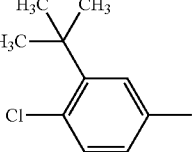
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.80	CH ₃	CH ₂ CH ₃	H	
A.1.81	CH ₃	CH ₂ CH ₃	H	
A.1.82	CH ₃	CH ₂ CH ₃	H	
A.1.83	CH ₃	CH ₂ CH ₃	H	
A.1.84	CH ₃	CH ₂ CH ₃	H	
A.1.85	CH ₃	CH ₂ CH ₃	H	
A.1.86	CH ₃	CH ₂ CH ₃	H	
A.1.87	CH ₃	CH ₂ CH ₃	H	
A.1.88	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

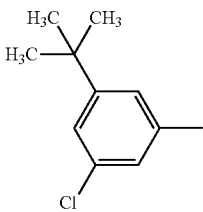
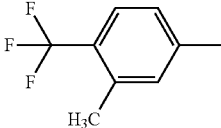
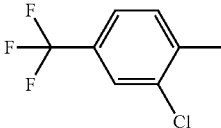
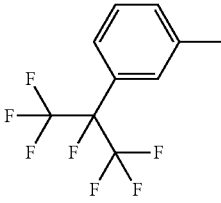
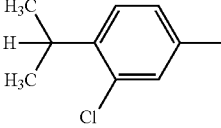
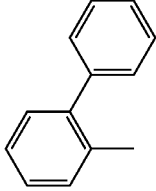
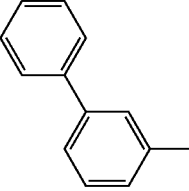
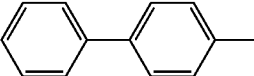
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.89	CH ₃	CH ₂ CH ₃	H	
A.1.90	CH ₃	CH ₂ CH ₃	H	
A.1.91	CH ₃	CH ₂ CH ₃	H	
A.1.92	CH ₃	CH ₂ CH ₃	H	
A.1.93	CH ₃	CH ₂ CH ₃	H	
A.1.94	CH ₃	CH ₂ CH ₃	H	
A.1.95	CH ₃	CH ₂ CH ₃	H	
A.1.96	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

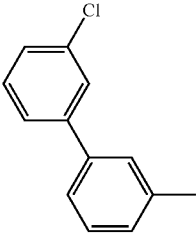
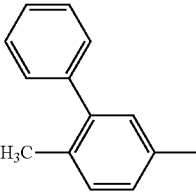
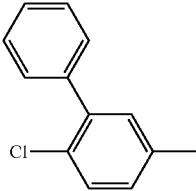
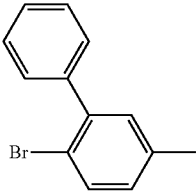
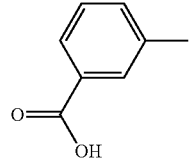
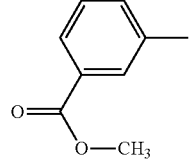
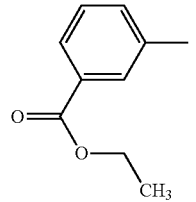
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.97	CH ₃	CH ₂ CH ₃	H	
A.1.98	CH ₃	CH ₂ CH ₃	H	
A.1.99	CH ₃	CH ₂ CH ₃	H	
A.1.100	CH ₃	CH ₂ CH ₃	H	
A.1.101	CH ₃	CH ₂ CH ₃	H	
A.1.102	CH ₃	CH ₂ CH ₃	H	
A.1.103	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

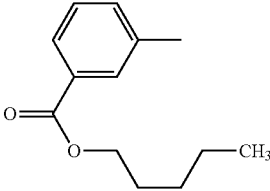
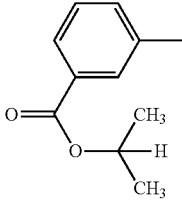
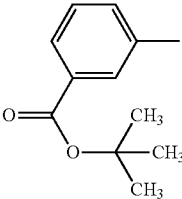
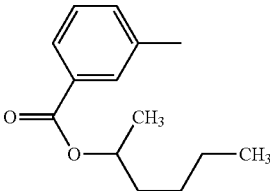
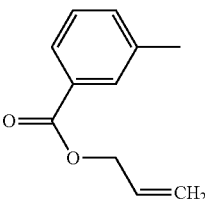
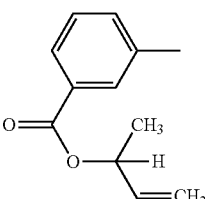
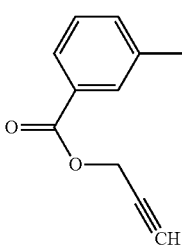
Meanings for R ₁ , R ₂ , R ₃ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.104	CH ₃	CH ₂ CH ₃	H	
A.1.105	CH ₃	CH ₂ CH ₃	H	
A.1.106	CH ₃	CH ₂ CH ₃	H	
A.1.107	CH ₃	CH ₂ CH ₃	H	
A.1.108	CH ₃	CH ₂ CH ₃	H	
A.1.109	CH ₃	CH ₂ CH ₃	H	
A.1.110	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

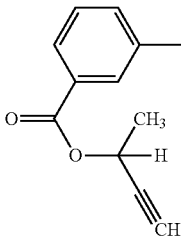
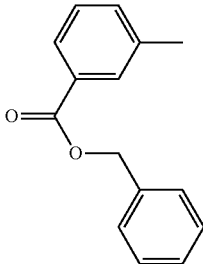
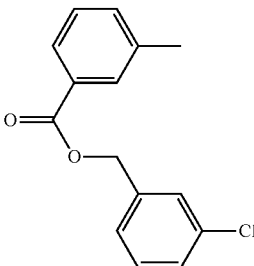
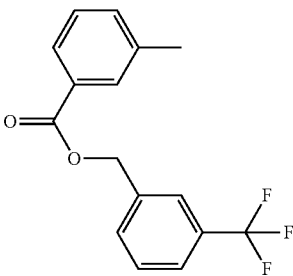
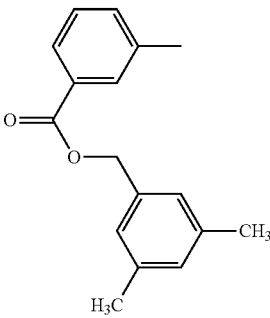
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.111	CH ₃	CH ₂ CH ₃	H	
A.1.112	CH ₃	CH ₂ CH ₃	H	
A.1.113	CH ₃	CH ₂ CH ₃	H	
A.1.114	CH ₃	CH ₂ CH ₃	H	
A.1.115	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

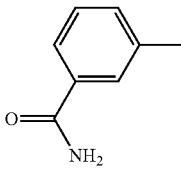
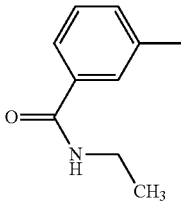
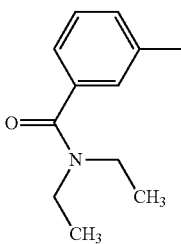
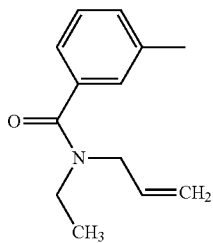
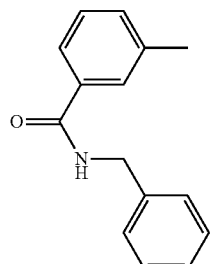
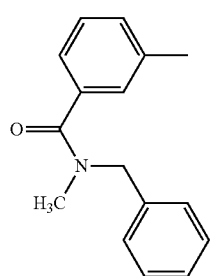
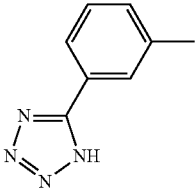
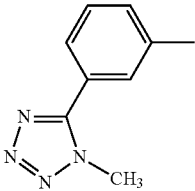
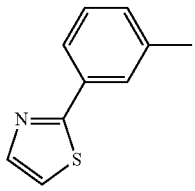
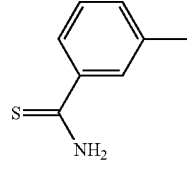
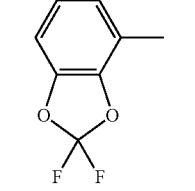
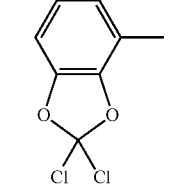
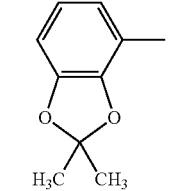
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.116	CH ₃	CH ₂ CH ₃	H	
A.1.117	CH ₃	CH ₂ CH ₃	H	
A.1.118	CH ₃	CH ₂ CH ₃	H	
A.1.119	CH ₃	CH ₂ CH ₃	H	
A.1.120	CH ₃	CH ₂ CH ₃	H	
A.1.121	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.122	CH ₃	CH ₂ CH ₃	H	
A.1.123	CH ₃	CH ₂ CH ₃	H	
A.1.124	CH ₃	CH ₂ CH ₃	H	
A.1.125	CH ₃	CH ₂ CH ₃	H	
A.1.126	CH ₃	CH ₂ CH ₃	H	
A.1.127	CH ₃	CH ₂ CH ₃	H	
A.1.128	CH ₃	CH ₂ CH ₃	H	

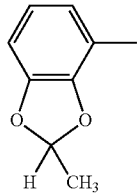
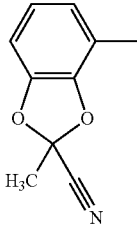
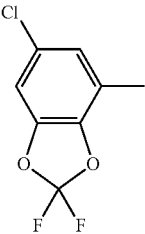
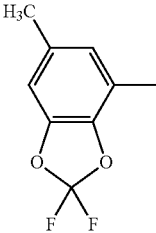
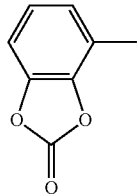
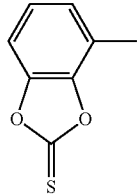
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.129	CH ₃	CH ₂ CH ₃	H	
A.1.130	CH ₃	CH ₂ CH ₃	H	
A.1.131	CH ₃	CH ₂ CH ₃	H	
A.1.132	CH ₃	CH ₂ CH ₃	H	
A.1.133	CH ₃	CH ₂ CH ₃	H	
A.1.134	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

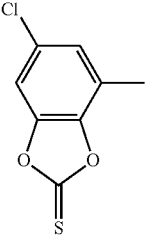
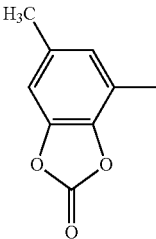
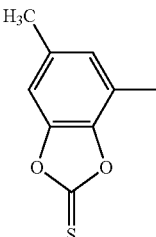
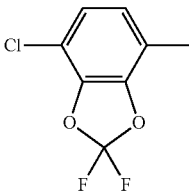
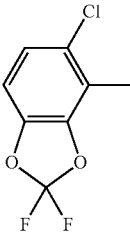
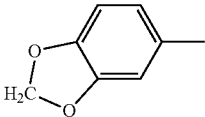
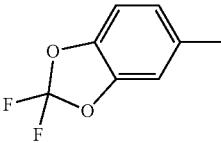
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.135	CH ₃	CH ₂ CH ₃	H	
A.1.136	CH ₃	CH ₂ CH ₃	H	
A.1.137	CH ₃	CH ₂ CH ₃	H	
A.1.138	CH ₃	CH ₂ CH ₃	H	
A.1.139	CH ₃	CH ₂ CH ₃	H	
A.1.140	CH ₃	CH ₂ CH ₃	H	
A.1.141	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

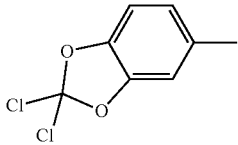
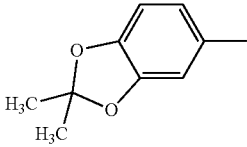
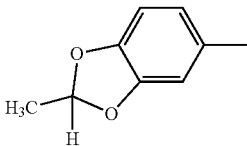
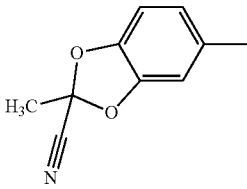
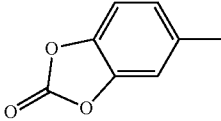
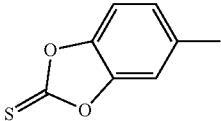
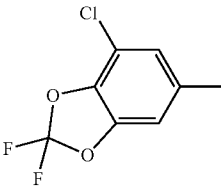
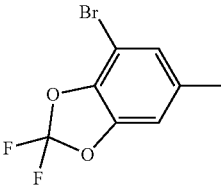
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.142	CH ₃	CH ₂ CH ₃	H	
A.1.143	CH ₃	CH ₂ CH ₃	H	
A.1.144	CH ₃	CH ₂ CH ₃	H	
A.1.145	CH ₃	CH ₂ CH ₃	H	
A.1.146	CH ₃	CH ₂ CH ₃	H	
A.1.147	CH ₃	CH ₂ CH ₃	H	
A.1.148	CH ₃	CH ₂ CH ₃	H	
A.1.149	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

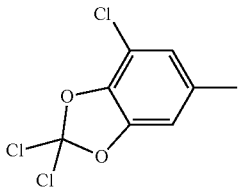
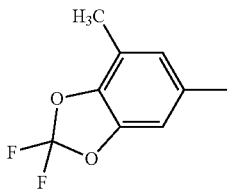
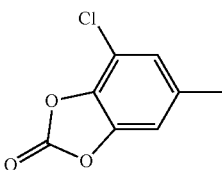
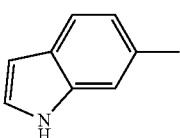
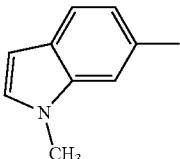
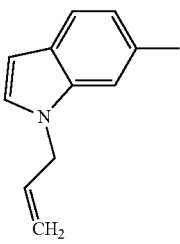
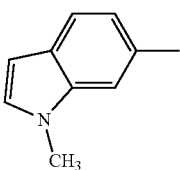
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.150	CH ₃	CH ₂ CH ₃	H	
A.1.151	CH ₃	CH ₂ CH ₃	H	
A.1.152	CH ₃	CH ₂ CH ₃	H	
A.1.153	CH ₃	CH ₂ CH ₃	H	
A.1.154	CH ₃	CH ₂ CH ₃	H	
A.1.155	CH ₃	CH ₂ CH ₃	H	
A.1.156	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

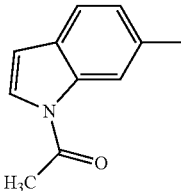
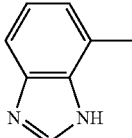
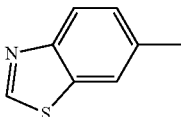
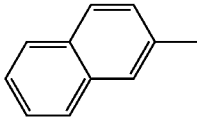
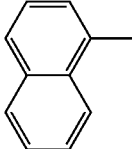
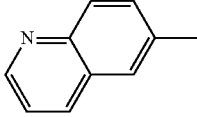
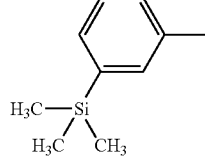
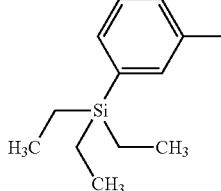
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.157	CH ₃	CH ₂ CH ₃	H	
A.1.158	CH ₃	CH ₂ CH ₃	H	
A.1.159	CH ₃	CH ₂ CH ₃	H	
A.1.60	CH ₃	CH ₂ CH ₃	H	
A.1.161	CH ₃	CH ₂ CH ₃	H	
A.1.162	CH ₃	CH ₂ CH ₃	H	
A.1.163	CH ₃	CH ₂ CH ₃	H	
A.1.164	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

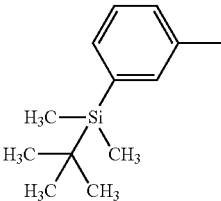
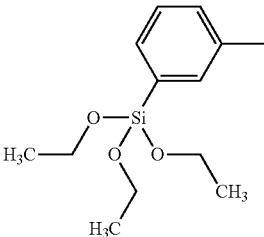
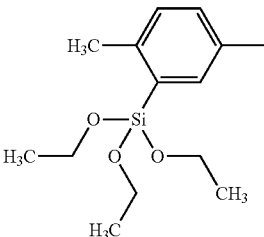
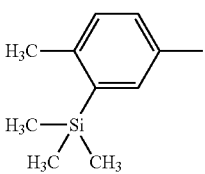
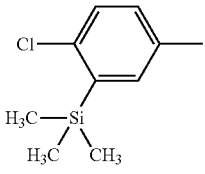
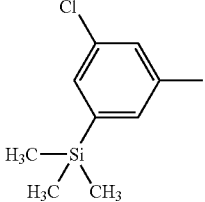
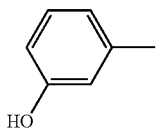
Meanings for R ₁ , R ₂ , R ₃ and R ₆ :				
Line	R ₁	R ₂	R ₃	R ₅
A.1.165	CH ₃	CH ₂ CH ₃	H	
A.1.166	CH ₃	CH ₂ CH ₃	H	
A.1.167	CH ₃	CH ₂ CH ₃	H	
A.1.168	CH ₃	CH ₂ CH ₃	H	
A.1.169	CH ₃	CH ₂ CH ₃	H	
A.1.170	CH ₃	CH ₂ CH ₃	H	
A.1.171	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

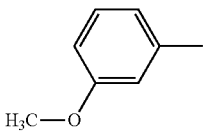
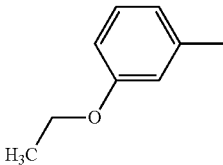
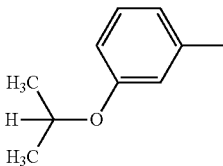
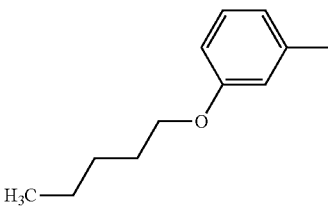
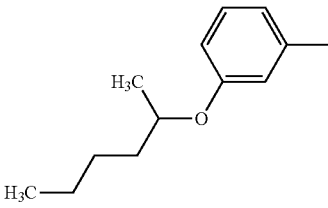
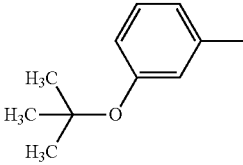
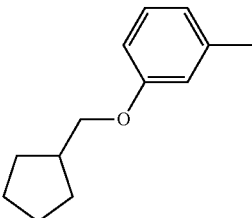
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.172	CH ₃	CH ₂ CH ₃	H	
A.1.173	CH ₃	CH ₂ CH ₃	H	
A.1.174	CH ₃	CH ₂ CH ₃	H	
A.1.175	CH ₃	CH ₂ CH ₃	H	
A.1.176	CH ₃	CH ₂ CH ₃	H	
A.1.177	CH ₃	CH ₂ CH ₃	H	
A.1.178	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

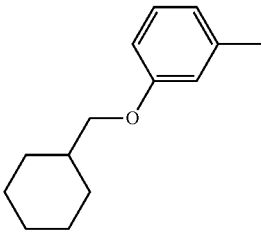
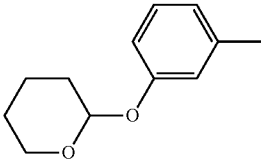
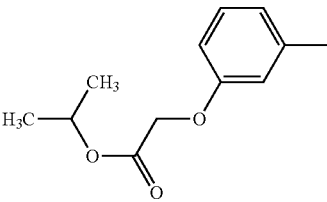
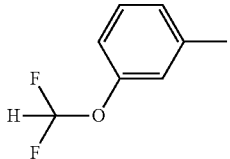
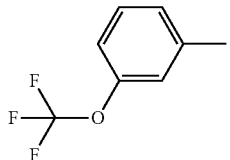
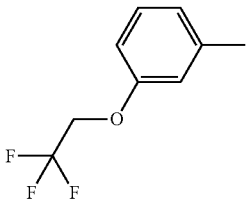
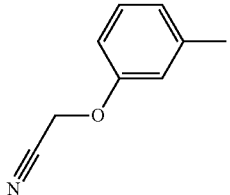
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.79	CH ₃	CH ₂ CH ₃	H	
A.1.180	CH ₃	CH ₂ CH ₃	H	
A.1.181	CH ₃	CH ₂ CH ₃	H	
A.1.182	CH ₃	CH ₂ CH ₃	H	
A.1.183	CH ₃	CH ₂ CH ₃	H	
A.1.184	CH ₃	CH ₂ CH ₃	H	
A.1.185	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

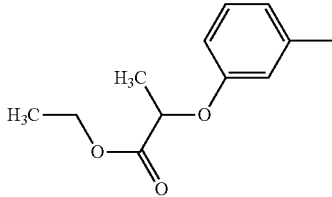
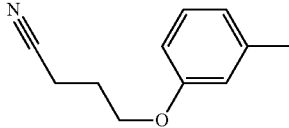
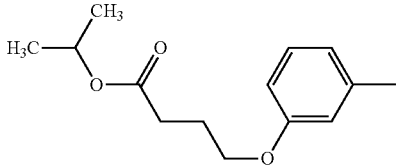
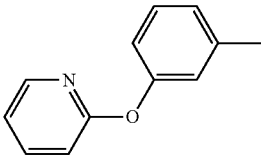
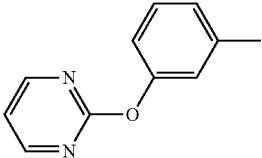
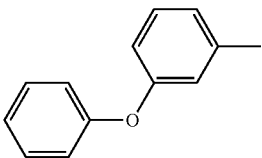
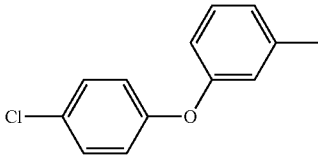
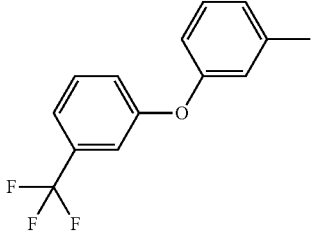
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.186	CH ₃	CH ₂ CH ₃	H	
A.1.187	CH ₃	CH ₂ CH ₃	H	
A.1.188	CH ₃	CH ₂ CH ₃	H	
A.1.189	CH ₃	CH ₂ CH ₃	H	
A.1.190	CH ₃	CH ₂ CH ₃	H	
A.1.191	CH ₃	CH ₂ CH ₃	H	
A.1.192	CH ₃	CH ₂ CH ₃	H	
A.1.193	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

Meanings for R ₁ , R ₂ , R ₃ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅

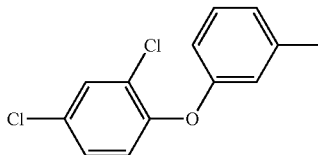
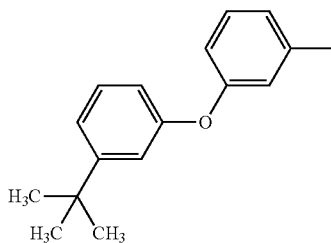
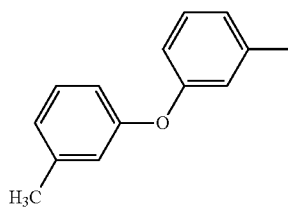
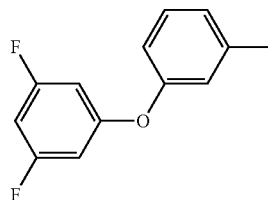
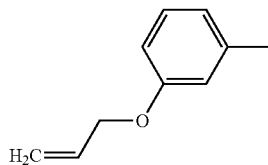
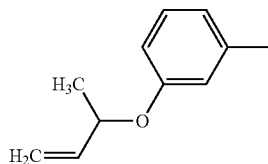
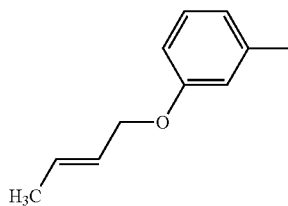
A.1.194 CH₃ CH₂CH₃ HA.1.195 CH₃ CH₂CH₃ HA.1.196 CH₃ CH₂CH₃ HA.1.197 CH₃ CH₂CH₃ HA.1.198 CH₃ CH₂CH₃ HA.1.199 CH₃ CH₂CH₃ HA.1.200 CH₃ CH₂CH₃ H

TABLE A-continued

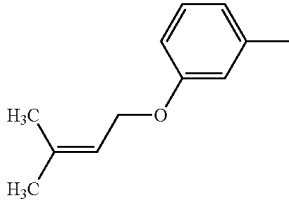
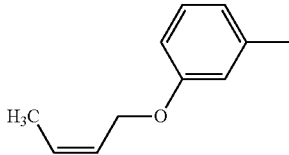
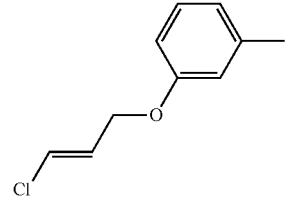
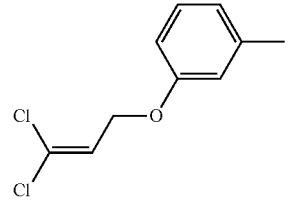
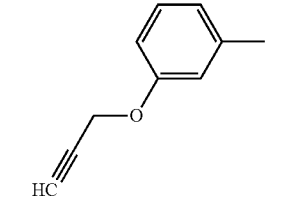
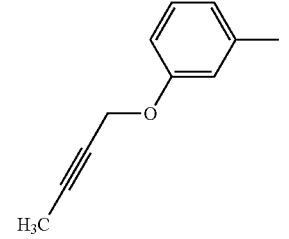
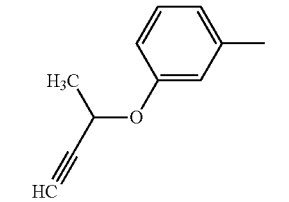
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.201	CH ₃	CH ₂ CH ₃	H	
A.1.202	CH ₃	CH ₂ CH ₃	H	
A.1.203	CH ₃	CH ₂ CH ₃	H	
A.1.204	CH ₃	CH ₂ CH ₃	H	
A.1.205	CH ₃	CH ₂ CH ₃	H	
A.1.206	CH ₃	CH ₂ HC ₃	H	
A.1.207	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

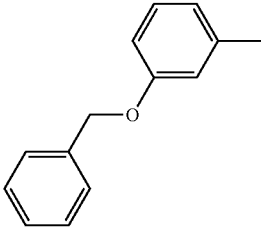
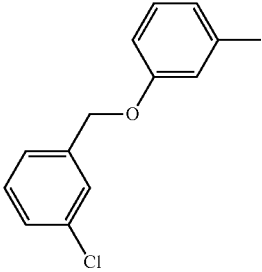
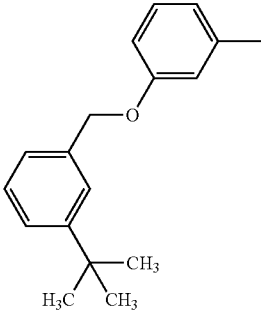
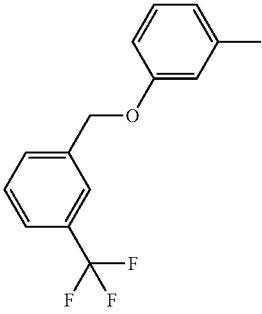
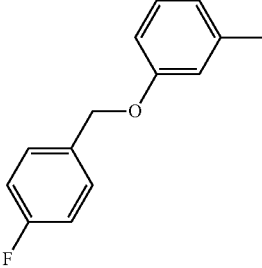
Meanings for R ₁ , R ₂ , R ₃ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.208	CH ₃	CH ₂ CH ₃	H	
A.1.209	CH ₃	CH ₂ CH ₃	H	
A.1.210	CH ₃	CH ₂ CH ₃	H	
A.1.211	CH ₃	CH ₂ CH ₃	H	
A.1.212	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

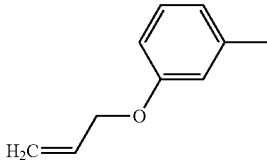
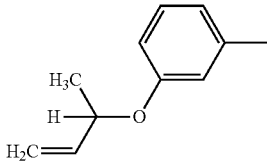
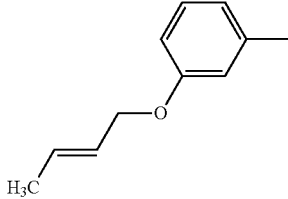
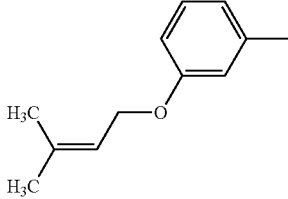
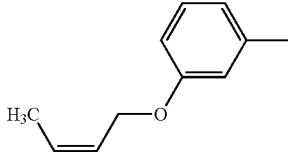
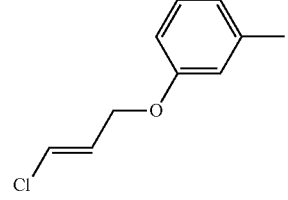
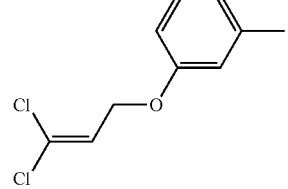
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.213	CH ₃	CH ₂ CH ₃	H	
A.1.214	CH ₃	CH ₂ CH ₃	H	
A.1.215	CH ₃	CH ₂ CH ₃	H	
A.1.216	CH ₃	CH ₂ CH ₃	H	
A.1.217	CH ₃	CH ₂ CH ₃	H	
A.1.218	CH ₃	CH ₂ CH ₃	H	
A.1.219	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

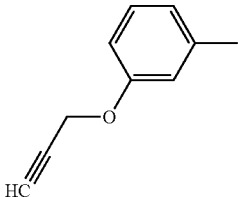
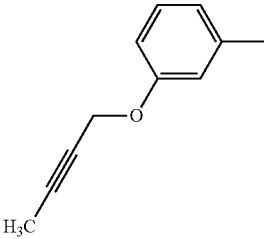
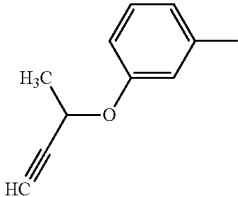
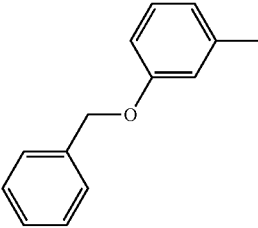
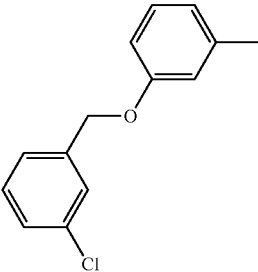
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.220	CH ₃	CH ₂ CH ₃	H	
A.1.221	CH ₃	CH ₂ CH ₃	H	
A.1.222	CH ₃	CH ₂ CH ₃	H	
A.1.223	CH ₃	CH ₂ CH ₃	H	
A.1.224	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

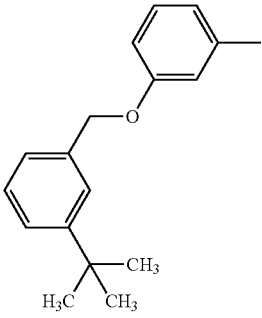
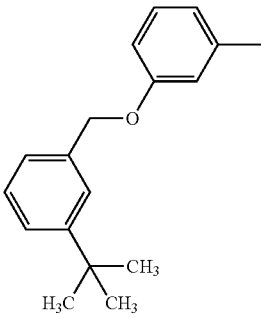
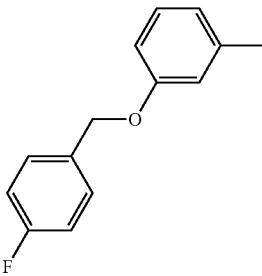
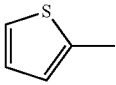
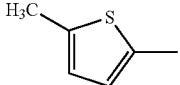
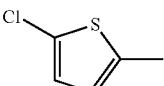
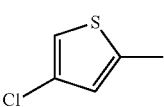
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.225	CH ₃	CH ₂ CH ₃	H	
A.1.226	CH ₃	CH ₂ CH ₃	H	
A.1.227	CH ₃	CH ₂ CH ₃	H	
A.1.228	CH ₃	CH ₂ CH ₃	H	
A.1.229	CH ₃	CH ₂ CH ₃	H	
A.1.230	CH ₃	CH ₂ CH ₃	H	
A.1.231	CH ₃	CH ₂ CH ₃	H	
A.1.232	CH ₃	CH ₂ CH ₃	H	H—

TABLE A-continued

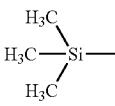
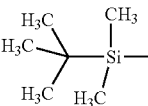
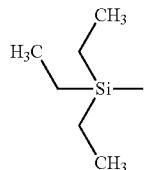
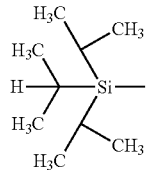
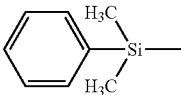
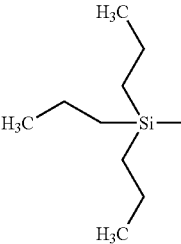
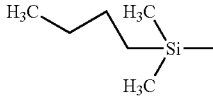
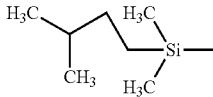
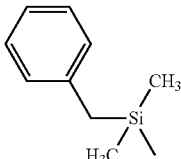
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.233	CH ₃	CH ₂ CH ₃	H	
A.1.234	CH ₃	CH ₂ CH ₃	H	
A.1.235	CH ₃	CH ₂ CH ₃	H	
A.1.236	CH ₃	CH ₂ CH ₃	H	
A.1.237	CH ₃	CH ₂ CH ₃	H	
A.1.238	CH ₃	CH ₂ CH ₃	H	
A.1.239	CH ₃	CH ₂ CH ₃	H	
A.1.240	CH ₃	CH ₂ CH ₃	H	
A.1.241	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

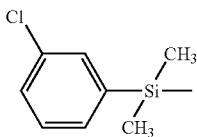
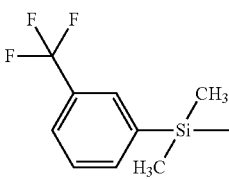
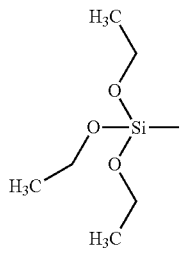

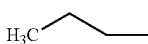
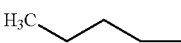
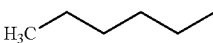
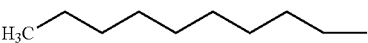
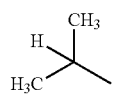
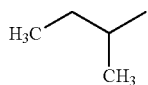
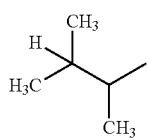
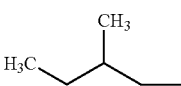
Meanings for R ₁ , R ₂ , R ₃ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.242	CH ₃	CH ₂ CH ₃	H	
A.1.243	CH ₃	CH ₂ CH ₃	H	
A.1.244	CH ₃	CH ₂ CH ₃	H	
A.1.245	CH ₃	CH ₂ CH ₃	H	H ₃ C—
A.1.246	CH ₃	CH ₂ CH ₃	H	
A.1.247	CH ₃	CH ₂ CH ₃	H	
A.1.248	CH ₃	CH ₂ CH ₃	H	
A.1.249	CH ₃	CH ₂ CH ₃	H	
A.1.250	CH ₃	CH ₂ CH ₃	H	
A.1.251	CH ₃	CH ₂ CH ₃	H	
A.1.252	CH ₃	CH ₂ CH ₃	H	
A.1.253	CH ₃	CH ₂ CH ₃	H	
A.1.254	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

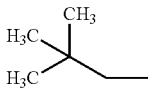
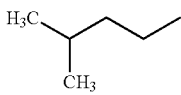
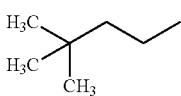
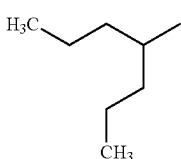
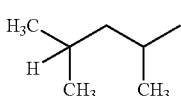
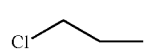
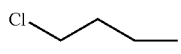

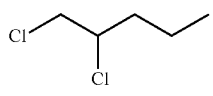
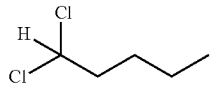
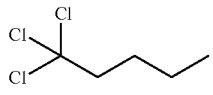
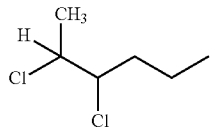
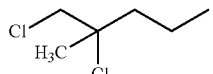
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.255	CH ₃	CH ₂ CH ₃	H	
A.1.256	CH ₃	CH ₂ CH ₃	H	
A.1.257	CH ₃	CH ₂ CH ₃	H	
A.1.258	CH ₃	CH ₂ CH ₃	H	
A.1.259	CH ₃	CH ₂ CH ₃	H	
A.1.260	CH ₃	CH ₂ CH ₃	H	
A.1.261	CH ₃	CH ₂ CH ₃	H	
A.1.262	CH ₃	CH ₂ CH ₃	H	
A.1.263	CH ₃	CH ₂ CH ₃	H	
A.1.264	CH ₃	CH ₂ CH ₃	H	
A.1.265	CH ₃	CH ₂ CH ₃	H	
A.1.266	CH ₃	CH ₂ CH ₃	H	
A.1.267	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

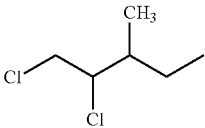
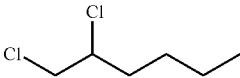
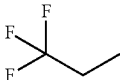
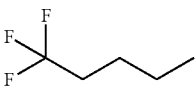
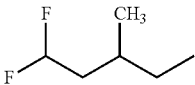

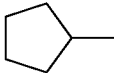
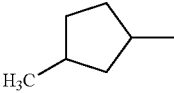
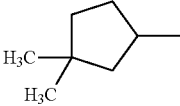
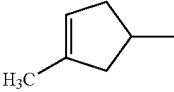
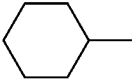
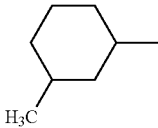
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.268	CH ₃	CH ₂ CH ₃	H	
A.1.269	CH ₃	CH ₂ CH ₃	H	
A.1.270	CH ₃	CH ₂ CH ₃	H	
A.1.271	CH ₃	CH ₂ CH ₃	H	
A.1.272	CH ₃	CH ₂ CH ₃	H	
A.1.273	CH ₃	CH ₂ CH ₃	H	
A.1.274	CH ₃	CH ₂ CH ₃	H	
A.1.275	CH ₃	CH ₂ CH ₃	H	
A.1.276	CH ₃	CH ₂ CH ₃	H	
A.1.277	CH ₃	CH ₂ CH ₃	H	
A.1.278	CH ₃	CH ₂ CH ₃	H	
A.1.279	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

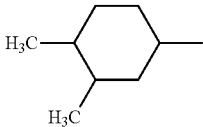
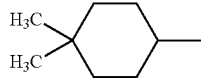
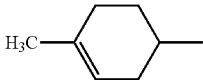
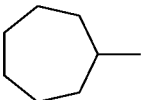
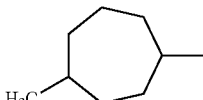
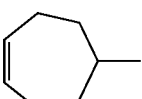
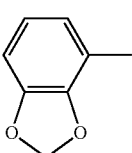
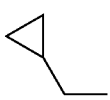
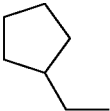
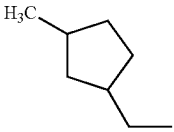
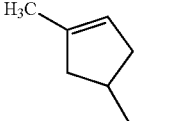
Meanings for R ₁ , R ₂ , R ₃ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.280	CH ₃	CH ₂ CH ₃	H	
A.1.281	CH ₃	CH ₂ CH ₃	H	
A.1.282	CH ₃	CH ₂ CH ₃	H	
A.1.283	CH ₃	CH ₂ CH ₃	H	
A.1.284	CH ₃	CH ₂ CH ₃	H	
A.1.285	CH ₃	CH ₂ CH ₃	H	
A.1.286	CH ₃	CH ₂ CH ₃	H	
A.1.287	CH ₃	CH ₂ CH ₃	H	
A.1.288	CH ₃	CH ₂ CH ₃	H	
A.1.289	CH ₃	CH ₂ CH ₃	H	
A.1.290	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

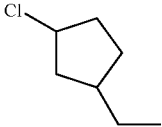
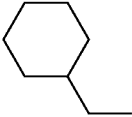
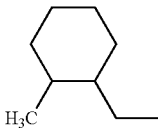
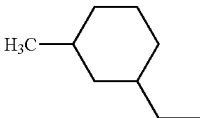
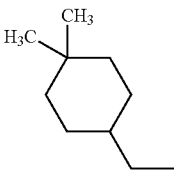
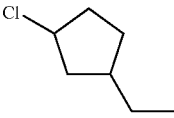
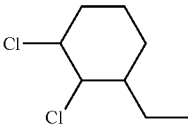
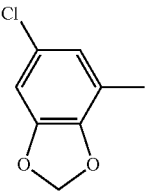
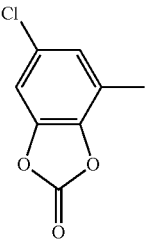
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.291	CH ₃	CH ₂ CH ₃	H	
A.1.292	CH ₃	CH ₂ CH ₃	H	
A.1.293	CH ₃	CH ₂ CH ₃	H	
A.1.294	CH ₃	CH ₂ CH ₃	H	
A.1.295	CH ₃	CH ₂ CH ₃	H	
A.1.296	CH ₃	CH ₂ CH ₃	H	
A.1.297	CH ₃	CH ₂ CH ₃	H	
A.1.298	CH ₃	CH ₂ CH ₃	H	
A.1.299	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

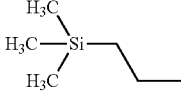
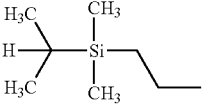
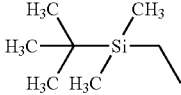
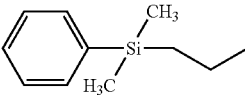
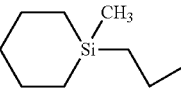
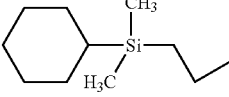
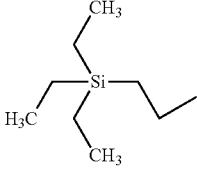
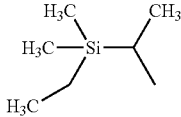
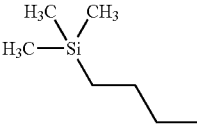
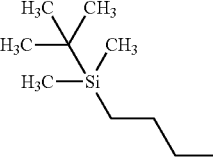
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.300	CH ₃	CH ₂ CH ₃	H	
A.1.301	CH ₃	CH ₂ CH ₃	H	
A.1.302	CH ₃	CH ₂ CH ₃	H	
A.1.303	CH ₃	CH ₂ CH ₃	H	
A.1.304	CH ₃	CH ₂ CH ₃	H	
A.1.305	CH ₃	CH ₂ CH ₃	H	
A.1.306	CH ₃	CH ₂ CH ₃	H	
A.1.307	CH ₃	CH ₂ CH ₃	H	
A.1.308	CH ₃	CH ₂ CH ₃	H	
A.1.309	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

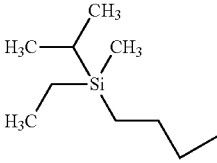
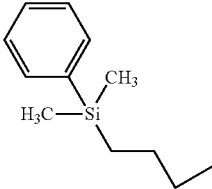
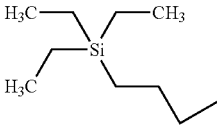
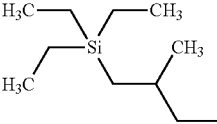
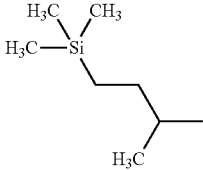
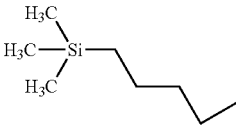
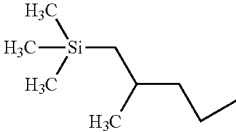
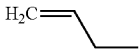
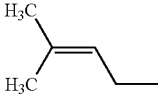
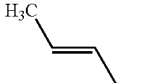
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.310	CH ₃	CH ₂ CH ₃	H	
A.1.311	CH ₃	CH ₂ CH ₃	H	
A.1.312	CH ₃	CH ₂ CH ₃	H	
A.1.313	CH ₃	CH ₂ CH ₃	H	
A.1.314	CH ₃	CH ₂ CH ₃	H	
A.1.315	CH ₃	CH ₂ CH ₃	H	
A.1.316	CH ₃	CH ₂ CH ₃	H	
A.1.317	CH ₃	CH ₂ CH ₃	H	
A.1.318	CH ₃	CH ₂ CH ₃	H	
A.1.319	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

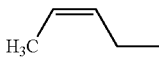
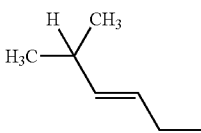
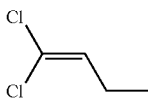
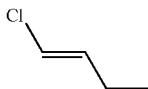
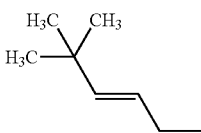
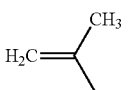
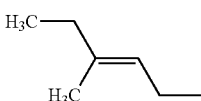
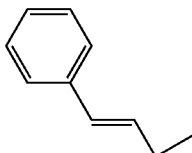
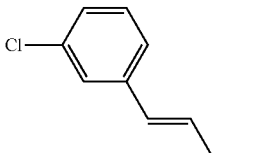
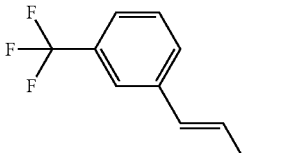
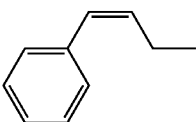
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.320	CH ₃	CH ₂ CH ₃	H	
A.1.321	CH ₃	CH ₂ CH ₃	H	
A.1.322	CH ₃	CH ₂ CH ₃	H	
A.1.323	CH ₃	CH ₂ CH ₃	H	
A.1.324	CH ₃	CH ₂ CH ₃	H	
A.1.325	CH ₃	CH ₂ CH ₃	H	
A.1.326	CH ₃	CH ₂ CH ₃	H	
A.1.327	CH ₃	CH ₂ CH ₃	H	
A.1.328	CH ₃	CH ₂ CH ₃	H	
A.1.329	CH ₃	CH ₂ CH ₃	H	
A.1.330	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

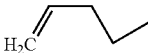
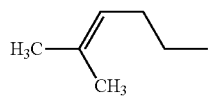
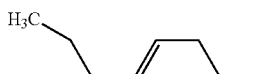
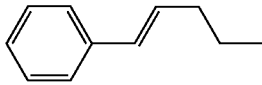
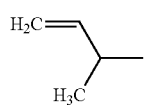
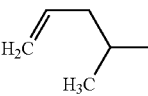
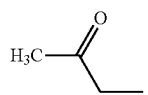
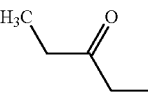
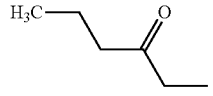
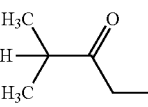
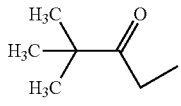
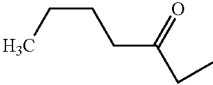
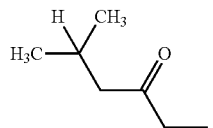
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.331	CH ₃	CH ₂ CH ₃	H	
A.1.332	CH ₃	CH ₂ CH ₃	H	
A.1.333	CH ₃	CH ₂ CH ₃	H	
A.1.334	CH ₃	CH ₂ CH ₃	H	
A.1.335	CH ₃	CH ₂ CH ₃	H	
A.1.336	CH ₃	CH ₂ CH ₃	H	
A.1.337	CH ₃	CH ₂ CH ₃	H	
A.1.338	CH ₃	CH ₂ CH ₃	H	
A.1.339	CH ₃	CH ₂ CH ₃	H	
A.1.340	CH ₃	CH ₂ CH ₃	H	
A.1.341	CH ₃	CH ₂ CH ₃	H	
A.1.342	CH ₃	CH ₂ CH ₃	H	
A.1.343	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

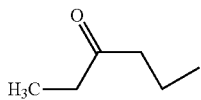
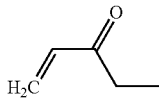
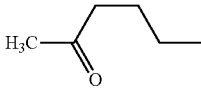
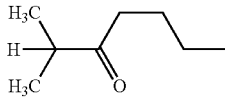
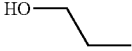
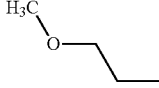
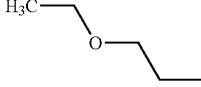
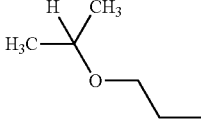
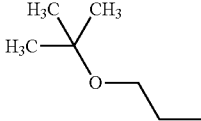
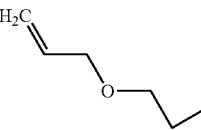
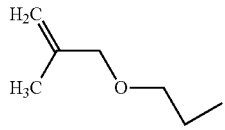
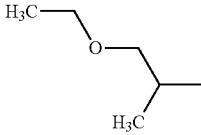
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.344	CH ₃	CH ₂ CH ₃	H	
A.1.345	CH ₃	CH ₂ CH ₃	H	
A.1.346	CH ₃	CH ₂ CH ₃	H	
A.1.347	CH ₃	CH ₂ CH ₃	H	
A.1.348	CH ₃	CH ₂ CH ₃	H	
A.1.349	CH ₃	CH ₂ CH ₃	H	
A.1.350	CH ₃	CH ₂ CH ₃	H	
A.1.351	CH ₃	CH ₂ CH ₃	H	
A.1.352	CH ₃	CH ₂ CH ₃	H	
A.1.353	CH ₃	CH ₂ CH ₃	H	
A.1.354	CH ₃	CH ₂ CH ₃	H	
A.1.355	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

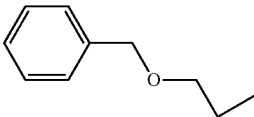
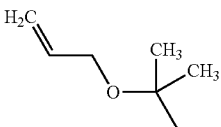
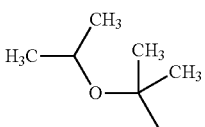
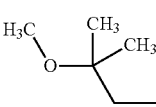
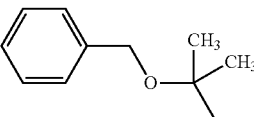
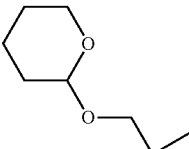
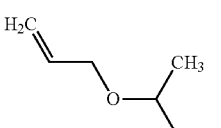
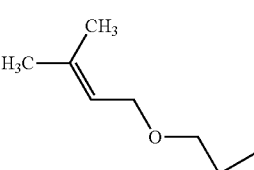
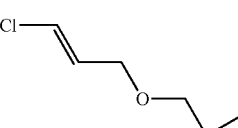
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.356	CH ₃	CH ₂ CH ₃	H	
A.1.357	CH ₃	CH ₂ CH ₃	H	
A.1.358	CH ₃	CH ₂ CH ₃	H	
A.1.359	CH ₃	CH ₂ CH ₃	H	
A.1.360	CH ₃	CH ₂ CH ₃	H	
A.1.361	CH ₃	CH ₂ CH ₃	H	
A.1.362	CH ₃	CH ₂ CH ₃	H	
A.1.363	CH ₃	CH ₂ CH ₃	H	
A.1.364	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

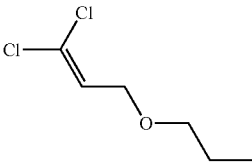
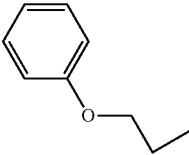
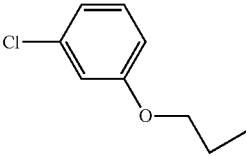
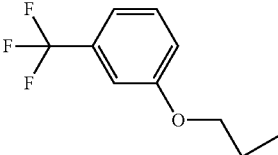
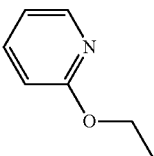
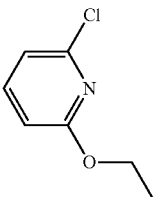
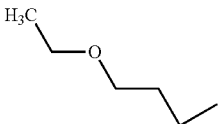
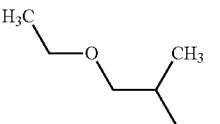
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.365	CH ₃	CH ₂ CH ₃	H	
A.1.366	CH ₃	CH ₂ CH ₃	H	
A.1.367	CH ₃	CH ₂ CH ₃	H	
A.1.368	CH ₃	CH ₂ CH ₃	H	
A.1.369	CH ₃	CH ₂ CH ₃	H	
A.1.370	CH ₃	CH ₂ CH ₃	H	
A.1.371	CH ₃	CH ₂ CH ₃	H	
A.1.372	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

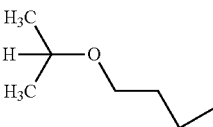
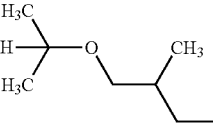
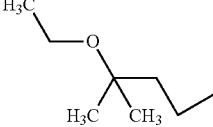
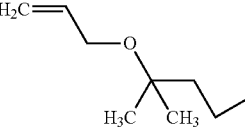
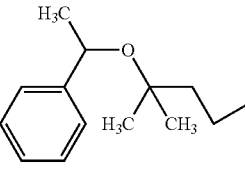

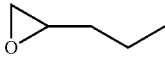
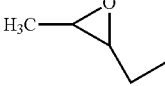
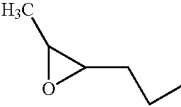
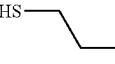
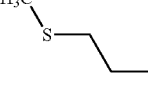
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.373	CH ₃	CH ₂ CH ₃	H	
A.1.374	CH ₃	CH ₂ CH ₃	H	
A.1.375	CH ₃	CH ₂ CH ₃	H	
A.1.376	CH ₃	CH ₂ CH ₃	H	
A.1.377	CH ₃	CH ₂ CH ₃	H	
A.1.378	CH ₃	CH ₂ CH ₃	H	
A.1.379	CH ₃	CH ₂ CH ₃	H	
A.1.380	CH ₃	CH ₂ CH ₃	H	
A.1.381	CH ₃	CH ₂ CH ₃	H	
A.1.382	CH ₃	CH ₂ CH ₃	H	
A.1.383	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

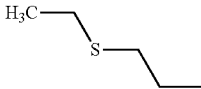
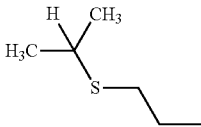
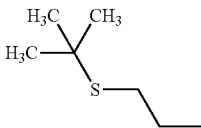
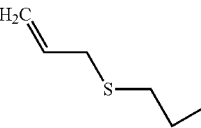
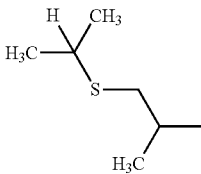
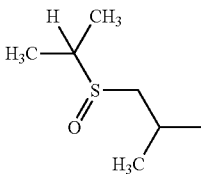
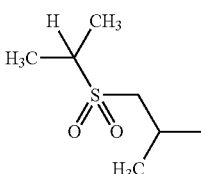
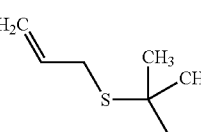
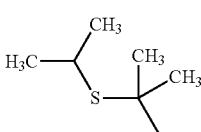
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.384	CH ₃	CH ₂ CH ₃	H	
A.1.385	CH ₃	CH ₂ CH ₃	H	
A.1.386	CH ₃	CH ₂ CH ₃	H	
A.1.387	CH ₃	CH ₂ CH ₃	H	
A.1.388	CH ₃	CH ₂ CH ₃	H	
A.1.389	CH ₃	CH ₂ CH ₃	H	
A.1.390	CH ₃	CH ₂ CH ₃	H	
A.1.391	CH ₃	CH ₂ CH ₃	H	
A.1.392	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

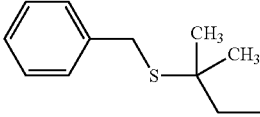
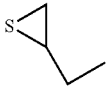
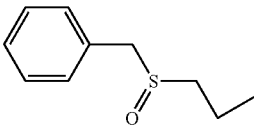
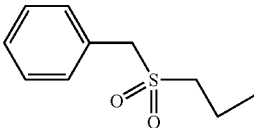
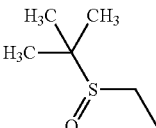
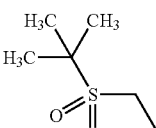
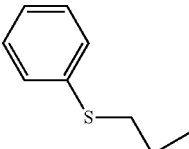
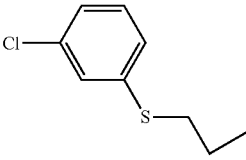
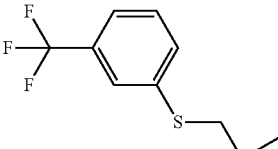
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.393	CH ₃	CH ₂ CH ₃	H	
A.1.394	CH ₃	CH ₂ CH ₃	H	
A.1.395	CH ₃	CH ₂ CH ₃	H	
A.1.396	CH ₃	CH ₂ CH ₃	H	
A.1.397	CH ₃	CH ₂ CH ₃	H	
A.1.398	CH ₃	CH ₂ CH ₃	H	
A.1.399	CH ₃	CH ₂ CH ₃	H	
A.1.400	CH ₃	CH ₂ CH ₃	H	
A.1.401	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

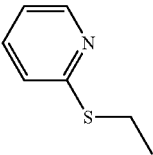
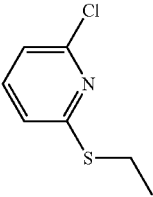
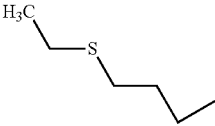
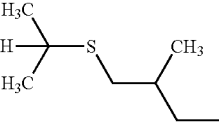
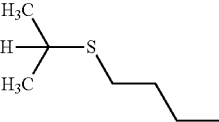
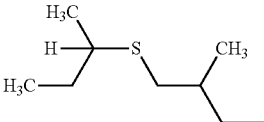
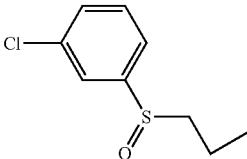
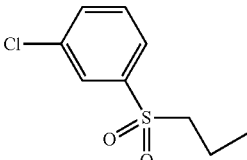
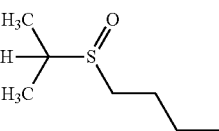
Meanings for R ₁ , R ₂ , R ₃ and R ₆ :				
Line	R ₁	R ₂	R ₃	R ₅
A.1.402	CH ₃	CH ₂ CH ₃	H	
A.1.403	CH ₃	CH ₂ CH ₃	H	
A.1.404	CH ₃	CH ₂ CH ₃	H	
A.1.405	CH ₃	CH ₂ CH ₃	H	
A.1.406	CH ₃	CH ₂ CH ₃	H	
A.1.407	CH ₃	CH ₂ CH ₃	H	
A.1.408	CH ₃	CH ₂ CH ₃	H	
A.1.409	CH ₃	CH ₂ CH ₃	H	
A.1.410	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

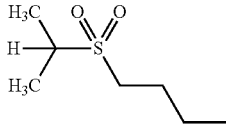
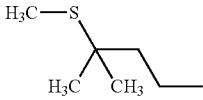
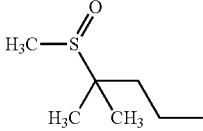
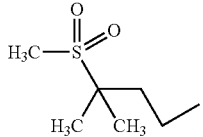
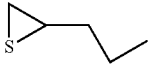
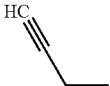
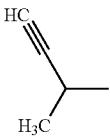
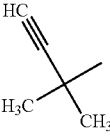
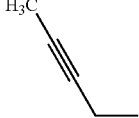
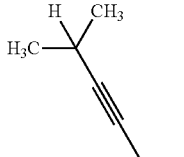
Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.411	CH ₃	CH ₂ CH ₃	H	
A.1.412	CH ₃	CH ₂ CH ₃	H	
A.1.413	CH ₃	CH ₂ CH ₃	H	
A.1.414	CH ₃	CH ₂ CH ₃	H	
A.1.415	CH ₃	CH ₂ CH ₃	H	
A.1.416	CH ₃	CH ₂ CH ₃	H	
A.1.417	CH ₃	CH ₂ CH ₃	H	
A.1.418	CH ₃	CH ₂ CH ₃	H	
A.1.419	CH ₃	CH ₂ CH ₃	H	
A.1.420	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

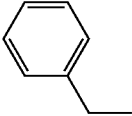
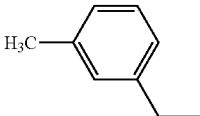
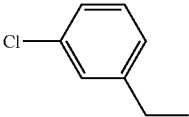
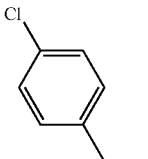
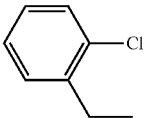
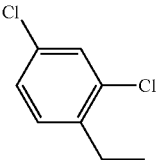
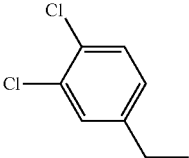
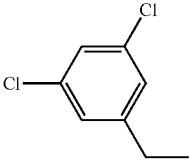
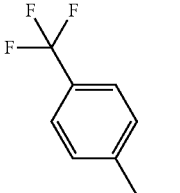
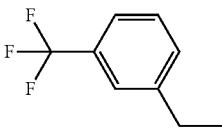
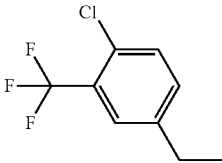
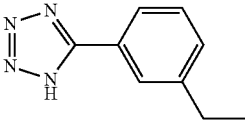
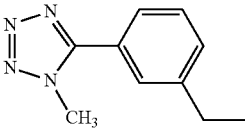
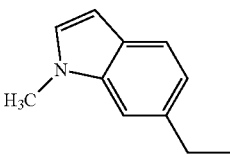
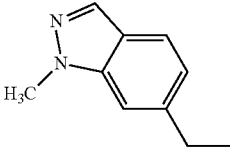
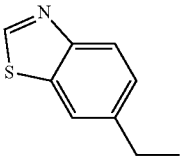
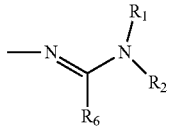
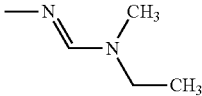
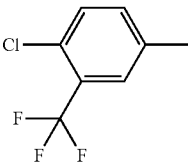
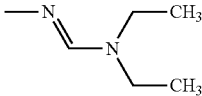
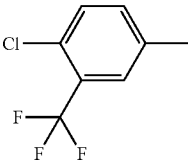
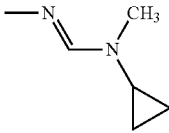
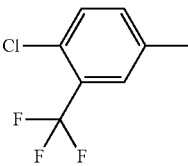
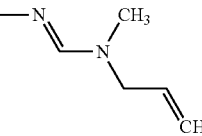
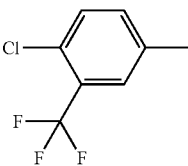
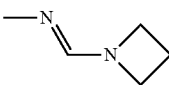
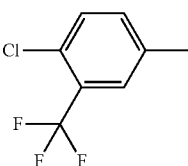
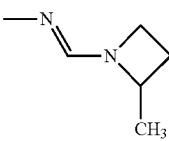
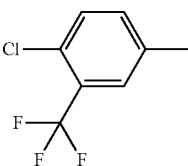
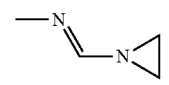
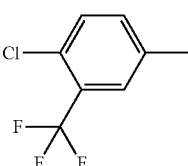
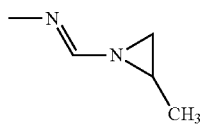
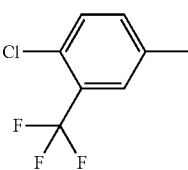
Meanings for R ₁ , R ₂ , R ₄ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.421	CH ₃	CH ₂ CH ₃	H	
A.1.422	CH ₃	CH ₂ CH ₃	H	
A.1.423	CH ₃	CH ₂ CH ₃	H	
A.1.424	CH ₃	CH ₂ CH ₃	H	
A.1.425	CH ₃	CH ₂ CH ₃	H	
A.1.426	CH ₃	CH ₂ CH ₃	H	
A.1.427	CH ₃	CH ₂ CH ₃	H	
A.1.428	CH ₃	CH ₂ CH ₃	H	
A.1.429	CH ₃	CH ₂ CH ₃	H	

TABLE A-continued

Meanings for R ₁ , R ₂ , R ₅ and R ₆ :				
Line	R ₁	R ₂	R ₆	R ₅
A.1.430	CH ₃	CH ₂ CH ₃	H	
A.1.431	CH ₃	CH ₂ CH ₃	H	
A.1.432	CH ₃	CH ₂ CH ₃	H	
A.1.433	CH ₃	CH ₂ CH ₃	H	
A.1.434	CH ₃	CH ₂ CH ₃	H	
A.1.435	CH ₃	CH ₂ CH ₃	H	
A.1.436	CH ₃	CH ₂ CH ₃	H	

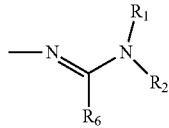
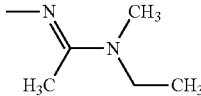
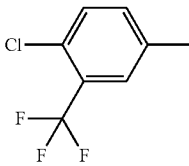
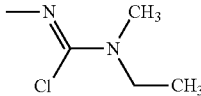
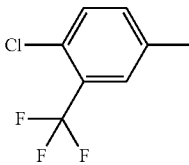
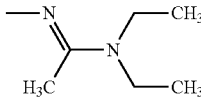
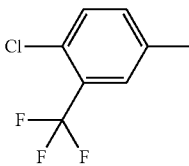
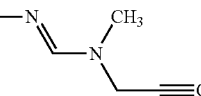
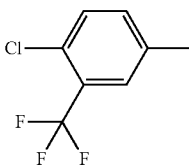
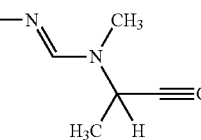
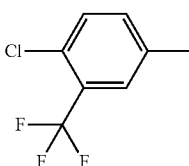
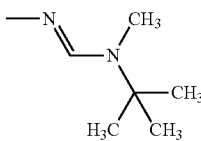
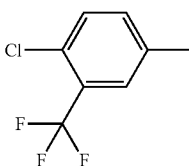
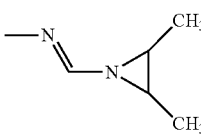
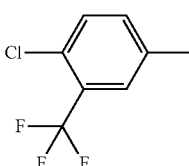
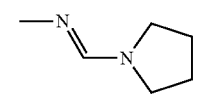
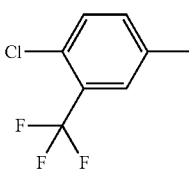
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TABLE A

Meanings for R ₁ , R ₂ , R ₅ and R ₆ (continued):		
Line		R ₅
A.1.437		
A.1.438		
A.1.439		
A.1.440		
A.1.441		
A.1.442		
A.1.443		
A.1.444		

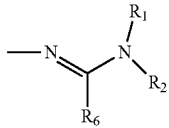
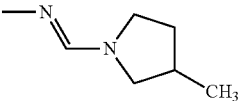
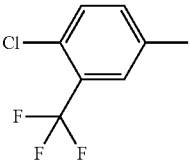
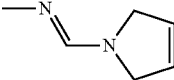
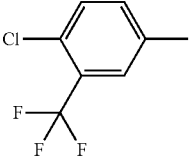
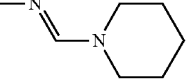
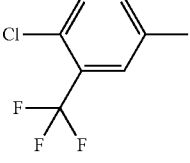
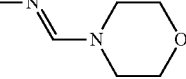
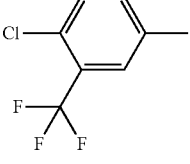
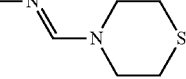
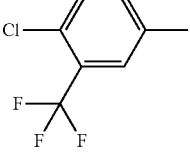
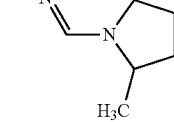
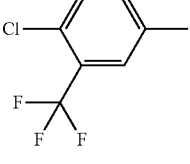
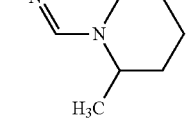
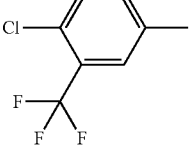
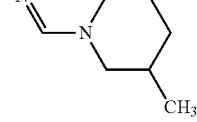
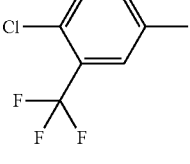
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TABLE A-continued

Meanings for R ₁ , R ₂ , R ₅ and R ₆ (continued):		
Line		R ₅
A.1.445		
A.1.446		
A.1.447		
A.1.448		
A.1.449		
A.1.450		
A.1.451		
A.1.452		

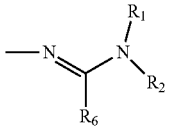
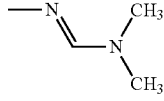
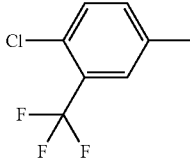
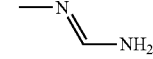
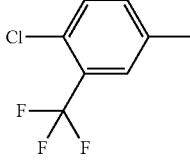
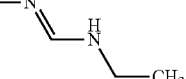
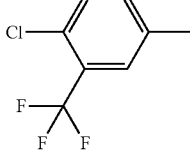
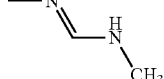
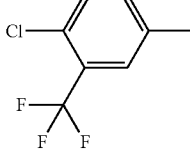
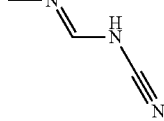
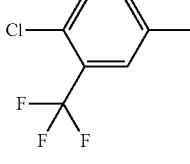
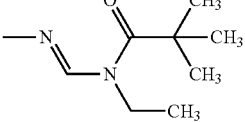
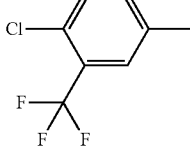
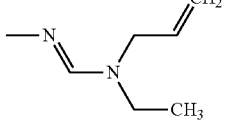
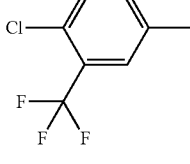
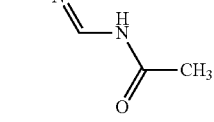
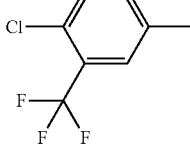
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TABLE A-continued

Meanings for R ₁ , R ₂ , R ₅ and R ₆ (continued):			
Line		R ₅	
A.1.453			
A.1.454			
A.1.455			
A.1.456			
A.1.457			
A.1.458			
A.1.459			
A.1.460			

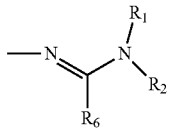
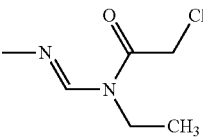
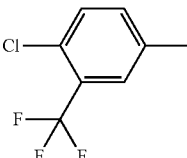
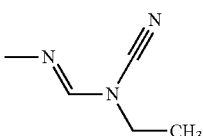
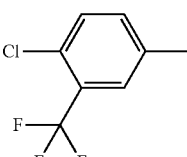
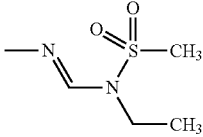
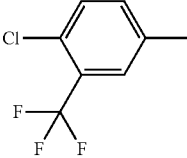
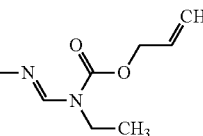
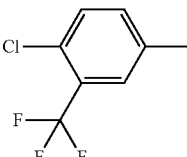
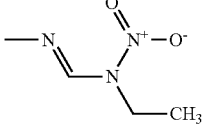
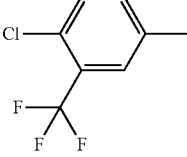
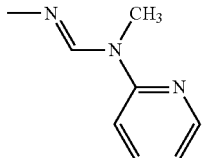
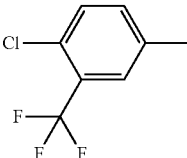
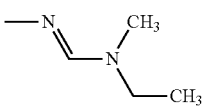
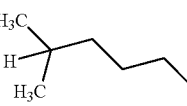
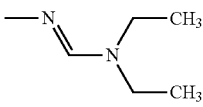
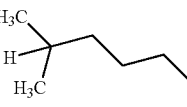
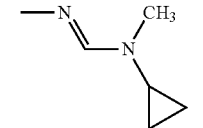
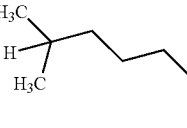
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TABLE A-continued

Meanings for R ₁ , R ₂ , R ₅ and R ₆ (continued):			
Line		R ₅	
A.1.461			
A.1.462			
A.1.463			
A.1.464			
A.1.465			
A.1.466			
A.1.467			
A.1.468			

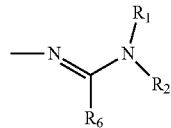
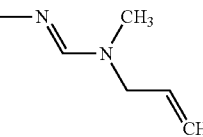
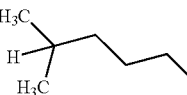
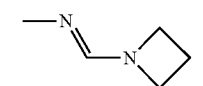
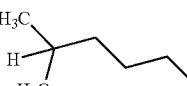
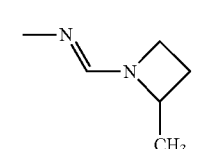
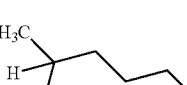
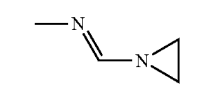
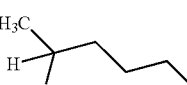
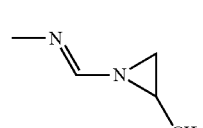
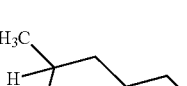
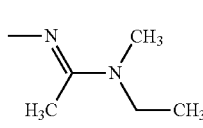
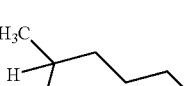
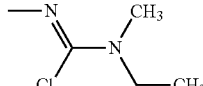
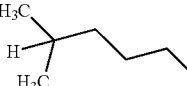
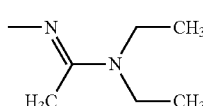
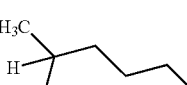
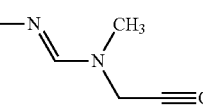
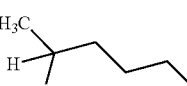
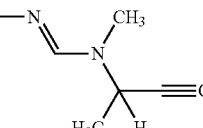
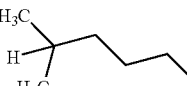
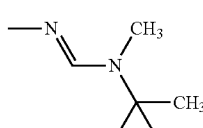
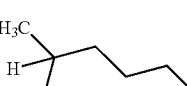
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TABLE A-continued

Meanings for R ₁ , R ₂ , R ₃ and R ₆ (continued):		
Line		R ₅
A.1.469		
A.1.470		
A.1.471		
A.1.472		
A.1.473		
A.1.474		
A.1.475		
A.1.476		
A.1.477		

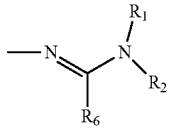
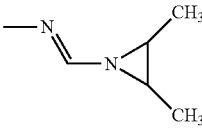
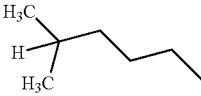
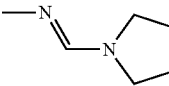
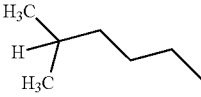
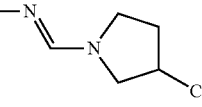
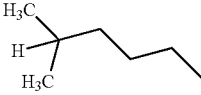
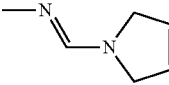
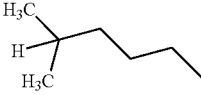
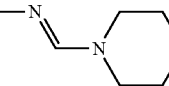
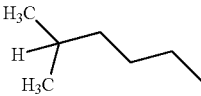
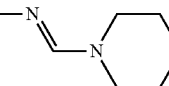
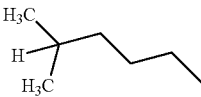
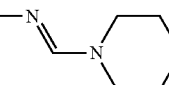
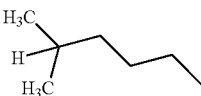
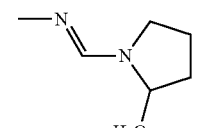
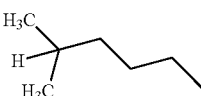
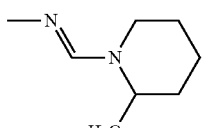
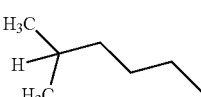
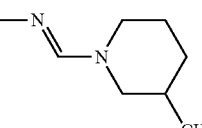
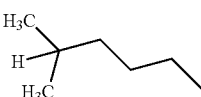
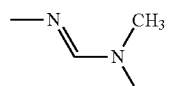
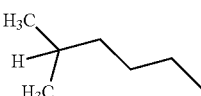
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TABLE A-continued

Meanings for R ₁ , R ₂ , R ₃ and R ₆ (continued):		
Line		R ₅
A.1.478		
A.1.479		
A.1.480		
A.1.481		
A.1.482		
A.1.483		
A.1.484		
A.1.485		
A.1.486		
A.1.487		
A.1.488		

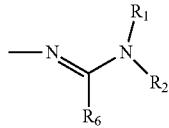
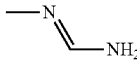
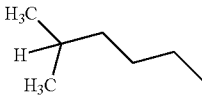
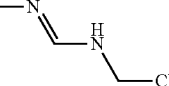
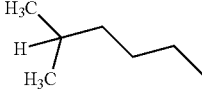
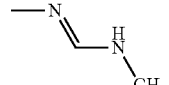
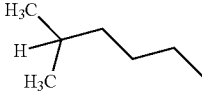
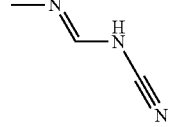
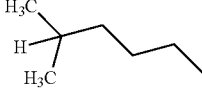
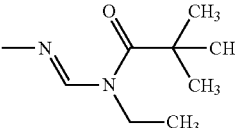
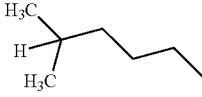
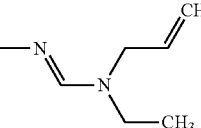
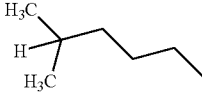
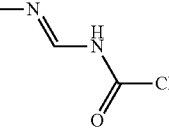
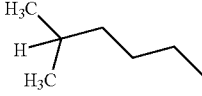
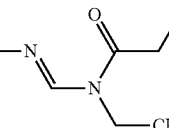
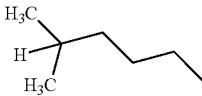
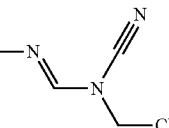
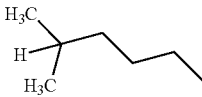
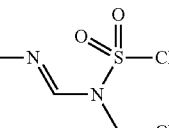
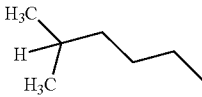
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TABLE A-continued

Meanings for R ₁ , R ₂ , R ₅ and R ₆ (continued):		
Line		R ₅
A.1.489		
A.1.490		
A.1.491		
A.1.492		
A.1.493		
A.1.494		
A.1.495		
A.1.496		
A.1.497		
A.1.498		
A.1.499		

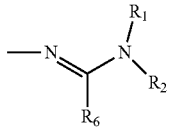
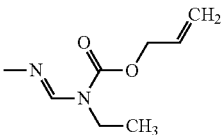
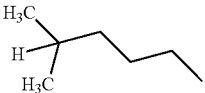
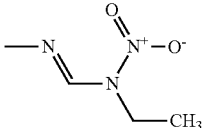
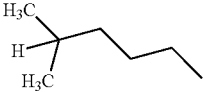
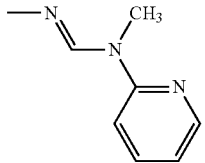
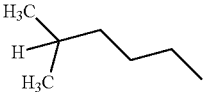
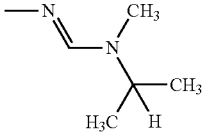
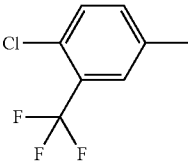
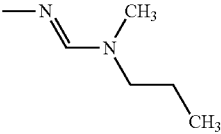
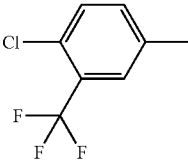
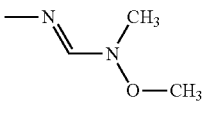
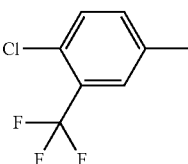
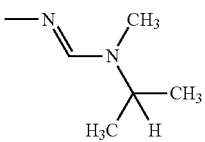
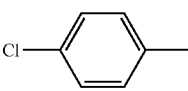
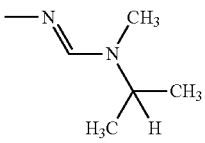
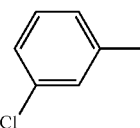
234

TABLE A-continued

Meanings for R ₁ , R ₂ , R ₅ and R ₆ (continued):		
Line		R ₅
10 A.1.500		
15 A.1.501		
20 A.1.502		
25 A.1.503		
30 A.1.504		
35 A.1.505		
40 A.1.506		
45 A.1.507		
50 A.1.508		
55 A.1.509		
60		
65		

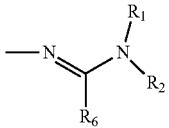
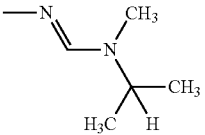
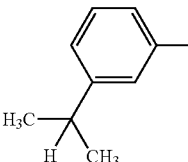
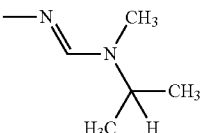
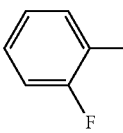
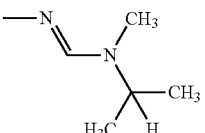
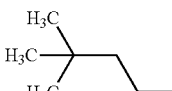
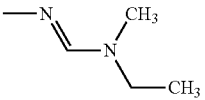
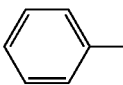
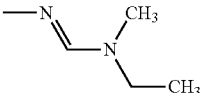
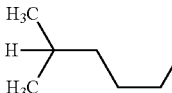
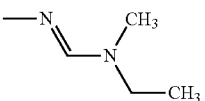
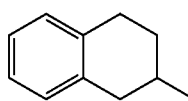
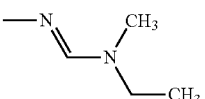
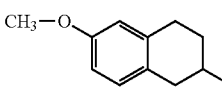
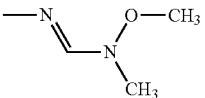
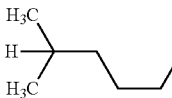
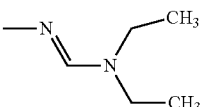
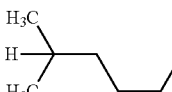
235

TABLE A-continued

Meanings for R ₁ , R ₂ , R ₅ and R ₆ (continued):		
Line		R ₅
A.1.510		
A.1.511		
A.1.512		
A.1.513		
A.1.514		
A.1.515		
A.1.516		
A.1.517		

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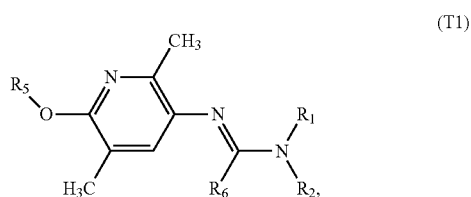
TABLE A-continued

Meanings for R ₁ , R ₂ , R ₅ and R ₆ (continued):		
Line		R ₅
A.1.518		
A.1.519		
A.1.520		
A.1.521		
A.1.522		
A.1.523		
A.1.524		
A.1.525		
A.1.526		

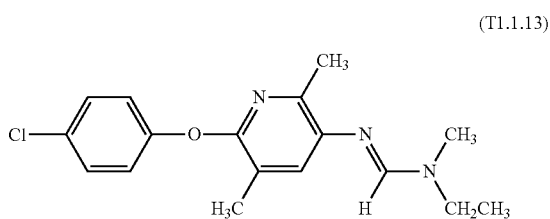
The following tables T1 to T151 disclose preferred compounds of formula I.

Table 1: This table discloses the 526 compounds T1.1.1 to T1.1.526 of the formula

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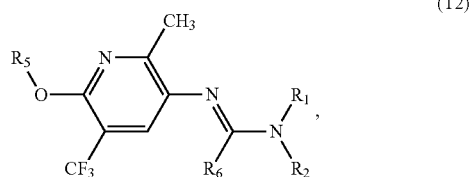


in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A. For example, the specific compound T1.1.13 is the compound of the formula T1, in which each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the line A.1.13 of Table A:



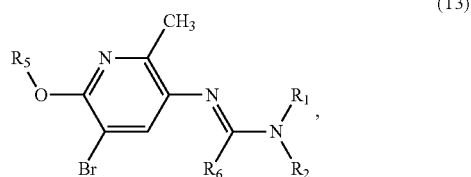
According to the same system, also all of the other 511 specific compounds disclosed in the Table 1 as well as all of the specific compounds disclosed in the Tables 2 to T151 are specified analogously.

Table 2: This table discloses the 526 compounds T2.1.1 to T2.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

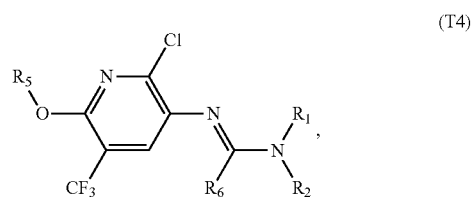
Table 3: This table discloses the 526 compounds T3.1.1 to T3.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

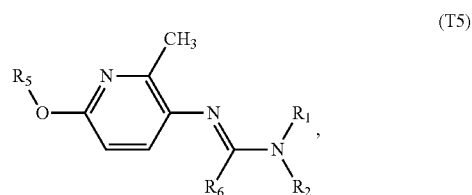
Table 4: This table discloses the 526 compounds T4.1.1 to T4.1.526 of the formula

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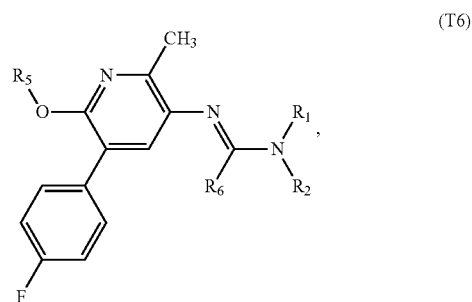
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 5: This table discloses the 526 compounds T5.1.1 to T5.1.526 of the formula



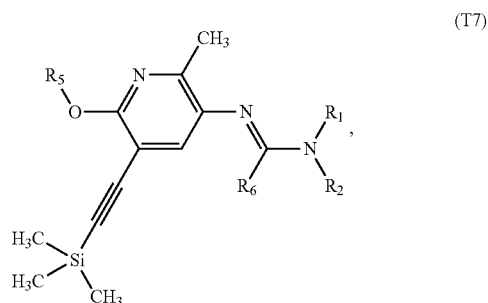
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 6: This table discloses the 526 compounds T6.1.1 to T6.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

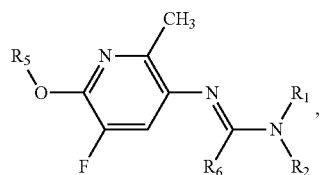
Table 7: This table discloses the 526 compounds T7.1.1 to T7.1.526 of the formula



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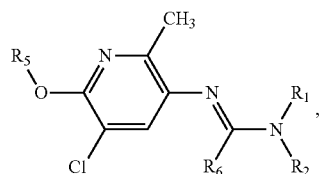
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 8: This table discloses the 526 compounds T8.1.1 to T8.1.526 of the formula



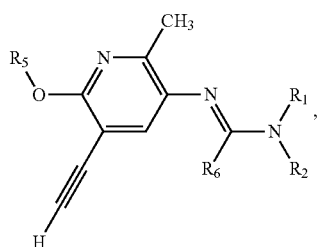
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 9: This table discloses the 526 compounds T9.1.1 to T9.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 10: This table discloses the 526 compounds T10.1.1 to T10.1.526 of the formula

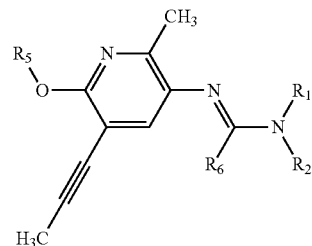


in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 11: This table discloses the 526 compounds T11.1.1 to T11.1.526 of the formula

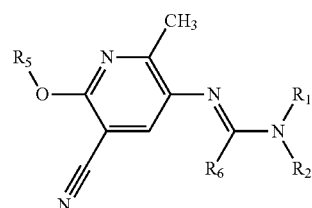
240

(T11)



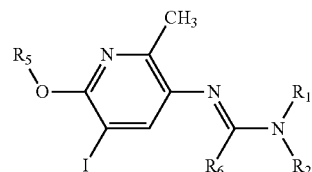
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 12: This table discloses the 526 compounds T12.1.1 to T12.1.526 of the formula



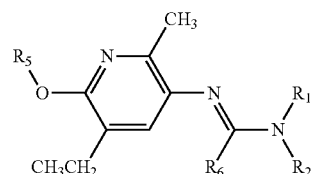
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 13: This table discloses the 526 compounds T13.1.1 to T13.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

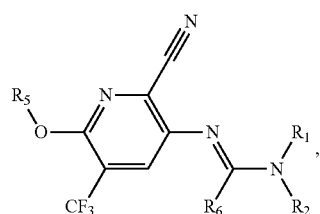
Table 14: This table discloses the 526 compounds T14.1.1 to T14.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

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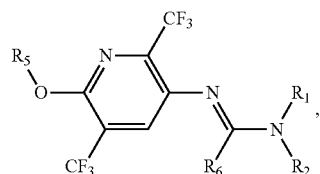
Table 15: This table discloses the 526 compounds T15.1.1 to T15.1.526 of the formula



(T15)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

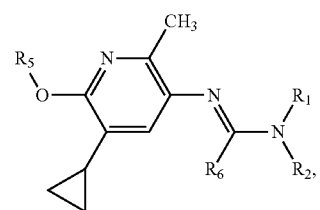
Table 16: This table discloses the 526 compounds T16.1.1 to T16.1.526 of the formula



(T16)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

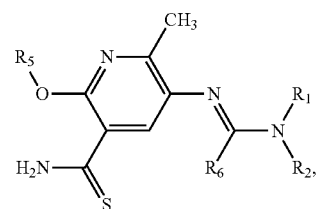
Table 17: This table discloses the 526 compounds T17.1.1 to T17.1.526 of the formula



(T17)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 18: This table discloses the 526 compounds T18.1.1 to T18.1.526 of the formula



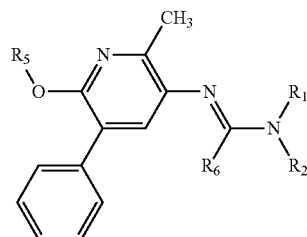
(T18)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 19: This table discloses the 526 compounds T19.1.1 to T19.1.526 of the formula

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(T19)

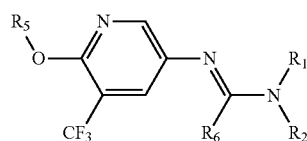


5

10

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 20: This table discloses the 526 compounds T20.1.1 to T20.1.526 of the formula

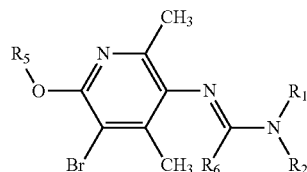


(T20)

25

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 21: This table discloses the 526 compounds T21.1.1 to T21.1.526 of the formula

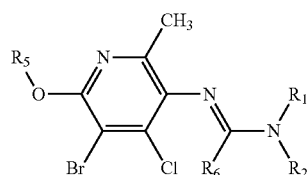


(T21)

40

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 22: This table discloses the 526 compounds T22.1.1 to T22.1.526 of the formula



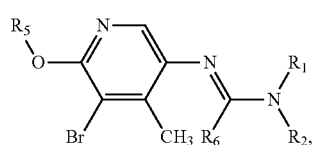
(T22)

55

60

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

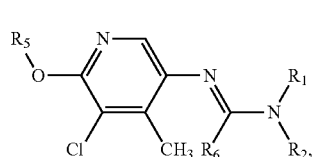
Table 23: This table discloses the 526 compounds T23.1.1 to T23.1.526 of the formula

243

5

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

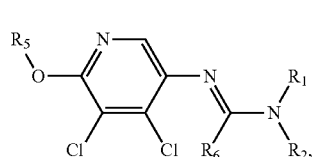
Table 24: This table discloses the 526 compounds T24.1.1 to T24.1.526 of the formula



20

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

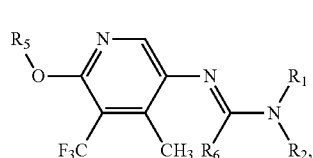
Table 25: This table discloses the 526 compounds T25.1.1 to T25.1.526 of the formula



40

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

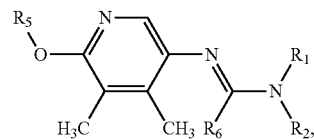
Table 26: This table discloses the 526 compounds T26.1.1 to T26.1.526 of the formula



60

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

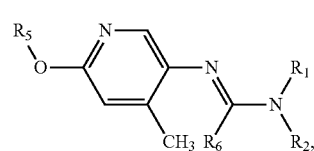
Table 27: This table discloses the 526 compounds T27.1.1 to T27.1.526 of the formula

244

(T27)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

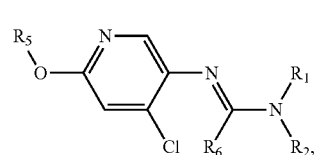
Table 28: This table discloses the 526 compounds T28.1.1 to T28.1.526 of the formula



(T28)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

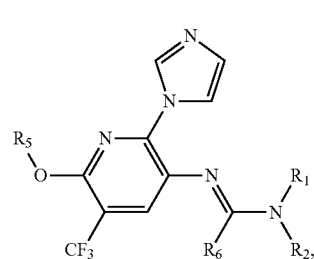
Table 29: This table discloses the 526 compounds T29.1.1 to T29.1.526 of the formula



(T29)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 30: This table discloses the 526 compounds T30.1.1 to T30.1.526 of the formula

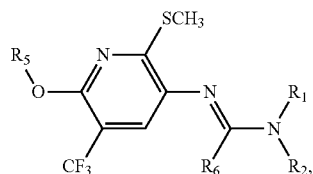


(T30)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

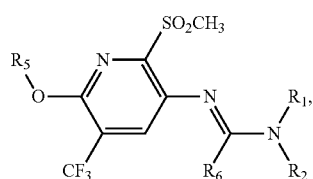
Table 31: This table discloses the 526 compounds T31.1.1 to T31.1.526 of the formula

245



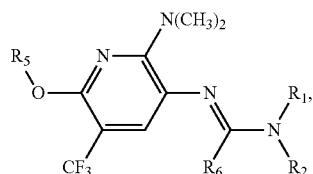
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 32: This table discloses the 526 compounds T32.1.1 to T32.1.526 of the formula



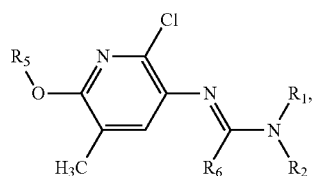
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 33: This table discloses the 526 compounds T33.1.1 to T33.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

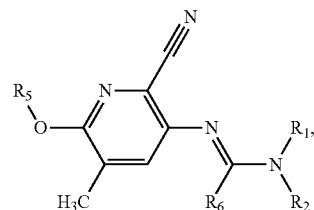
Table 34: This table discloses the 526 compounds T34.1.1 to T34.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

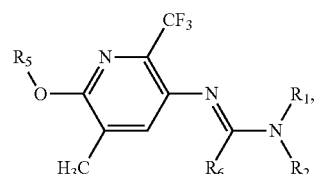
Table 35: This table discloses the 526 compounds T35.1.1 to T35.1.526 of the formula

246



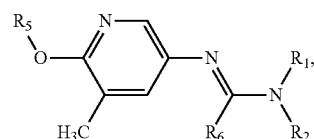
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 36: This table discloses the 526 compounds T36.1.1 to T36.1.526 of the formula



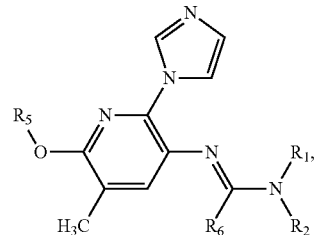
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 37: This table discloses the 526 compounds T37.1.1 to T37.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

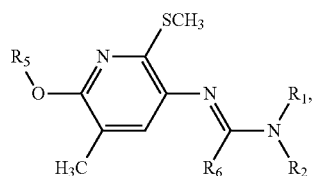
Table 38: This table discloses the 526 compounds T38.1.1 to T38.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

247

Table 39: This table discloses the 526 compounds T39.1.1 to T39.1.526 of the formula



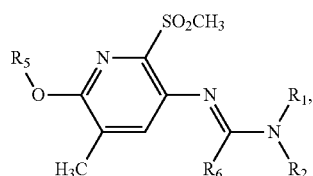
(T39)

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in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 40: This table discloses the 526 compounds T40.1.1 to T40.1.526 of the formula



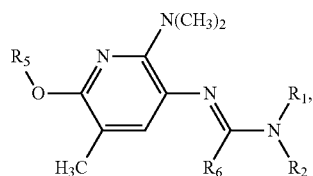
(T40)

20

25

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 41: This table discloses the 526 compounds T41.1.1 to T41.1.526 of the formula



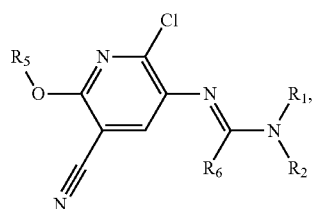
(T41)

35

40

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 42: This table discloses the 526 compounds T42.1.1 to T42.1.526 of the formula



(T42)

50

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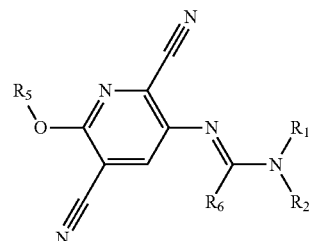
60

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 43: This table discloses the 526 compounds T43.1.1 to T43.1.526 of the formula

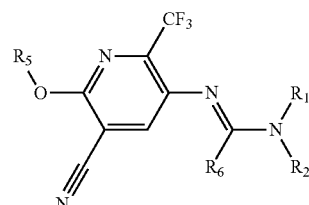
248

(T43)



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

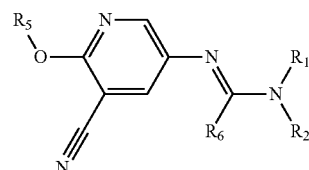
Table 44: This table discloses the 526 compounds T44.1.1 to T44.1.526 of the formula



(T44)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

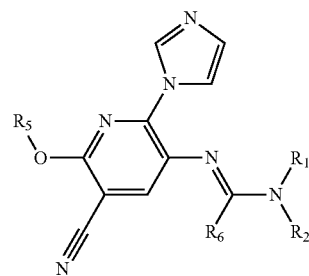
Table 45: This table discloses the 526 compounds T45.1.1 to T45.1.526 of the formula



(T45)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 46: This table discloses the 526 compounds T46.1.1 to T46.1.526 of the formula

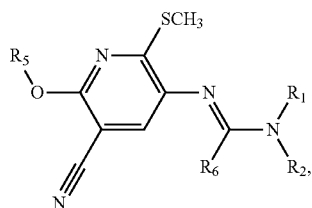


(T46)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

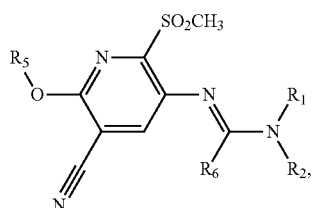
Table 47: This table discloses the 526 compounds T47.1.1 to T47.1.526 of the formula

249



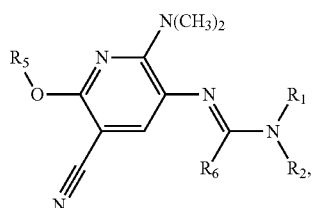
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 48: This table discloses the 526 compounds T48.1.1 to T48.1.526 of the formula



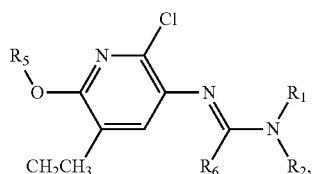
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 49: This table discloses the 526 compounds T49.1.1 to T49.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

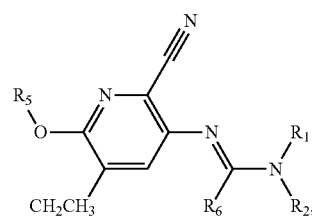
Table 50: This table discloses the 526 compounds T50.1.1 to T50.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

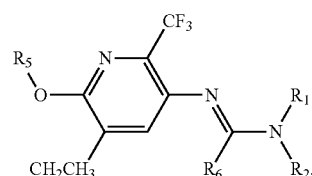
250

Table 51: This table discloses the 526 compounds T51.1.1 to T51.1.526 of the formula



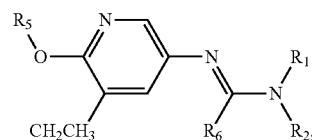
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 52: This table discloses the 526 compounds T52.1.1 to T52.1.526 of the formula



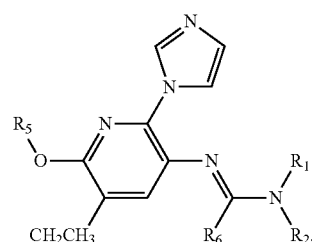
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 53: This table discloses the 526 compounds T53.1.1 to T53.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 54: This table discloses the 526 compounds T54.1.1 to T54.1.526 of the formula

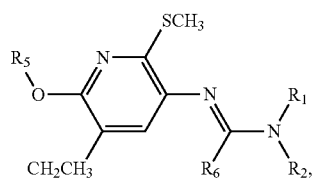


in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

251

in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

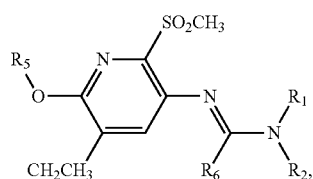
Table 55: This table discloses the 526 compounds T55.1.1 to T55.1.526 of the formula



(T55) 5

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

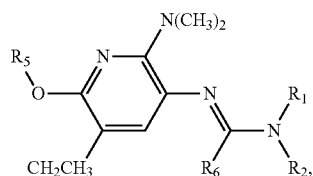
Table 56: This table discloses the 526 compounds T56.1.1 to T56.1.526 of the formula



(T56) 10

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

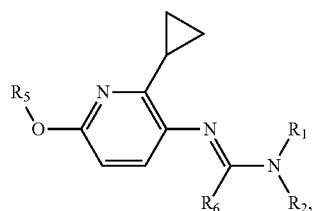
Table 57: This table discloses the 526 compounds T57.1.1 to T57.1.526 of the formula



(T57) 15

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 58: This table discloses the 526 compounds T58.1.1 to T58.1.526 of the formula



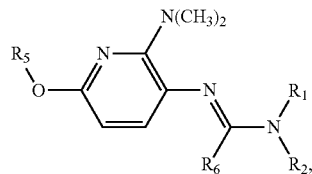
(T58) 20

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 59: This table discloses the 526 compounds T59.1.1 to T59.1.526 of the formula

252

(T59)

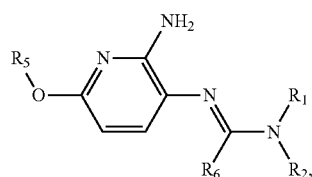


10

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 60: This table discloses the 526 compounds T60.1.1 to T60.1.526 of the formula

(T60)

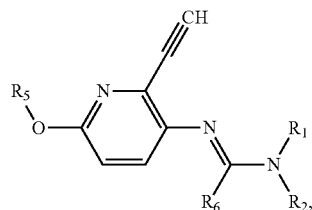


25

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 61: This table discloses the 526 compounds T61.1.1 to T61.1.526 of the formula

(T61)



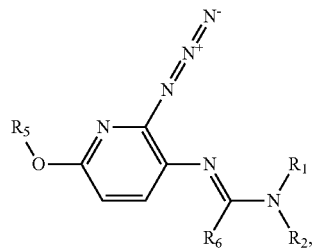
35

40

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 62: This table discloses the 526 compounds T62.1.1 to T62.1.526 of the formula

(T62)



55

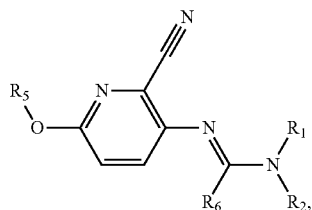
60

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 63: This table discloses the 526 compounds T63.1.1 to T63.1.526 of the formula

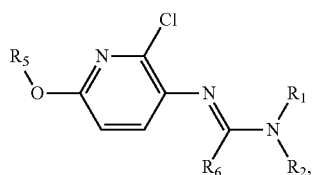
65

253



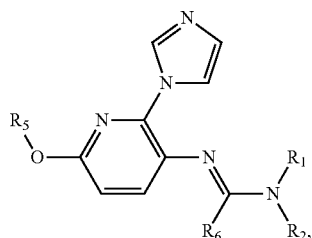
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 64: This table discloses the 526 compounds T64.1.1 to T64.1.526 of the formula



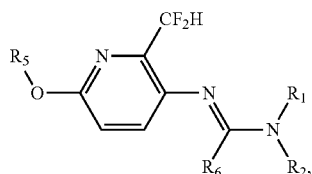
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 65: This table discloses the 526 compounds T65.1.1 to T65.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

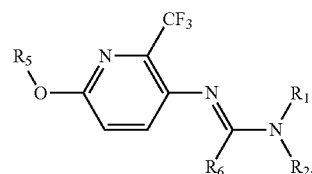
Table 66: This table discloses the 526 compounds T66.1.1 to T66.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

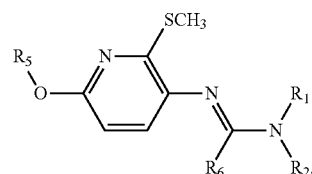
254

Table 67: This table discloses the 526 compounds T67.1.1 to T67.1.526 of the formula



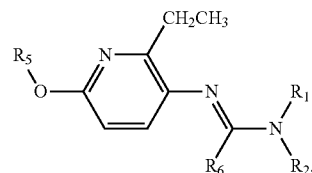
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 68: This table discloses the 526 compounds T68.1.1 to T68.1.526 of the formula



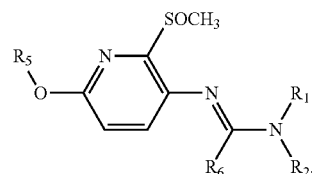
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 69: This table discloses the 526 compounds T69.1.1 to T69.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

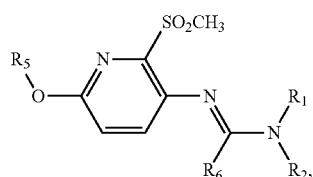
Table 70: This table discloses the 526 compounds T70.1.1 to T70.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

255

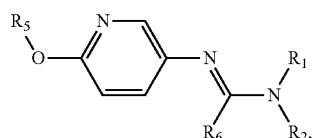
Table 71: This table discloses the 526 compounds T71.1.1 to T71.1.526 of the formula



(T71)

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

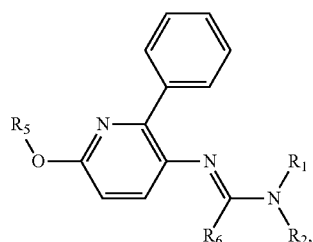
Table 72: This table discloses the 526 compounds T72.1.1 to T72.1.526 of the formula



(T72)

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

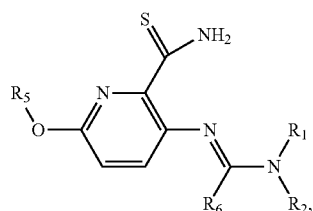
Table 73: This table discloses the 526 compounds T73.1.1 to T73.1.526 of the formula



(T73)

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 74: This table discloses the 526 compounds T74.1.1 to T74.1.526 of the formula



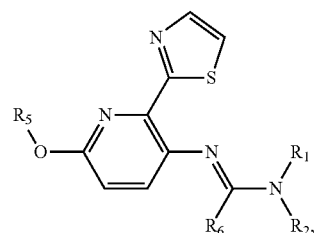
(T74)

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 75: This table discloses the 526 compounds T75.1.1 to T75.1.526 of the formula

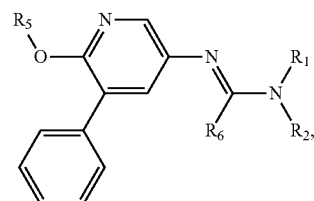
256

(T75)



in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

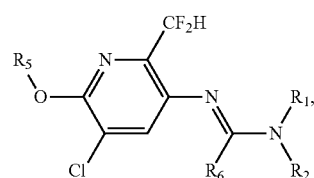
Table 76: This table discloses the 526 compounds T76.1.1 to T76.1.526 of the formula



(T76)

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

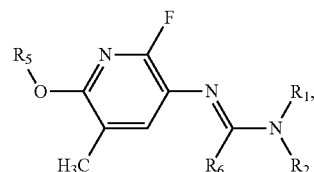
Table 77: This table discloses the 526 compounds T77.1.1 to T77.1.526 of the formula



(T77)

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 78: This table discloses the 526 compounds T78.1.1 to T78.1.526 of the formula

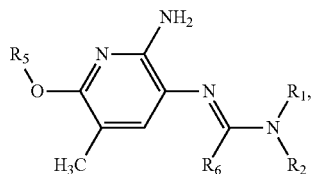


(T78)

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

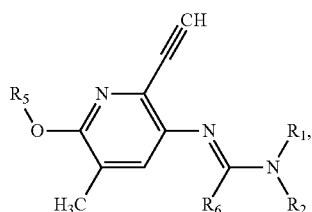
Table 79: This table discloses the 526 compounds T79.1.1 to T79.1.526 of the formula

257



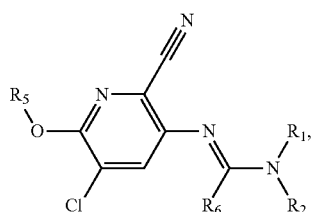
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 80: This table discloses the 526 compounds T80.1.1 to T80.1.526 of the formula



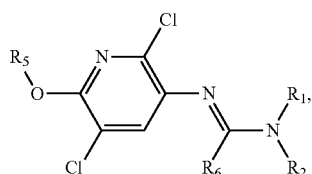
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 81: This table discloses the 526 compounds T81.1.1 to T81.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

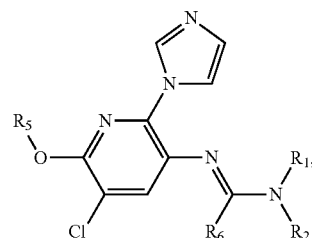
Table 82: This table discloses the 526 compounds T82.1.1 to T82.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

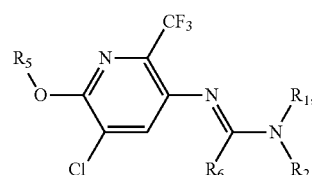
258

Table 83: This table discloses the 526 compounds T83.1.1 to T83.1.526 of the formula



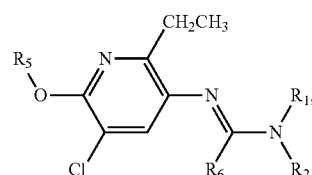
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 84: This table discloses the 526 compounds T84.1.1 to T84.1.526 of the formula



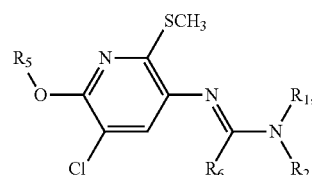
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 85: This table discloses the 526 compounds T85.1.1 to T85.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 86: This table discloses the 526 compounds T86.1.1 to T86.1.526 of the formula

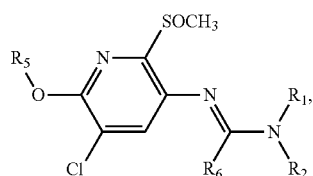


in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given

259

in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 87: This table discloses the 526 compounds T87.1.1 to T87.1.526 of the formula



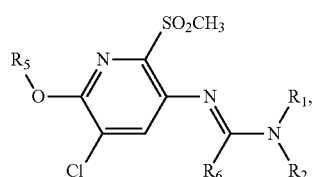
(T87) 5

10

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

15

Table 88: This table discloses the 526 compounds T88.1.1 to T88.1.526 of the formula



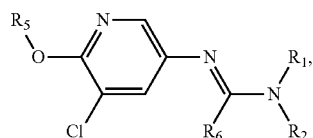
(T88) 20

25

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

30

Table 89: This table discloses the 526 compounds T89.1.1 to T89.1.526 of the formula



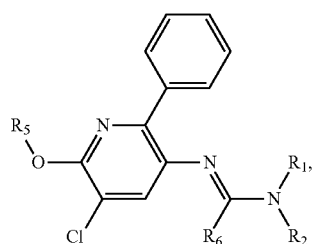
(T89) 35

40

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

45

Table 90: This table discloses the 526 compounds T90.1.1 to T90.1.526 of the formula



(T90) 50

55

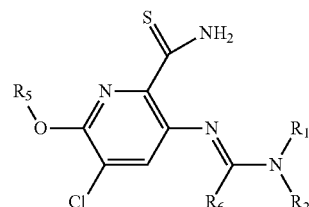
in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

60

Table 91: This table discloses the 526 compounds T91.1.1 to T91.1.526 of the formula

260

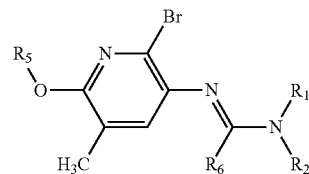
(T91)



in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 92: This table discloses the 526 compounds T92.1.1 to T92.1.526 of the formula

(T92)



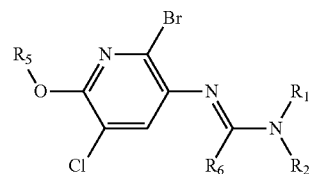
25

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

30

Table 93: This table discloses the 526 compounds T93.1.1 to T93.1.526 of the formula

(T93)



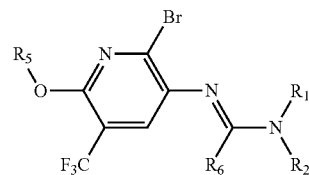
40

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

45

Table 94: This table discloses the 526 compounds T94.1.1 to T94.1.526 of the formula

(T94)



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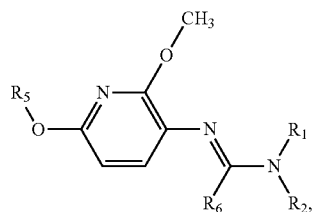
60

in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

65

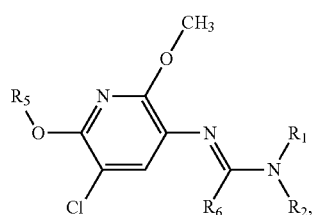
Table 95: This table discloses the 526 compounds T95.1.1 to T95.1.526 of the formula

261



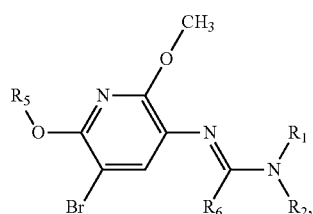
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 96: This table discloses the 526 compounds T96.1.1 to T96.1.526 of the formula



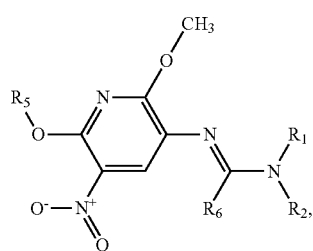
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 97: This table discloses the 526 compounds T97.1.1 to T97.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 98: This table discloses the 526 compounds T98.1.1 to T98.1.526 of the formula



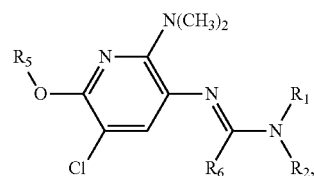
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 99: This table discloses the 526 compounds T99.1.1 to T99.1.526 of the formula

262

(T95)

5



(T99)

10

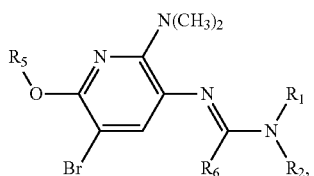
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

15

Table 100: This table discloses the 526 compounds T100.1.1 to T100.1.526 of the formula

(T96)

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(T100)

25

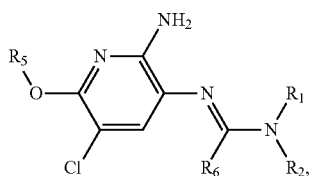
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

30

Table 101: This table discloses the 526 compounds T101.1.1 to T101.1.526 of the formula

(T97)

35



(T101)

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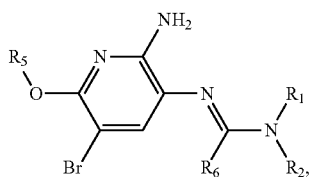
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

45

Table 102: This table discloses the 526 compounds T102.1.1 to T102.1.526 of the formula

(T98)

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(T102)

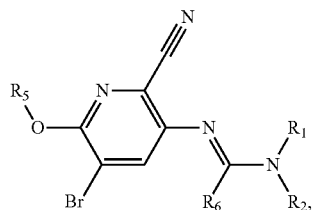
55

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

60

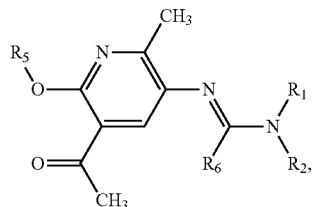
Table 103: This table discloses the 526 compounds T103.1.1 to T103.1.526 of the formula

263



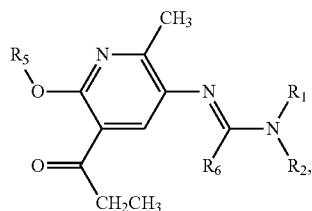
in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 104: This table discloses the 526 compounds T104.1.1 to T104.1.526 of the formula



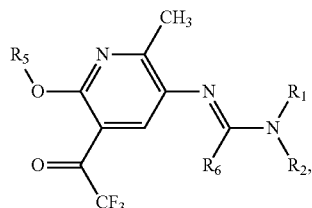
in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 105: This table discloses the 526 compounds T105.1.1 to T105.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 106: This table discloses the 526 compounds T106.1.1 to T106.1.526 of the formula

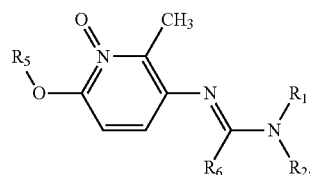


in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given

264

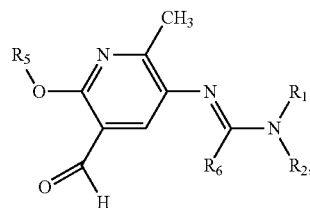
in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 107: This table discloses the 526 compounds T107.1.1 to T107.1.526 of the formula



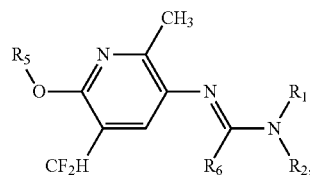
in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 108: This table discloses the 526 compounds T108.1.1 to T108.1.526 of the formula



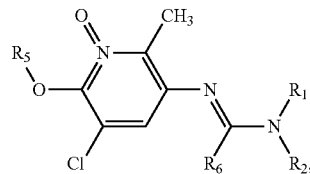
in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 109: This table discloses the 526 compounds T109.1.1 to T109.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

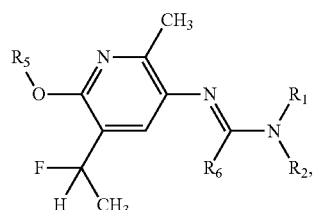
Table 110: This table discloses the 526 compounds T110.1.1 to T110.1.526 of the formula



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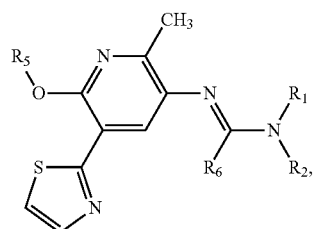
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 111: This table discloses the 526 compounds T111.1.1 to T111.1.526 of the formula



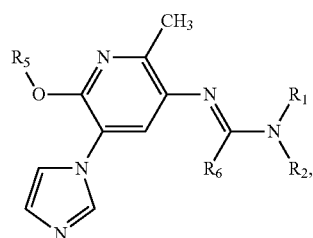
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 112: This table discloses the 526 compounds T112.1.1 to T112.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

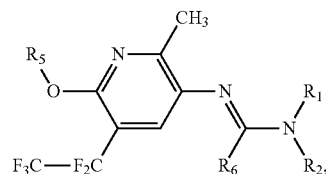
Table 113: This table discloses the 526 compounds T113.1.1 to T113.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

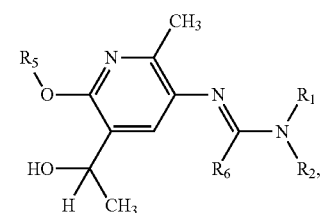
Table 114: This table discloses the 526 compounds T114.1.1 to T114.1.526 of the formula

266



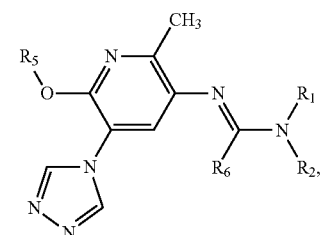
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 115: This table discloses the 526 compounds T115.1.1 to T115.1.526 of the formula



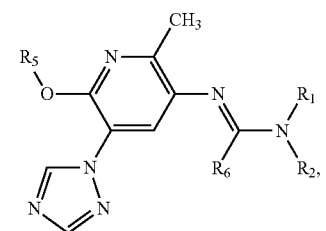
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 116: This table discloses the 526 compounds T116.1.1 to T116.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

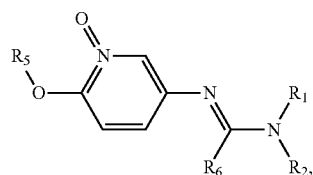
Table 117: This table discloses the 526 compounds T117.1.1 to T117.1.526 of the formula



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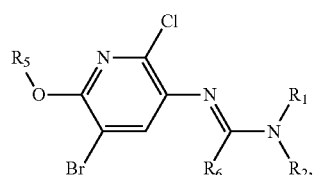
in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 118: This table discloses the 526 compounds T118.1.1 to T118.1.526 of the formula



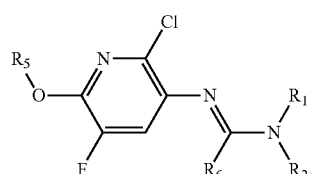
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 119: This table discloses the 526 compounds T119.1.1 to T119.1.526 of the formula



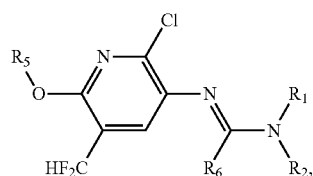
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 120: This table discloses the 526 compounds T120.1.1 to T120.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

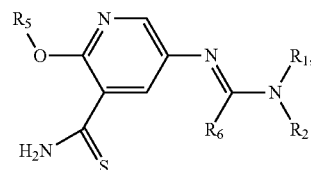
Table 121: This table discloses the 526 compounds T121.1.1 to T121.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

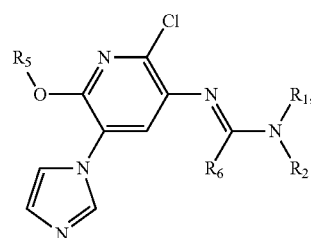
Table 122: This table discloses the 526 compounds T122.1.1 to T122.1.526 of the formula

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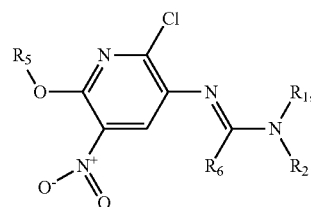
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 123: This table discloses the 526 compounds T123.1.1 to T123.1.526 of the formula



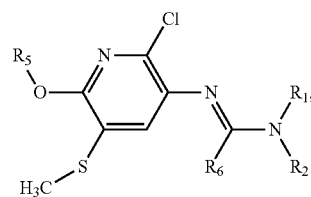
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 124: This table discloses the 526 compounds T124.1.1 to T124.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

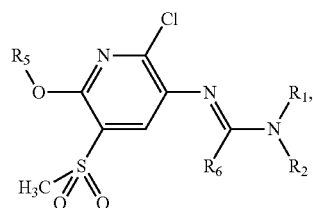
Table 125: This table discloses the 526 compounds T125.1.1 to T125.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 126: This table discloses the 526 compounds T126.1.1 to T126.1.526 of the formula

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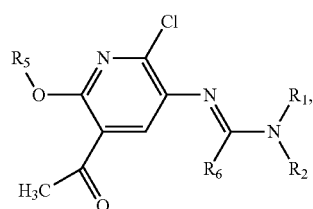
(T126)

5

10

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 127: This table discloses the 526 compounds T127.1.1 to T127.1.526 of the formula



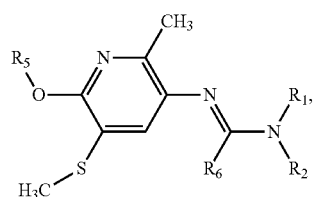
(T127)

20

25

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 128: This table discloses the 526 compounds T128.1.1 to T128.1.526 of the formula

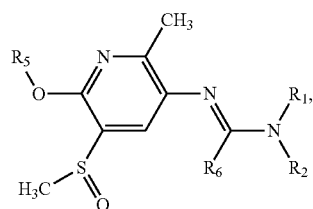


(T128)

40

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 129: This table discloses the 526 compounds T129.1.1 to T129.1.526 of the formula



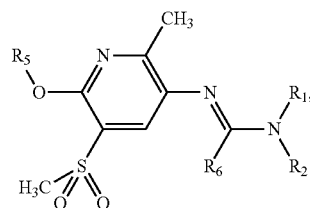
(T129)

60

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 130: This table discloses the 526 compounds T130.1.1 to T130.1.526 of the formula

270

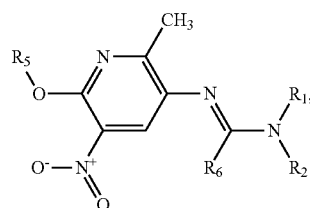


(T130)

15

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 131: This table discloses the 526 compounds T131.1.1 to T131.1.526 of the formula

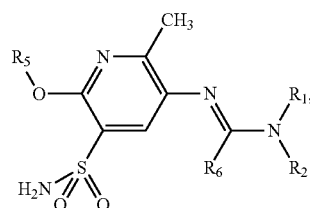


(T131)

30

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 132: This table discloses the 526 compounds T132.1.1 to T132.1.526 of the formula

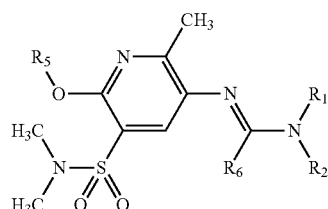


(T132)

45

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 133: This table discloses the 526 compounds T133.1.1 to T133.1.526 of the formula



(T133)

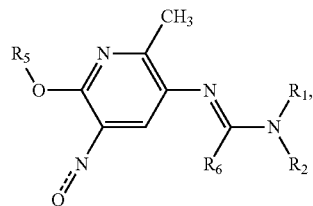
55

60

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 134: This table discloses the 526 compounds T134.1.1 to T134.1.526 of the formula

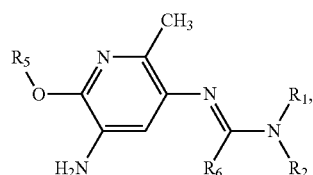
271



(T134)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

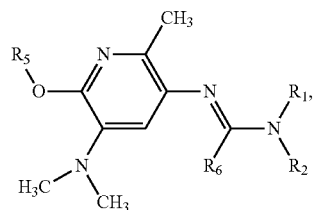
Table 135: This table discloses the 526 compounds T135.1.1 to T135.1.526 of the formula



(T135)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

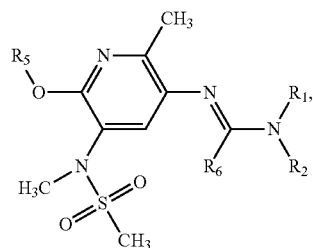
Table 136: This table discloses the 526 compounds T136.1.1 to T136.1.526 of the formula



(T136)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 137: This table discloses the 526 compounds T137.1.1 to T137.1.526 of the formula

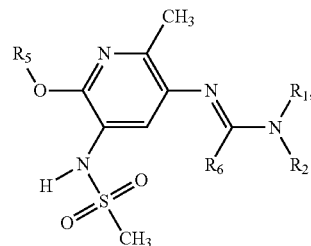


(T137)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 138: This table discloses the 526 compounds T138.1.1 to T138.1.526 of the formula

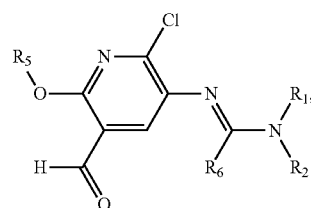
272



(T138)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

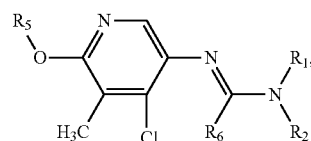
Table 139: This table discloses the 526 compounds T139.1.1 to T139.1.526 of the formula



(T139)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

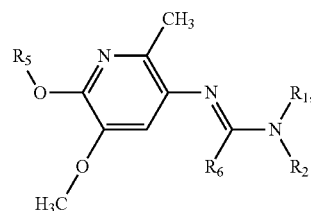
Table 140: This table discloses the 526 compounds T140.1.1 to T140.1.526 of the formula



(T140)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 141: This table discloses the 526 compounds T141.1.1 to T141.1.526 of the formula

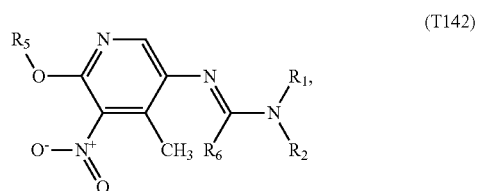


(T141)

in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

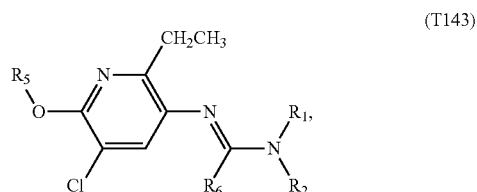
Table 142: This table discloses the 526 compounds T142.1.1 to T142.1.526 of the formula

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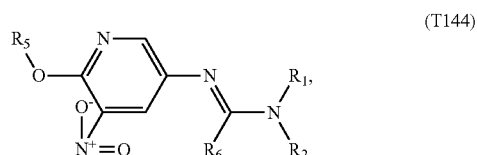
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 143: This table discloses the 526 compounds T143.1.1 to T143.1.526 of the formula



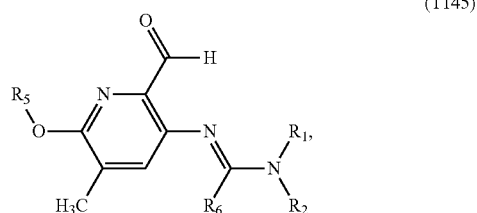
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 144: This table discloses the 526 compounds T144.1.1 to T144.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

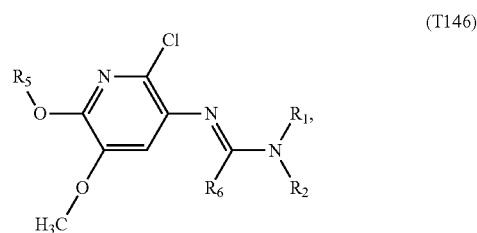
Table 145: This table discloses the 526 compounds T145.1.1 to T145.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

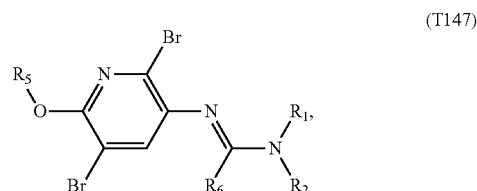
Table 146: This table discloses the 526 compounds T146.1.1 to T146.1.526 of the formula

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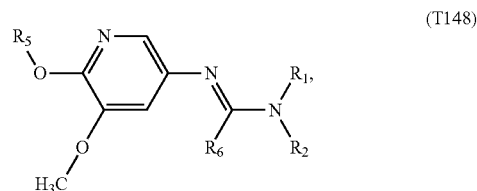
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 147: This table discloses the 526 compounds T147.1.1 to T147.1.526 of the formula



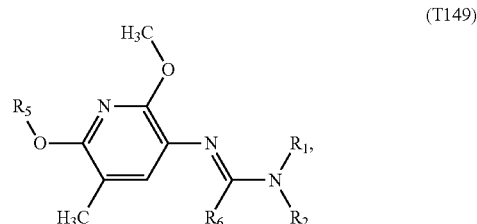
in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 148: This table discloses the 526 compounds T148.1.1 to T148.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

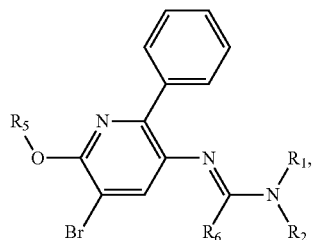
Table 149: This table discloses the 526 compounds T149.1.1 to T149.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R_1 , R_2 , R_5 and R_6 has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

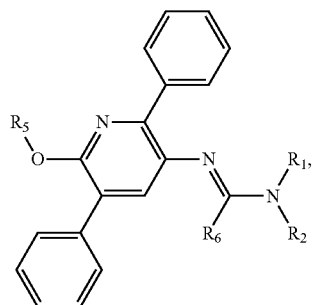
275

Table 150: This table discloses the 526 compounds T150.1.1 to T150.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Table 151: This table discloses the 526 compounds T151.1.1 to T151.1.526 of the formula



in which, for each of these 526 specific compounds, each of the variables R₁, R₂, R₅ and R₆ has the specific meaning given in the corresponding line, appropriately selected from the 526 lines A.1.1 to A.1.526 of Table A.

Formulation examples for compounds of formula I:

Example F-1.1 to F-1.3

Emulsifiable Concentrates

Components	F-1.1	F-1.2	F-1.3
compound of Tables 1 to 151	25%	40%	50%
calcium dodecylbenzenesulfonate	5%	8%	6%
castor oil polyethylene glycol ether (36 mol ethylenoxy units)	5%	—	—
tributylphenolpolyethylene glycol ether (30 mol ethylenoxy units)	—	12%	4%
cyclohexanone	—	15%	20%
xylene mixture	65%	25%	20%

Emulsions of any desired concentration can be prepared by diluting such concentrates with water.

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Example F-2

Emulsifiable Concentrate

Components	F-2
compound of Tables 1 to 151	10%
octylphenolpolyethylene glycol ether (4 to 5 mol ethylenoxy units)	3%
calcium dodecylbenzenesulfonate	3%
castor oil polyglycol ether (36 mol ethylenoxy units)	4%
cyclohexanone	30%
xylene mixture	50%

Emulsions of any desired concentration can be prepared by diluting such concentrates with water.

Examples F-3.1 to F-3.4

Solutions

Components	F-3.1	F-3.2	F-3.3	F-3.4
compound of Tables 1 to 151	80%	10%	5%	95%
propylene glycol monomethyl ether	20%	—	—	—
polyethylene glycol (relative molecular mass: 400 atomic mass units)	—	70%	—	—
N-methylpyrrolid-2-one	—	20%	—	—
epoxidised coconut oil	—	—	1%	5%
benzin (boiling range: 160-190°)	—	—	94%	—

The solutions are suitable for use in the form of micro-drops.

Examples F-4.1 to F-4.4

Granulates

Components	F-4.1	F-4.2	F-4.3	F-4.4
compound of Tables 1 to 151	5%	10%	8%	21%
kaolin	94%	—	79%	54%
highly dispersed silicic acid	1%	—	13%	7%
attapulgite	—	90%	—	18%

The novel compound is dissolved in dichloromethane, the solution is sprayed onto the carrier and the solvent is then removed by distillation under vacuum.

Examples F-5.1 and F-5.2

Dusts

Components	F-5.1	F-5.2
compound of Tables 1 to 151	2%	5%
highly dispersed silicic acid	1%	5%
talcum	97%	—
kaolin	—	90%

Ready for use dusts are obtained by intimately mixing all components.

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Examples F-6.1 to F-6.3

Wettable Powders

Components	F-6.1	F-6.2	F-6.3
compound of Tables 1 to 151	25%	50%	75%
sodium lignin sulfonate	5%	5%	—
sodium lauryl sulfate	3%	—	5%
sodium diisobutyl naphthalene sulfonate	—	6%	10%
octylphenolpolyethylene glycol ether (7 to 8 mol ethylenoxy units)	—	2%	—
highly dispersed silicic acid	5%	10%	10%
kaolin	62%	27%	—

All components are mixed and the mixture is thoroughly ground in a suitable mill to give wettable powders which can be diluted with water to suspensions of any desired concentration.

Example F7

Flowable Concentrate for Seed Treatment

compound of Tables 1 to 151	40%
propylene glycol	5%
copolymer butanol PO/EO	2%
tristyrenephenole with 10-20 moles EO	2%
1,2-benzisothiazolin-3-one (in the form of a 20% solution in water)	0.5%
monoazo-pigment calcium salt	5%
Silicone oil (in the form of a 75% emulsion in water)	0.2%
Water	45.3%

The finely ground active ingredient is intimately mixed with the adjuvants, giving a suspension concentrate from which suspensions of any desired dilution can be obtained by dilution with water. Using such dilutions, living plants as well as plant propagation material can be treated and protected against infestation by microorganisms, by spraying, pouring or immersion.

The activity of the compositions according to the invention can be broadened considerably, and adapted to prevailing circumstances, by adding other insecticidally, acaricidally and/or fungicidally active ingredients. The mixtures of the compounds of formula I with other insecticidally, acaricidally and/or fungicidally active ingredients may also have further surprising advantages which can also be described, in a wider sense, as synergistic activity. For example, better tolerance by plants, reduced phytotoxicity, insects can be controlled in their different development stages or better behaviour during their production, for example during grinding or mixing, during their storage or during their use.

Suitable additions to active ingredients here are, for example, representatives of the following classes of active ingredients: organophosphorus compounds, nitrophenol derivatives, thioureas, juvenile hormones, formamidines, benzophenone derivatives, ureas, pyrrole derivatives, carbamates, pyrethroids, chlorinated hydrocarbons, acylureas, pyridyl-methyleneamino derivatives, macrolides, neonicotinoids and *Bacillus thuringiensis* preparations.

The following mixtures of the compounds of formula I with active ingredients are preferred (the abbreviation "TX" means "one compound selected from the group consisting of the compounds of Table P and the compounds represented by formulae T1 to T151 described in tables 1 to 151 of the present invention"):

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an adjuvant selected from the group of substances consisting of petroleum oils (alternative name) (628)+TX, an acaricide selected from the group of substances consisting of 1,1-bis(4-chlorophenyl)-2-ethoxyethanol (IUPAC name) (910)+TX, 2,4-dichlorophenyl benzene-sulfonate (IUPAC/Chemical Abstracts name) (1059)+TX, 2-fluoro-N-methyl-N-1-naphthylacetamide (IUPAC name) (1295)+TX, 4-chlorophenyl phenyl sulfone (IUPAC name) (981)+TX, abamectin (1)+TX, acequinocyl (3)+TX, acetoprole [CCN]+TX, acrinathrin (9)+TX, aldicarb (16)+TX, aldoxycarb (863)+TX, alpha-cypermethrin (202)+TX, amidithion (870)+TX, amidothion [CCN]+TX, amidothioate (872)+TX, amiton (875)+TX, amiton hydrogen oxalate (875)+TX, amitraz (24)+TX, aramite (881)+TX, arsenous oxide (882)+TX, AVI 382 (compound code)+TX, AZ 60541 (compound code)+TX, azinphos-ethyl (44)+TX, azinphos-methyl (45)+TX, azobenzene (IUPAC name) (888)+TX, azocyclotin (46)+TX, azothoate (889)+TX, benomyl (62)+TX, benoxafos (alternative name) [CCN]+TX, benzoximate (71)+TX, benzyl benzoate (IUPAC name) [CCN]+TX, bifenthrin (74)+TX, bifenthrin (76)+TX, binapacryl (907)+TX, brofenvalerate (alternative name)+TX, bromocyclen (918)+TX, bromophos (920)+TX, bromophos-ethyl (921)+TX, bromopropylate (94)+TX, buprofezin (99)+TX, butocarbonyl (103)+TX, butoxycarbonyl (104)+TX, butylpyridaben (alternative name)+TX, calcium polysulfide (IUPAC name) (111)+TX, camphechlor (941)+TX, carbanolate (943)+TX, carbaryl (115)+TX, carbofuran (118)+TX, carbophenothion (947)+TX, CGA 50'439 (development code) (125)+TX, chinomethionat (126)+TX, chlorbendazole (959)+TX, chlordimeform (964)+TX, chlordimeform hydrochloride (964)+TX, chlorfenapyr (130)+TX, chlorfenethol (968)+TX, chlorfenson (970)+TX, chlorfensulphide (971)+TX, chlorfenvinphos (131)+TX, chlorobenzilate (975)+TX, chloromebuform (977)+TX, chloromethiuron (978)+TX, chloropropylate (983)+TX, chlorpyrifos (145)+TX, chlorpyrifos-methyl (146)+TX, chlorthiophos (994)+TX, cinerin I (696)+TX, cinerin II (696)+TX, cinerins (696)+TX, clofentezine (158)+TX, closantel (alternative name) [CCN]+TX, coumaphos (174)+TX, crotamiton (alternative name) [CCN]+TX, crotoxyphos (1010)+TX, cufraneb (1013)+TX, cyanthoate (1020)+TX, cyflumetofen (CAS Reg. No.: 400882-07-7)+TX, cyhalothrin (196)+TX, cyhexatin (199)+TX, cypermethrin (201)+TX, DCPM (1032)+TX, DDT (219)+TX, demephion (1037)+TX, demephion-O (1037)+TX, demephion-S (1037)+TX, demeton (1038)+TX, demeton-methyl (224)+TX, demeton-O (1038)+TX, demeton-O-methyl (224)+TX, demeton-S (1038)+TX, demeton-5-methyl (224)+TX, demeton-S-methylsulphon (1039)+TX, diafenthion (226)+TX, dialifos (1042)+TX, diazinon (227)+TX, dichlofluanid (230)+TX, dichlorvos (236)+TX, dicliphos (alternative name)+TX, dicofol (242)+TX, dicrotophos (243)+TX, dienochlor (1071)+TX, dimefox (1081)+TX, dimethoate (262)+TX, dinactin (alternative name) (653)+TX, dinex (1089)+TX, dinex-diclexine (1089)+TX, dinobuton (269)+TX, dinocap (270)+TX, dinocap-4 [CCN]+TX, dinocap-6 [CCN]+TX, dinoceton (1090)+TX, dinopenton (1092)+TX, dinosulfon (1097)+TX, dinoterbon (1098)+TX, dioxathion (1102)+TX, diphenyl sulfone (IUPAC name) (1103)+TX, disulfuram (alternative name) [CCN]+TX, disulfoton (278)+TX, DNOC (282)+TX, dofenapyn (1113)+

TX, doramectin (alternative name) [CCN]+TX, endosulfan (294)+TX, endothion (1121)+TX, EPN (297)+TX, eprinomectin (alternative name) [CCN]+TX, ethion (309)+TX, ethoate-methyl (1134)+TX, etoxazole (320)+TX, etrimfos (1142)+TX, fenazaflor (1147)+TX, fenazaquin (328)+TX, fenbutatin oxide (330)+TX, fenothiocarb (337)+TX, fenpropathrin (342)+TX, fenpyrad (alternative name)+TX, fenpyroximate (345)+TX, fenson (1157)+TX, fentrifanil (1161)+TX, fenvalerate (349)+TX, fipronil (354)+TX, flucacrypyrim (526)+TX, fluazuron (1166)+TX, flubenzimine (1167)+TX, flucycloxuron (366)+TX, flucythrinate (367)+TX, fluenetil (1169)+TX, flufenoxuron (370)+TX, flumethrin (372)+TX, fluorbenside (1174)+TX, fluralinate (1184)+TX, FMC 1137 (development code) (1185)+TX, formetanate (405)+TX, formetanate hydrochloride (405)+TX, formothion (1192)+TX, formparanate (1193)+TX, gamma-HCH (430)+TX, glyodin (1205)+TX, halfenprox (424)+TX, heptenophos (432)+TX, hexadecyl cyclopropanecarboxylate (IUPAC/ Chemical Abstracts name) (1216)+TX, hexythiazox (441)+TX, iodomethane (IUPAC name) (542)+TX, isocarbophos (alternative name) (473)+TX, isopropyl 0-(methoxyaminothiophosphoryl)salicylate (IUPAC name) (473)+TX, ivermectin (alternative name) [CCN]+TX, jasmolin I (696)+TX, jasmolin II (696)+TX, jodfenphos (1248)+TX, lindane (430)+TX, lufenuron (490)+TX, malathion (492)+TX, malonoben (1254)+TX, mecarbam (502)+TX, mephosfolan (1261)+TX, mesulfen (alternative name) [CCN]+TX, methacrifos (1266)+TX, methamidophos (527)+TX, methidathion (529)+TX, methiocarb (530)+TX, methomyl (531)+TX, methyl bromide (537)+TX, metolcarb (550)+TX, mevinphos (556)+TX, mexacarbate (1290)+TX, milbemectin (557)+TX, milbemycin oxime (alternative name) [CCN]+TX, mipafox (1293)+TX, monocrotophos (561)+TX, morphothion (1300)+TX, moxidectin (alternative name) [CCN]+TX, naled (567)+TX, NC-184 (compound code)+TX, NC-526 (compound code)+TX, nifluridide (1309)+TX, nikkomycins (alternative name) [CCN]+TX, nitrilacarb (1526)+TX, nitrilacarb 1:1 zinc chloride complex (1526)+TX, NNI-0101 (compound code)+TX, NNI-0250 (compound code)+TX, omethoate (594)+TX, oxamyl (602)+TX, oxydeprofos (1324)+TX, oxydisulfoton (1325)+TX, pp'-DDT (219)+TX, parathion (615)+TX, permethrin (626)+TX, petroleum oils (alternative name) (628)+TX, phenkapton (1330)+TX, phenthoate (631)+TX, phorate (636)+TX, phosalone (637)+TX, phosfolan (1338)+TX, phosmet (638)+TX, phosphamidon (639)+TX, phoxim (642)+TX, pirimiphos-methyl (652)+TX, polychloroterpene (traditional name) (1347)+TX, polynactins (alternative name) (653)+TX, proclonol (1350)+TX, profenofos (662)+TX, promacyl (1354)+TX, propargite (671)+TX, propetamphos (673)+TX, propoxur (678)+TX, prothidathion (1526)+TX, prothoate (1362)+TX, pyrethrin I (696)+TX, pyrethrin II (696)+TX, pyrethrins (696)+TX, pyridaben (699)+TX, pyridaphenthion (701)+TX, pyrimidifen (706)+TX, pyrimitate (1370)+TX, quinalphos (711)+TX, quintiofos (1381)+TX, R-1492 (development code) (1382)+TX, RA-17 (development code) (1383)+TX, rotenone (722)+TX, schradan (1389)+TX, sebufos (alternative name)+TX, selamectin (alternative name) [CCN]+TX, SI-0009 (compound code)+TX, sophamide (1402)+TX, spiroticlofen (738)+TX, spiromesifen (739)+TX, SSI-121 (development code) (1404)+TX, sulfuram (alternative

name) [CCN]+TX, sulfluramid (750)+TX, sulfotep (753)+TX, sulfur (754)+TX, SZI-121 (development code) (757)+TX, tau-fluvalinate (398)+TX, tebufenpyrad (763)+TX, TEPP (1417)+TX, terbam (alternative name)+TX, tetrachlorvinphos (777)+TX, tetradifon (786)+TX, tetranactin (alternative name) (653)+TX, tetrasul (1425)+TX, thiafenox (alternative name)+TX, thiocarbonyl (1431)+TX, thiofanox (800)+TX, thiometon (801)+TX, thioquinox (1436)+TX, thuringiensin (alternative name) [CCN]+TX, triamiphos (1441)+TX, triarathene (1443)+TX, triazophos (820)+TX, triazuron (alternative name)+TX, trichlorfon (824)+TX, trifenofos (1455)+TX, trinactin (alternative name) (653)+TX, vamidothion (847)+TX, vaniliprole [CCN] and YI-5302 (compound code)+TX,

an algicide selected from the group of substances consisting of bethoxazin [CCN]+TX, copper diocanoate (IUPAC name) (170)+TX, copper sulfate (172)+TX, cybutryne [CCN]+TX, dichlone (1052)+TX, dichlorophen (232)+TX, endothal (295)+TX, fentin (347)+TX, hydrated lime [CCN]+TX, nabam (566)+TX, quinclamine (714)+TX, quinonamid (1379)+TX, simazine (730)+TX, triphenyltin acetate (IUPAC name) (347) and triphenyltin hydroxide (IUPAC name) (347)+TX,

an anthelmintic selected from the group of substances consisting of abamectin (1)+TX, crufomate (1011)+TX, doramectin (alternative name) [CCN]+TX, emamectin (291)+TX, emamectin benzoate (291)+TX, eprinomectin (alternative name) [CCN]+TX, ivermectin (alternative name) [CCN]+TX, milbemycin oxime (alternative name) [CCN]+TX, moxidectin (alternative name) [CCN]+TX, piperazine [CCN]+TX, selamectin (alternative name) [CCN]+TX, spinosad (737) and thiophanate (1435)+TX,

an avicide selected from the group of substances consisting of chloralose (127)+TX, endrin (1122)+TX, fenthion (346)+TX, pyridin-4-amine (IUPAC name) (23) and strychnine (745)+TX,

a bactericide selected from the group of substances consisting of 1-hydroxy-1H-pyridine-2-thione (IUPAC name) (1222)+TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide (IUPAC name) (748)+TX, 8-hydroxyquinoline sulfate (446)+TX, bronopol (97)+TX, copper diocanoate (IUPAC name) (170)+TX, copper hydroxide (IUPAC name) (169)+TX, cresol [CCN]+TX, dichlorophen (232)+TX, dipyrithione (1105)+TX, dodicin (1112)+TX, fenaminosulf (1144)+TX, formaldehyde (404)+TX, hydrargaphen (alternative name) [CCN]+TX, kasugamycin (483)+TX, kasugamycin hydrochloride hydrate (483)+TX, nickel bis(dimethyldithiocarbamate) (IUPAC name) (1308)+TX, nitrapyrin (580)+TX, oethilinone (590)+TX, oxolinic acid (606)+TX, oxytetracycline (611)+TX, potassium hydroxyquinoline sulfate (446)+TX, probenazole (658)+TX, streptomycin (744)+TX, streptomycin sesquisulfate (744)+TX, tecloftalam (766)+TX, and thiomersal (alternative name) [CCN]+TX,

a biological agent selected from the group of substances consisting of *Adoxophyes orana* GV (alternative name) (12)+TX, *Agrobacterium radiobacter* (alternative name) (13)+TX, *Amblyseius* spp. (alternative name) (19)+TX, *Anagrapta falcifera* NPV (alternative name) (28)+TX, *Anagrus atomus* (alternative name) (29)+TX, *Aphelinus abdominalis* (alternative name) (33)+TX, *Aphidius colemani* (alternative name) (34)+TX, *Aphidoletes aphidimyza* (alternative name) (35)+TX, *Autographa californica* NPV (alternative name) (38)+

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TX, *Bacillus firmus* (alternative name) (48)+TX, *Bacillus sphaericus* Neide (scientific name) (49)+TX, *Bacillus thuringiensis* Berliner (scientific name) (51)+TX, *Bacillus thuringiensis* subsp. *aizawai* (scientific name) (51)+TX, *Bacillus thuringiensis* subsp. *israelensis* (scientific name) (51)+TX, *Bacillus thuringiensis* subsp. *japonensis* (scientific name) (51)+TX, *Bacillus thuringiensis* subsp. *kurstaki* (scientific name) (51)+TX, *Bacillus thuringiensis* subsp. *tenebrionis* (scientific name) (51)+TX, *Beauveria brongniartii* (alternative name) (53)+TX, *Chrysoperla carnea* (alternative name) (151)+TX, *Cryptolaemus montrouzieri* (alternative name) (178)+TX, *Cydia pomonella* GV (alternative name) (191)+TX, *Dacnusa sibirica* (alternative name) (212)+TX, *Diglyphus isaea* (alternative name) (254)+TX, *Encarsia formosa* (scientific name) (293)+TX, *Eretmocerus eremicus* (alternative name) (300)+TX, *Helicoverpa zea* NPV (alternative name) (431)+TX, *Heterorhabditis bacteriophora* and *H. megidis* (alternative name) (433)+TX, *Hippodamia convergens* (alternative name) (442)+TX, *Leptomastix dactylopii* (alternative name) (488)+TX, *Macrolophus caliginosus* (alternative name) (491)+TX, *Mamestra brassicae* NPV (alternative name) (494)+TX, *Metaphycus helvolus* (alternative name) (522)+TX, *Metarhizium anisopliae* var. *acridum* (scientific name) (523)+TX, *Metarhizium anisopliae* var. *anisopliae* (scientific name) (523)+TX, *Neodiprion sertifer* NPV and *N. lecontei* NPV (alternative name) (575)+TX, *Orius* spp. (alternative name) (596)+TX, *Paecilomyces fumosoroseus* (alternative name) (613)+TX, *Phytoseiulus persimilis* (alternative name) (644)+TX, *Spodoptera exigua* multicapsid nuclear polyhedrosis virus (scientific name) (741)+TX, *Steinemema bibionis* (alternative name) (742)+TX, *Steinemema carpocapsae* (alternative name) (742)+TX, *Steinemema feliae* (alternative name) (742)+TX, *Steinemema glaseri* (alternative name) (742)+TX, *Steinemema riobrave* (alternative name) (742)+TX, *Steinemema riobravus* (alternative name) (742)+TX, *Steinemema scapterisci* (alternative name) (742)+TX, *Steinemema* spp. (alternative name) (742)+TX, *Trichogramma* spp. (alternative name) (826)+TX, *Typhlodromus occidentalis* (alternative name) (844) and *Verticillium lecanii* (alternative name) (848)+TX,

a soil sterilant selected from the group of substances consisting of iodomethane (IUPAC name) (542) and methyl bromide (537)+TX,

a chemosterilant selected from the group of substances consisting of apholate [CCN]+TX, bisazir (alternative name) [CCN]+TX, busulfan (alternative name) [CCN]+TX, diflubenzuron (250)+TX, dimatif (alternative name) [CCN]+TX, hemel [CCN]+TX, hempa [CCN]+TX, metepa [CCN]+TX, methiotepa [CCN]+TX, methyl apholate [CCN]+TX, morzid [CCN]+TX, penfluoron (alternative name) [CCN]+TX, tepa [CCN]+TX, thiohempa (alternative name) [CCN]+TX, thiotepa (alternative name) [CCN]+TX, tretamine (alternative name) [CCN] and uredepa (alternative name) [CCN]+TX,

an insect pheromone selected from the group of substances consisting of (E)-dec-5-en-1-yl acetate with (E)-dec-5-en-1-ol (IUPAC name) (222)+TX, (E)-tridec-4-en-1-yl acetate (IUPAC name) (829)+TX, (E)-6-methylhept-2-en-4-ol (IUPAC name) (541)+TX, (E,Z)-tetradeca-4,10-dien-1-yl acetate (IUPAC name) (779)+TX, (Z)-dodec-7-en-1-yl acetate (IUPAC name) (285)+TX, (Z)-

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hexadec-11-enal (IUPAC name) (436)+TX, (Z)-hexadec-11-en-1-yl acetate (IUPAC name) (437)+TX, (Z)-hexadec-13-en-11-yn-1-yl acetate (IUPAC name) (438)+TX, (Z)-icos-13-en-10-one (IUPAC name) (448)+TX, (Z)-tetradec-7-en-1-ol (IUPAC name) (782)+TX, (Z)-tetradec-9-en-1-ol (IUPAC name) (783)+TX, (Z)-tetradec-9-en-1-yl acetate (IUPAC name) (784)+TX, (7E,9Z)-dodeca-7,9-dien-1-yl acetate (IUPAC name) (283)+TX, (9Z,11E)-tetradeca-9,11-dien-1-yl acetate (IUPAC name) (780)+TX, (9Z,12E)-tetradeca-9,12-dien-1-yl acetate (IUPAC name) (781)+TX, 14-methyloctadec-1-ene (IUPAC name) (545)+TX, 4-methylnonan-5-ol with 4-methylnonan-5-one (IUPAC name) (544)+TX, alpha-multistriatin (alternative name) [CCN]+TX, brevicomin (alternative name) [CCN]+TX, codlelure (alternative name) [CCN]+TX, codlemone (alternative name) (167)+TX, cuelure (alternative name) (179)+TX, disparlure (277)+TX, dodec-8-en-1-yl acetate (IUPAC name) (286)+TX, dodec-9-en-1-yl acetate (IUPAC name) (287)+TX, dodeca-8+TX, 10-dien-1-yl acetate (IUPAC name) (284)+TX, dominicallure (alternative name) [CCN]+TX, ethyl 4-methyl octanoate (IUPAC name) (317)+TX, eugenol (alternative name) [CCN]+TX, frontaline (alternative name) [CCN]+TX, gossypolure (alternative name) (420)+TX, grandlure (421)+TX, grandlure I (alternative name) (421)+TX, grandlure II (alternative name) (421)+TX, grandlure III (alternative name) (421)+TX, grandlure IV (alternative name) (421)+TX, hexylure [CCN]+TX, ipsdienol (alternative name) [CCN]+TX, ipsenol (alternative name) [CCN]+TX, japonilure (alternative name) (481)+TX, lineatin (alternative name) [CCN]+TX, lithure (alternative name) [CCN]+TX, looplure (alternative name) [CCN]+TX, medlure [CCN]+TX, megatomoic acid (alternative name) [CCN]+TX, methyl eugenol (alternative name) (526)+TX, muscalure (563)+TX, octadeca-2,13-dien-1-yl acetate (IUPAC name) (588)+TX, octadeca-3,13-dien-1-yl acetate (IUPAC name) (589)+TX, orfralure (alternative name) [CCN]+TX, oryctalure (alternative name) (317)+TX, ostramone (alternative name) [CCN]+TX, siglure [CCN]+TX, sordidin (alternative name) (736)+TX, sulcatol (alternative name) [CCN]+TX, tetradec-11-en-1-yl acetate (IUPAC name) (785)+TX, trimedlure (839)+TX, trimedlure A (alternative name) (839)+TX, trimedlure B₁ (alternative name) (839)+TX, trimedlure B₂ (alternative name) (839)+TX, trimedlure C (alternative name) (839) and trunc-call (alternative name) [CCN]+TX,

an insect repellent selected from the group of substances consisting of 2-(octylthio)-ethanol (IUPAC name) (591)+TX, butopyronoxyl (933)+TX, butoxy(polypropylene glycol) (936)+TX, dibutyl adipate (IUPAC name) (1046)+TX, dibutyl phthalate (1047)+TX, dibutyl succinate (IUPAC name) (1048)+TX, diethyltoluamide [CCN]+TX, dimethyl carbate [CCN]+TX, dimethyl phthalate [CCN]+TX, ethyl hexanediol (1137)+TX, hexamide [CCN]+TX, methoquin-butyl (1276)+TX, methylneodecanamide [CCN]+TX, oxamate [CCN] and picaridin [CCN]+TX,

an insecticide selected from the group of substances consisting of 1-dichloro-1-nitroethane (IUPAC/Chemical Abstracts name) (1058)+TX, 1,1-dichloro-2,2-bis(4-ethylphenyl)ethane (IUPAC name) (1056), +TX, 1,2-dichloropropane (IUPAC/Chemical Abstracts name) (1062)+TX, 1,2-dichloropropane with 1,3-dichloropropene (IUPAC name) (1063)+TX, 1-bromo-2-chloroethane (IUPAC/Chemical Abstracts name) (916)+TX, 2,2,

2-trichloro-1-(3,4-dichlorophenyl)ethyl acetate (IUPAC name) (1451)+TX, 2,2-dichlorovinyl 2-ethylsulfinyethyl methyl phosphate (IUPAC name) (1066)+TX, 2-(1,3-dithiolan-2-yl)phenyl dimethylcarbamate (IUPAC/Chemical Abstracts name) (1109)+TX, 2-(2-butoxyethoxy)ethyl thiocyanate (IUPAC/Chemical Abstracts name) (935)+TX, 2-(4,5-dimethyl-1,3-dioxolan-2-yl)phenyl methylcarbamate (IUPAC/Chemical Abstracts name) (1084)+TX, 2-(4-chloro-3,5-xylyloxy) ethanol (IUPAC name) (986)+TX, 2-chlorovinyl diethyl phosphate (IUPAC name) (984)+TX, 2-imidazolidone (IUPAC name) (1225)+TX, 2-isovalerylindan-1,3-dione (IUPAC name) (1246)+TX, 2-methyl(prop-2-ynyl) aminophenyl methylcarbamate (IUPAC name) (1284)+TX, 2-thiocyanatoethyl laurate (IUPAC name) (1433)+TX, 3-bromo-1-chloroprop-1-ene (IUPAC name) (917)+TX, 3-methyl-1-phenylpyrazol-5-yl dimethylcarbamate (IUPAC name) (1283)+TX, 4-methyl(prop-2-ynyl)amino-3,5-xylyl methylcarbamate (IUPAC name) (1285)+TX, 5,5-dimethyl-3-oxocyclohex-1-enyl dimethylcarbamate (IUPAC name) (1085)+TX, abamectin (1)+TX, acephate (2)+TX, acetamiprid (4)+TX, acethion (alternative name) [CCN]+TX, acetoprole [CCN]+TX, acrinathrin (9)+TX, acrylonitrile (IUPAC name) (861)+TX, alanycarb (15)+TX, aldicarb (16)+TX, aldoxycarb (863)+TX, aldrin (864)+TX, allethrin (17)+TX, allosamidin (alternative name) [CCN]+TX, allyxcarb (866)+TX, alpha-cypermethrin (202)+TX, alpha-ecdysone (alternative name) [CCN]+TX, aluminium phosphide (640)+TX, amidithion (870)+TX, amidithioate (872)+TX, aminocarb (873)+TX, amiton (875)+TX, amiton hydrogen oxalate (875)+TX, amitraz (24)+TX, anabasine (877)+TX, athidathion (883)+TX, AVI 382 (compound code)+TX, AZ 60541 (compound code)+TX, azadirachtin (alternative name) (41)+TX, azamethiphos (42)+TX, azinphos-ethyl (44)+TX, azinphos-methyl (45)+TX, azothoate (889)+TX, *Bacillus thuringiensis* delta endotoxins (alternative name) (52)+TX, barium hexafluorosilicate (alternative name) [CCN]+TX, barium polysulfide (IUPAC/Chemical Abstracts name) (892)+TX, barthrin [CCN]+TX, Bayer 22/190 (development code) (893)+TX, Bayer 22408 (development code) (894)+TX, bendiocarb (58)+TX, benfuracarb (60)+TX, bensultap (66)+TX, beta-cyfluthrin (194)+TX, beta-cypermethrin (203)+TX, bifenthrin (76)+TX, bioallethrin (78)+TX, bioallethrin S-cyclopentenyl isomer (alternative name) (79)+TX, bioethanomethrin [CCN]+TX, biopermethrin (908)+TX, bioresmethrin (80)+TX, bis(2-chloroethyl) ether (IUPAC name) (909)+TX, bistrifluoron (83)+TX, borax (86)+TX, brofenvalerate (alternative name)+TX, bromfeninfos (914)+TX, bromocyclen (918)+TX, bromo-DDT (alternative name) [CCN]+TX, bromophos (920)+TX, bromophos-ethyl (921)+TX, bufencarb (924)+TX, buprofezin (99)+TX, butacarb (926)+TX, butathiofos (927)+TX, butocarboxim (103)+TX, butonate (932)+TX, butoxycarboxim (104)+TX, butylpyridaben (alternative name)+TX, cadusafos (109)+TX, calcium arsenate [CCN]+TX, calcium cyanide (444)+TX, calcium polysulfide (IUPAC name) (111)+TX, camphechlor (941)+TX, carbanolate (943)+TX, carbaryl (115)+TX, carbofuran (118)+TX, carbon disulfide (IUPAC/Chemical Abstracts name) (945)+TX, carbon tetrachloride (IUPAC name) (946)+TX, carbophenothion (947)+TX, carbosulfan (119)+TX, cartap (123)+TX, cartap hydrochloride (123)+TX, cevadine (alternative name) (725)+TX, chlorbicyclen (960)+TX,

chlordane (128)+TX, chlordecone (963)+TX, chlordimeform (964)+TX, chlordimeform hydrochloride (964)+TX, chlorethoxyfos (129)+TX, chlorfenapyr (130)+TX, chlorfenvinphos (131)+TX, chlorfluazuron (132)+TX, chlormephos (136)+TX, chloroform [CCN]+TX, chloropicrin (141)+TX, chlorphoxim (989)+TX, chlorprazophos (990)+TX, chlorpyrifos (145)+TX, chlorpyrifos-methyl (146)+TX, chlorthiophos (994)+TX, chromafenozide (150)+TX, cinerin I (696)+TX, cinerin II (696)+TX, cinerins (696)+TX, cisresmethrin (alternative name)+TX, cismethrin (80)+TX, clocythrin (alternative name)+TX, cloethocarb (999)+TX, closantel (alternative name) [CCN]+TX, clothianidin (165)+TX, copper acetoarsenite [CCN]+TX, copper arsenate [CCN]+TX, copper oleate [CCN]+TX, coumaphos (174)+TX, coumithoate (1006)+TX, crotamiton (alternative name) [CCN]+TX, crotoxyphos (1010)+TX, crufomate (1011)+TX, cryolite (alternative name) (177)+TX, CS 708 (development code) (1012)+TX, cyanofenphos (1019)+TX, cyanophos (184)+TX, cyanthoate (1020)+TX, cyclethrin [CCN]+TX, cycloprothrin (188)+TX, cyfluthrin (193)+TX, cyhalothrin (196)+TX, cypermethrin (201)+TX, cyphenothrin (206)+TX, cyromazine (209)+TX, cythioate (alternative name) [CCN]+TX, d-limonene (alternative name) [CCN]+TX, d-tetramethrin (alternative name) (788)+TX, DAEP (1031)+TX, dazomet (216)+TX, DDT (219)+TX, decarbofuran (1034)+TX, deltamethrin (223)+TX, demephion (1037)+TX, demephion-O (1037)+TX, demephion-S (1037)+TX, demeton (1038)+TX, demeton-methyl (224)+TX, demeton-O (1038)+TX, demeton-O-methyl (224)+TX, demeton-S (1038)+TX, demeton-5-methyl (224)+TX, demeton-5-methylsulphon (1039)+TX, diafenthiuron (226)+TX, dialifos (1042)+TX, diamidafos (1044)+TX, diazinon (227)+TX, dicapthion (1050)+TX, dichlofenthion (1051)+TX, dichlorvos (236)+TX, dicliphos (alternative name)+TX, dicresyl (alternative name) [CCN]+TX, dicrotophos (243)+TX, dicyclanil (244)+TX, dieldrin (1070)+TX, diethyl 5-methylpyrazol-3-yl phosphate (IUPAC name) (1076)+TX, diflubenzuron (250)+TX, dilor (alternative name) [CCN]+TX, dimefluthrin [CCN]+TX, dimefox (1081)+TX, dimetan (1085)+TX, dimethoate (262)+TX, dimethrin (1083)+TX, dimethylvinphos (265)+TX, dimetilan (1086)+TX, dinex (1089)+TX, dinex-diclexine (1089)+TX, dinoprop (1093)+TX, dinosam (1094)+TX, dinoseb (1095)+TX, dinotefuran (271)+TX, diofenolan (1099)+TX, dioxabenzofos (1100)+TX, dioxacarb (1101)+TX, dioxathion (1102)+TX, disulfoton (278)+TX, dithicrofos (1108)+TX, DNOC (282)+TX, doramectin (alternative name) [CCN]+TX, DSP (1115)+TX, ecdysterone (alternative name) [CCN]+TX, EI 1642 (development code) (1118)+TX, emamectin (291)+TX, emamectin benzoate (291)+TX, EMPC (1120)+TX, empenthrin (292)+TX, endosulfan (294)+TX, endothion (1121)+TX, endrin (1122)+TX, EPBP (1123)+TX, EPN (297)+TX, epofenonane (1124)+TX, eprinomectin (alternative name) [CCN]+TX, esfenvalerate (302)+TX, etaphos (alternative name) [CCN]+TX, ethiofencarb (308)+TX, ethion (309)+TX, ethiprole (310)+TX, ethoate-methyl (1134)+TX, ethoprophos (312)+TX, ethyl formate (IUPAC name) [CCN]+TX, ethyl-DDD (alternative name) (1056)+TX, ethylene dibromide (316)+TX, ethylene dichloride (chemical name) (1136)+TX, ethylene oxide [CCN]+TX, etofenprox (319)+TX, etrimfos (1142)+TX, EXD (1143)+TX, fam-

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phur (323)+TX, fenamiphos (326)+TX, fenazaflor (1147)+TX, fenchlorphos (1148)+TX, fenethacarb (1149)+TX, fenfluthrin (1150)+TX, fenitrothion (335)+TX, fenobucarb (336)+TX, fenoxacrim (1153)+TX, fenoxycarb (340)+TX, fenspirithrin (1155)+TX, fenpropathrin (342)+TX, fenpyrad (alternative name)+TX, fensulfothion (1158)+TX, fenthion (346)+TX, fenthion-ethyl [CCN]+TX, fenvalerate (349)+TX, fipronil (354)+TX, flonicamid (358)+TX, flubendiamide (CAS. Reg. No.: 272451-65-7)+TX, flucifurion (1168)+TX, flucycloxuron (366)+TX, flucythrinate (367)+TX, fluenetil (1169)+TX, flufenimerim [CCN]+TX, flufenoxuron (370)+TX, flufenprox (1171)+TX, flumethrin (372)+TX, fluvalinate (1184)+TX, FMC 1137 (development code) (1185)+TX, fonofos (1191)+TX, formetanate (405)+TX, formetanate hydrochloride (405)+TX, formothion (1192)+TX, formparanate (1193)+TX, fosmethilan (1194)+TX, fospirate (1195)+TX, fosthiazate (408)+TX, fosthietan (1196)+TX, furathiocarb (412)+TX, furethrin (1200)+TX, gamma-cyhalothrin (197)+TX, gamma-HCH (430)+TX, guazatine (422)+TX, guazatine acetates (422)+TX, GY-81 (development code) (423)+TX, halfenprox (424)+TX, halofenozide (425)+TX, HCH (430)+TX, HEOD (1070)+TX, heptachlor (1211)+TX, heptenophos (432)+TX, heterophos [CCN]+TX, hexaflumuron (439)+TX, HHDN (864)+TX, hydramethylnon (443)+TX, hydrogen cyanide (444)+TX, hydroprene (445)+TX, hyquincarb (1223)+TX, imidacloprid (458)+TX, imiprothrin (460)+TX, indoxacarb (465)+TX, iodomethane (IUPAC name) (542)+TX, IPSP (1229)+TX, isazofos (1231)+TX, isobenzan (1232)+TX, isocarbophos (alternative name) (473)+TX, isodrin (1235)+TX, isofenphos (1236)+TX, isolane (1237)+TX, isoprocab (472)+TX, isopropyl O-(methoxyaminothiophosphoryl)salicylate (IUPAC name) (473)+TX, isoprothiolane (474)+TX, isothioate (1244)+TX, isoxathion (480)+TX, ivermectin (alternative name) [CCN]+TX, jasmolin I (696)+TX, jasmolin II (696)+TX, jodfenphos (1248)+TX, juvenile hormone I (alternative name) [CCN]+TX, juvenile hormone II (alternative name) [CCN]+TX, juvenile hormone III (alternative name) [CCN]+TX, kelevan (1249)+TX, kinoprene (484)+TX, lambda-cyhalothrin (198)+TX, lead arsenate [CCN]+TX, lepimectin (CCN)+TX, leptophos (1250)+TX, lindane (430)+TX, lirimfos (1251)+TX, lufenuron (490)+TX, lythidathion (1253)+TX, m-cumenyl methylcarbamate (IUPAC name) (1014)+TX, magnesium phosphide (IUPAC name) (640)+TX, malathion (492)+TX, malonoben (1254)+TX, mazidox (1255)+TX, mecarbam (502)+TX, mecarphon (1258)+TX, menazon (1260)+TX, mephosfolan (1261)+TX, mercurous chloride (513)+TX, mesulfenfos (1263)+TX, metaflumizone (CCN)+TX, metam (519)+TX, metam-potassium (alternative name) (519)+TX, metam-sodium (519)+TX, methacrifos (1266)+TX, methamidophos (527)+TX, methanesulfonyl fluoride (IUPAC/Chemical Abstracts name) (1268)+TX, methidathion (529)+TX, methiocarb (530)+TX, methocrotophos (1273)+TX, methomyl (531)+TX, methoprene (532)+TX, methoquin-butyl (1276)+TX, methothrin (alternative name) (533)+TX, methoxychlor (534)+TX, methoxyfenozide (535)+TX, methyl bromide (537)+TX, methyl isothiocyanate (543)+TX, methylchloroform (alternative name) [CCN]+TX, methylene chloride [CCN]+TX, metofluthrin [CCN]+TX, metolcarb (550)+TX, metoxadiazone (1288)+TX, mevinphos (556)+TX, mexacar-

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bate (1290)+TX, milbemectin (557)+TX, milbemycin oxime (alternative name) [CCN]+TX, mipafox (1293)+TX, mirex (1294)+TX, monocrotophos (561)+TX, morphothion (1300)+TX, moxidectin (alternative name) [CCN]+TX, naftalofos (alternative name) [CCN]+TX, naled (567)+TX, naphthalene (IUPAC/Chemical Abstracts name) (1303)+TX, NC-170 (development code) (1306)+TX, NC-184 (compound code)+TX, nicotine (578)+TX, nicotine sulfate (578)+TX, nifluridide (1309)+TX, nitenpyram (579)+TX, nithiazine (1311)+TX, nitrilacarb (1526)+TX, nitrilacarb 1:1 zinc chloride complex (1526)+TX, NNI-0101 (compound code)+TX, NNI-0250 (compound code)+TX, nornicotine (traditional name) (1319)+TX, novaluron (585)+TX, noviflururon (586)+TX, O-5-dichloro-4-iodophenyl O-ethyl ethylphosphonothioate (IUPAC name) (1057)+TX, O,O-diethyl O-4-methyl-2-oxo-2H-chromen-7-yl phosphorothioate (IUPAC name) (1074)+TX, O,O-diethyl O-6-methyl-2-propylpyrimidin-4-yl phosphorothioate (IUPAC name) (1075)+TX, O,O,O',O'-tetrapropyl dithiopyrophosphate (IUPAC name) (1424)+TX, oleic acid (IUPAC name) (593)+TX, omethoate (594)+TX, oxamyl (602)+TX, oxydemeton-methyl (609)+TX, oxydeprofos (1324)+TX, oxydisulfoton (1325)+TX, pp'-DDT (219)+TX, para-dichlorobenzene [CCN]+TX, parathion (615)+TX, parathion-methyl (616)+TX, penfluron (alternative name) [CCN]+TX, pentachlorophenol (623)+TX, pentachlorophenyl laurate (IUPAC name) (623)+TX, permethrin (626)+TX, petroleum oils (alternative name) (628)+TX, PH 60-38 (development code) (1328)+TX, phenkapton (1330)+TX, phenothrin (630)+TX, phenthoate (631)+TX, phorate (636)+TX, phosalone (637)+TX, phosfolan (1338)+TX, phosmet (638)+TX, phosnichlor (1339)+TX, phosphamidon (639)+TX, phosphine (IUPAC name) (640)+TX, phoxim (642)+TX, phoxim-methyl (1340)+TX, pirimetaphos (1344)+TX, pirimicarb (651)+TX, pirimiphos-ethyl (1345)+TX, pirimiphos-methyl (652)+TX, polychlorodicyclopentadiene isomers (IUPAC name) (1346)+TX, polychloroterpenes (traditional name) (1347)+TX, potassium arsenite [CCN]+TX, potassium thiocyanate [CCN]+TX, prallethrin (655)+TX, precocene I (alternative name) [CCN]+TX, precocene II (alternative name) [CCN]+TX, precocene III (alternative name) [CCN]+TX, primidophos (1349)+TX, profenofos (662)+TX, profluthrin [CCN]+TX, promacyl (1354)+TX, promecarb (1355)+TX, propaphos (1356)+TX, propetamphos (673)+TX, propoxur (678)+TX, prothidathion (1526)+TX, prothiofos (686)+TX, prothoate (1362)+TX, protrifenbutate [CCN]+TX, pymetrozine (688)+TX, pyraclofos (689)+TX, pyrazophos (693)+TX, pyresmethrin (1367)+TX, pyrethrin I (696)+TX, pyrethrin II (696)+TX, pyrethrins (696)+TX, pyridaben (699)+TX, pyridalyl (700)+TX, pyridaphenthion (701)+TX, pyrimidifen (706)+TX, pyrimitate (1370)+TX, pyriproxyfen (708)+TX, quassia (alternative name) [CCN]+TX, quinalphos (711)+TX, quinalphos-methyl (1376)+TX, quinothion (1380)+TX, quintiofos (1381)+TX, R-1492 (development code) (1382)+TX, rafoxanide (alternative name) [CCN]+TX, resmethrin (719)+TX, rotenone (722)+TX, RU 15525 (development code) (723)+TX, RU 25475 (development code) (1386)+TX, ryania (alternative name) (1387)+TX, ryanodine (traditional name) (1387)+TX, sabadilla (alternative name) (725)+TX, schradan (1389)+TX, sebufos (alternative name)+TX, selamectin (alternative name) [CCN]+TX, SI-0009 (compound

code)+TX, SI-0205 (compound code)+TX, SI-0404 (compound code)+TX, SI-0405 (compound code)+TX, silafluofen (728)+TX, SN 72129 (development code) (1397)+TX, sodium arsenite [CCN]+TX, sodium cyanide (444)+TX, sodium fluoride (IUPAC/Chemical Abstracts name) (1399)+TX, sodium hexafluorosilicate (1400)+TX, sodium pentachlorophenoxide (623)+TX, sodium selenate (IUPAC name) (1401)+TX, sodium thiocyanate [CCN]+TX, sophamide (1402)+TX, spinosad (737)+TX, spiromesifen (739)+TX, spirotetramat (CCN)+TX, sulcofuron (746)+TX, sulcofuron-sodium (746)+TX, sulfluramid (750)+TX, sulfotep (753)+TX, sulfuryl fluoride (756)+TX, sulprofos (1408)+TX, tar oils (alternative name) (758)+TX, tau-fluvalinate (398)+TX, tazimcarb (1412)+TX, TDE (1414)+TX, tebufenozide (762)+TX, tebufenpyrad (763)+TX, tebufirimfos (764)+TX, teflubenzuron (768)+TX, tefluthrin (769)+TX, temephos (770)+TX, TEPP (1417)+TX, terallethrin (1418)+TX, terbam (alternative name)+TX, terbufos (773)+TX, tetrachloroethane [CCN]+TX, tetrachlorvinphos (777)+TX, tetramethrin (787)+TX, theta-cypermethrin (204)+TX, thiacloprid (791)+TX, thiafenox (alternative name)+TX, thiamethoxam (792)+TX, thicofos (1428)+TX, thiocarbonyl (1431)+TX, thiocyclam (798)+TX, thiocyclam hydrogen oxalate (798)+TX, thiodicarb (799)+TX, thiofanox (800)+TX, thiometon (801)+TX, thionazin (1434)+TX, thiosultap (803)+TX, thiosultap-sodium (803)+TX, thuringiensin (alternative name) [CCN]+TX, tolfenpyrad (809)+TX, tralomethrin (812)+TX, transfluthrin (813)+TX, transpermethrin (1440)+TX, triamiphos (1441)+TX, triazamate (818)+TX, triazophos (820)+TX, triazuron (alternative name)+TX, trichlorfon (824)+TX, trichlorometaphos-3 (alternative name) [CCN]+TX, trichloronat (1452)+TX, trifenofos (1455)+TX, triflumuron (835)+TX, trimethacarb (840)+TX, triprene (1459)+TX, vamidothion (847)+TX, vaniliprole [CCN]+TX, veratridine (alternative name) (725)+TX, veratrine (alternative name) (725)+TX, XMC (853)+TX, xylylcarb (854)+TX, YI-5302 (compound code)+TX, zeta-cypermethrin (205)+TX, zetamethrin (alternative name)+TX, zinc phosphide (640)+TX, zolaprofos (1469) and ZXI 8901 (development code) (858)+TX,

a molluscicide selected from the group of substances consisting of bis(tributyltin) oxide (IUPAC name) (913)+TX, bromoacetamide [CCN]+TX, calcium arsenate [CCN]+TX, cloethocarb (999)+TX, copper acetoarsenite [CCN]+TX, copper sulfate (172)+TX, fentin (347)+TX, ferric phosphate (IUPAC name) (352)+TX, metaldehyde (518)+TX, methiocarb (530)+TX, niclosamide (576)+TX, niclosamide-olamine (576)+TX, pentachlorophenol (623)+TX, sodium pentachlorophenoxide (623)+TX, tazimcarb (1412)+TX, thiodicarb (799)+TX, tributyltin oxide (913)+TX, trifenmorph (1454)+TX, trimethacarb (840)+TX, triphenyltin acetate (IUPAC name) (347) and triphenyltin hydroxide (IUPAC name) (347)+TX,

a nematicide selected from the group of substances consisting of AKD-3088 (compound code)+TX, 1,2-dibromo-3-chloropropane (IUPAC/Chemical Abstracts name) (1045)+TX, 1,2-dichloropropane (IUPAC/Chemical Abstracts name) (1062)+TX, 1,2-dichloropropane with 1,3-dichloropropene (IUPAC name) (1063)+TX, 1,3-dichloropropene (233)+TX, 3,4-dichlorotetrahydrothiophene 1,1-dioxide (IUPAC/Chemical Abstracts name) (1065)+TX, 3-(4-chlorophe-

nyl)-5-methylrhodanine (IUPAC name) (980)+TX, 5-methyl-6-thioxo-1,3,5-thiadiazinan-3-ylacetic acid (IUPAC name) (1286)+TX, 6-isopentenylaminopurine (alternative name) (210)+TX, abamectin (1)+TX, acetoprole [CCN]+TX, alanycarb (15)+TX, aldicarb (16)+TX, aldoxycarb (863)+TX, AZ 60541 (compound code)+TX, benclotiaz [CCN]+TX, benomyl (62)+TX, butylpyridaben (alternative name)+TX, cadusafos (109)+TX, carbofuran (118)+TX, carbon disulfide (945)+TX, carbosulfan (119)+TX, chloropicrin (141)+TX, chlorpyrifos (145)+TX, cloethocarb (999)+TX, cytokinins (alternative name) (210)+TX, dazomet (216)+TX, DBCP (1045)+TX, DCIP (218)+TX, diamidafos (1044)+TX, dichlofenthion (1051)+TX, dicliphos (alternative name)+TX, dimethoate (262)+TX, doramectin (alternative name) [CCN]+TX, emamectin (291)+TX, emamectin benzoate (291)+TX, epinomectin (alternative name) [CCN]+TX, ethoprophos (312)+TX, ethylene dibromide (316)+TX, fenamiphos (326)+TX, fenpyrad (alternative name)+TX, fensulfothion (1158)+TX, fosthiazate (408)+TX, fosthietan (1196)+TX, furfural (alternative name) [CCN]+TX, GY-81 (development code) (423)+TX, heterophos [CCN]+TX, iodomethane (IUPAC name) (542)+TX, isamidofos (1230)+TX, isazofos (1231)+TX, ivermectin (alternative name) [CCN]+TX, kinetin (alternative name) (210)+TX, mecarphon (1258)+TX, metam (519)+TX, metam-potassium (alternative name) (519)+TX, metam-sodium (519)+TX, methyl bromide (537)+TX, methyl isothiocyanate (543)+TX, milbemycin oxime (alternative name) [CCN]+TX, moxidectin (alternative name) [CCN]+TX, *Myrothecium verrucaria* composition (alternative name) (565)+TX, NC-184 (compound code)+TX, oxamyl (602)+TX, phorate (636)+TX, phosphamidon (639)+TX, phosphocarb [CCN]+TX, sebufos (alternative name)+TX, selamectin (alternative name) [CCN]+TX, spinosad (737)+TX, terbam (alternative name)+TX, terbufos (773)+TX, tetrachlorothiophene (IUPAC/Chemical Abstracts name) (1422)+TX, thiafenox (alternative name)+TX, thionazin (1434)+TX, triazophos (820)+TX, triazuron (alternative name)+TX, xyleneols [CCN]+TX, YI-5302 (compound code) and zeatin (alternative name) (210)+TX,

a nitrification inhibitor selected from the group of substances consisting of potassium ethylxanthate [CCN] and nitrapyrin (580)+TX,

a plant activator selected from the group of substances consisting of acibenzolar (6)+TX, acibenzolar-5-methyl (6)+TX, probenazole (658) and *Reynoutria sachalinensis* extract (alternative name) (720)+TX,

a rodenticide selected from the group of substances consisting of 2-isovalerylindan-1,3-dione (IUPAC name) (1246)+TX, 4-(quinoxalin-2-ylamino)benzenesulfonamide (IUPAC name) (748)+TX, alpha-chlorohydrin [CCN]+TX, aluminium phosphide (640)+TX, antu (880)+TX, arsenous oxide (882)+TX, barium carbonate (891)+TX, bithiosemi (912)+TX, brodifacoum (89)+TX, bromadiolone (91)+TX, bromethalin (92)+TX, calcium cyanide (444)+TX, chloralose (127)+TX, chlorophacinone (140)+TX, cholecalciferol (alternative name) (850)+TX, coumachlor (1004)+TX, coumafuryl (1005)+TX, coumatetralyl (175)+TX, crimidine (1009)+TX, difenacoum (246)+TX, difethialone (249)+TX, diphacinone (273)+TX, ergocalciferol (301)+TX, flocoumafen (357)+TX, fluoroacetamide (379)+TX, flupropadine (1183)+TX, flupropadine hydrochloride (1183)+TX, gamma-HCH (430)+TX, HCH (430)+TX, hydrogen cyanide (444)+TX, iodomethane (IUPAC name) (542)+TX, lindane (430)+TX, magnesium phosphide (IUPAC name) (640)+TX, methyl bromide (537)+

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TX, norbormide (1318)+TX, phosacetim (1336)+TX, phosphine (IUPAC name) (640)+TX, phosphorus [CCN]+TX, pindone (1341)+TX, potassium arsenite [CCN]+TX, pyrinuron (1371)+TX, scilliroside (1390)+TX, sodium arsenite [CCN]+TX, sodium cyanide (444)+TX, sodium fluoroacetate (735)+TX, strychnine (745)+TX, thallium sulfate [CCN]+TX, warfarin (851) and zinc phosphide (640)+TX,

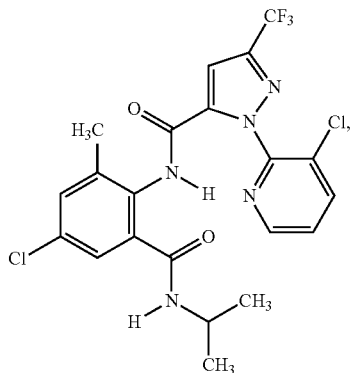
a synergist selected from the group of substances consisting of 2-(2-butoxyethoxy)-ethyl piperonylate (IUPAC name) (934)+TX, 5-(1,3-benzodioxol-5-yl)-3-hexylcyclohex-2-enone (IUPAC name) (903)+TX, farnesol with nerolidol (alternative name) (324)+TX, MB-599 (development code) (498)+TX, MGK 264 (development code) (296)+TX, piperonyl butoxide (649)+TX, piprotal (1343)+TX, propyl isomer (1358)+TX, S421 (development code) (724)+TX, sesamex (1393)+TX, sesamol (1394) and sulfoxide (1406)+TX,

an animal repellent selected from the group of substances consisting of anthraquinone (32)+TX, chloralose (127)+TX, copper naphthenate [CCN]+TX, copper oxychloride (171)+TX, diazinon (227)+TX, dicyclopentadiene (chemical name) (1069)+TX, guazatine (422)+TX, guazatine acetates (422)+TX, methiocarb (530)+TX, pyridin-4-amine (IUPAC name) (23)+TX, thiram (804)+TX, trimethacarb (840)+TX, zinc naphthenate [CCN] and ziram (856)+TX,

a virucide selected from the group of substances consisting of imanin (alternative name) [CCN] and ribavirin (alternative name) [CCN]+TX,

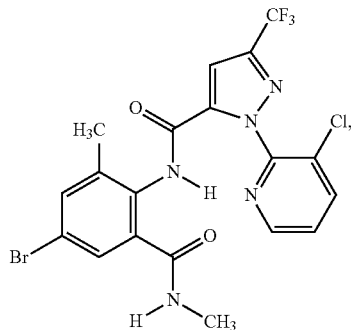
a wound protectant selected from the group of substances consisting of mercuric oxide (526)+TX, octhilinone (590) and thiophanate-methyl (802)+TX, an insecticide selected from the group consisting of the compound of

formula A-1



(A-1) + TX

the formula A-2

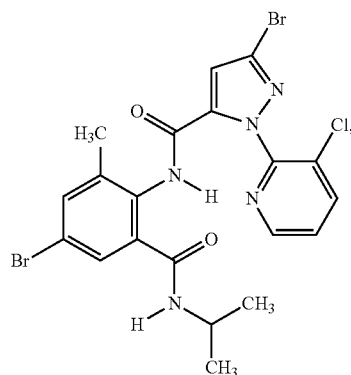


(A-2) + TX

290

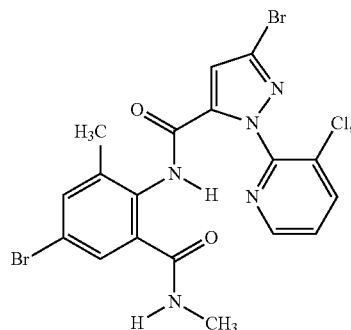
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the formula A-3



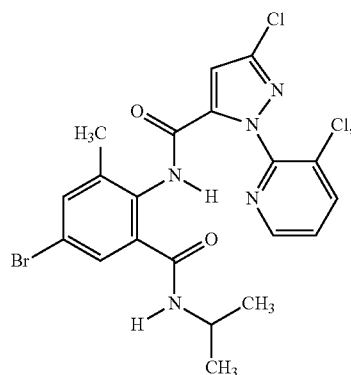
(A-3) + TX

the formula A-4



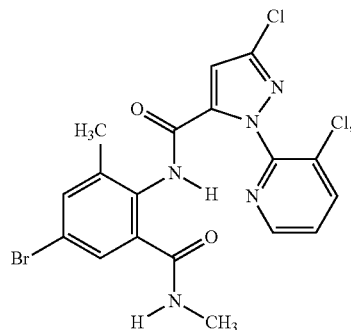
(A-4) + TX

the formula A-5



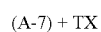
(A-5) + TX

the formula A-6

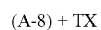


(A-6) + TX

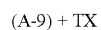
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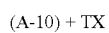
the formula A-8 20



the formula A-9

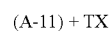


the formula A-10

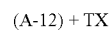


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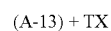
the formula A-11



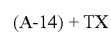
the formula A-12



the formula A-13

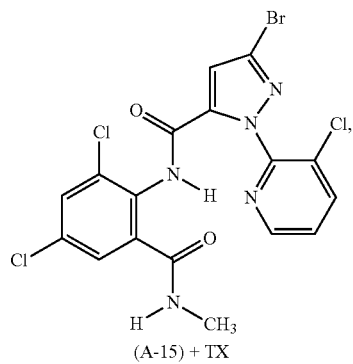


the formula A-14



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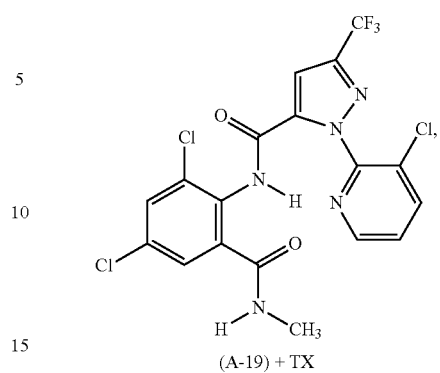
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the formula A-15

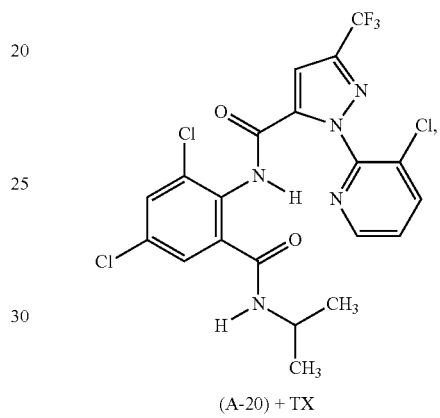
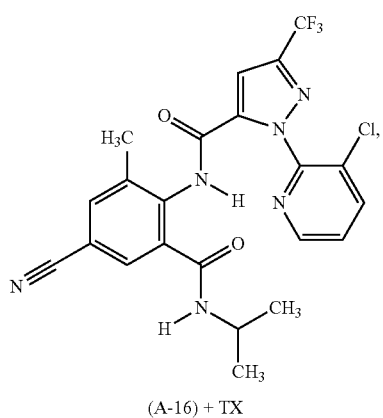
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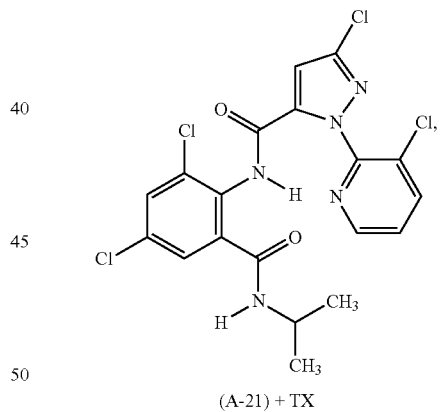
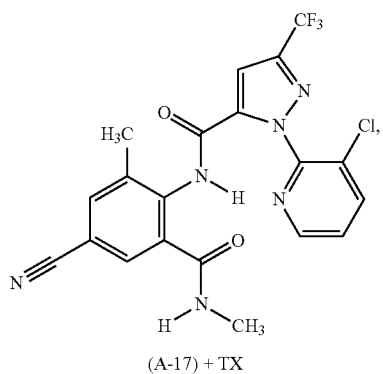
the formula A-19

the formula A-16



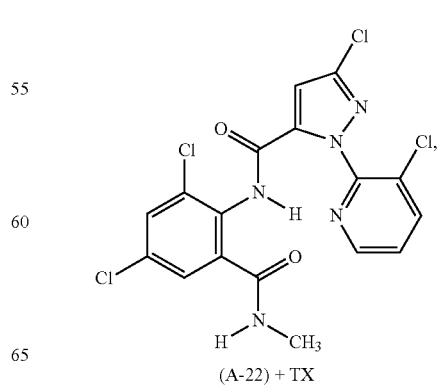
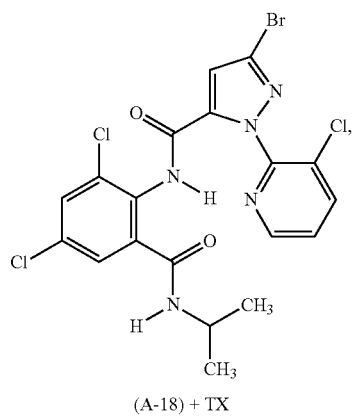
the formula A-20

the formula A-17



the formula A-21

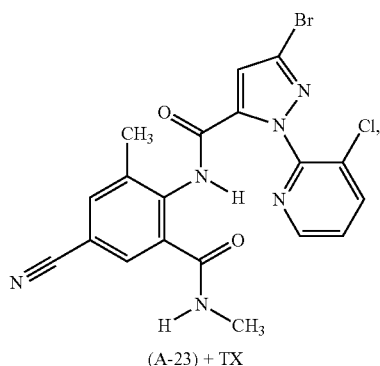
the formula A-18



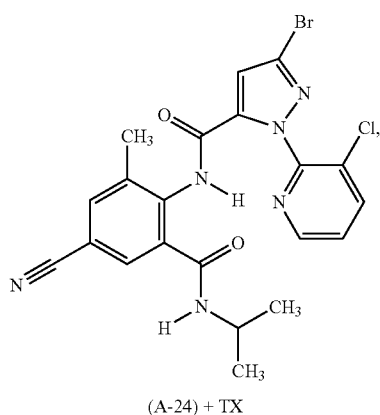
the formula A-22

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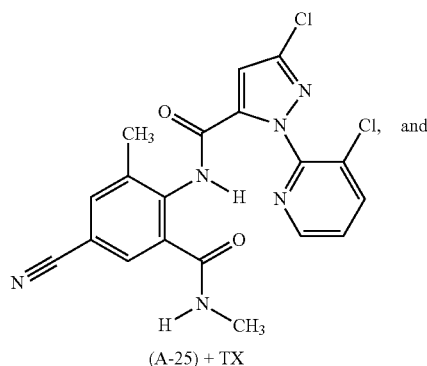
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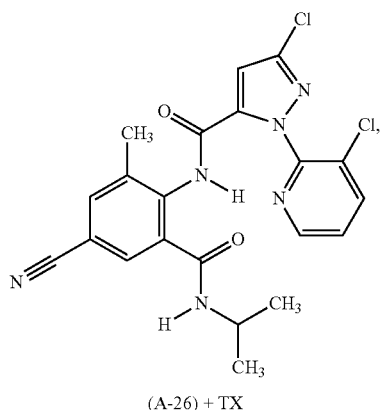
the formula A-23



the formula A-24



the formula A-25



the formula A-26

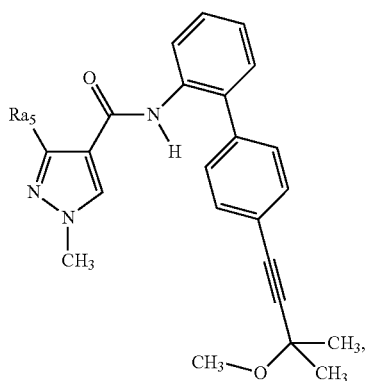
and biologically active compounds selected from the group consisting of glyphosate [1071-83-6] and its salts (diammo-

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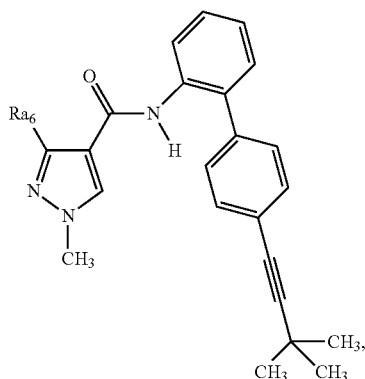
nium [69254-40-6]) isopropylammonium [38641-94-0], monoammonium [40465-66-5], potassium [70901-20-1], sesquisodium [70393-85-0], trimesium [81591-81-3]), glufofosinate [52676-47-2] and its salts (e.g. ammonium [77182-82-2], azaconazole (60207-31-0)+TX, bitertanol [70585-36-3]+TX, bromuconazole [116255-48-2]+TX, cyproconazole [94361-06-5]+TX, difenoconazole [119446-68-3]+TX, diniconazole [83657-24-3]+TX, epoxiconazole [106325-08-0]+TX, fenbuconazole [114369-43-6]+TX, fluquinconazole [136426-54-5]+TX, flusilazole [85509-19-9]+TX, flutriafol [76674-21-0]+TX, hexaconazole [79983-71-4]+TX, imazalil [35554-44-0]+TX, imibenconazole [86598-92-7]+TX, ipconazole [125225-28-7]+TX, metconazole [125116-23-6]+TX, myclobutanil [88671-89-0]+TX, pefurazoate [101903-30-4]+TX, penconazole [66246-88-6]+TX, prothioconazole [178928-70-6]+TX, pyrifenoxy [88283-41-4]+TX, prochloraz [67747-09-5]+TX, propiconazole [60207-90-1]+TX, simeconazole [149508-90-7]+TX, tebuconazole [107534-96-3]+TX, tetraconazole [112281-77-3]+TX, triadimefon [43121-43-3]+TX, triadimenol [55219-65-3]+TX, triflumizole [99387-89-0]+TX, triticonazole [131983-72-7]+TX, ancymidol [12771-68-5]+TX, fenarimol [60168-88-9]+TX, nuarimol [63284-71-9]+TX, bupirimate [41483-43-6]+TX, dimethirimol [5221-53-4]+TX, ethirimol [23947-60-6]+TX, dodemorph [1593-77-7]+TX, fenpropidine [67306-00-7]+TX, fenpropimorph [67564-91-4]+TX, spiroxamine [118134-30-8]+TX, tridemorph [81412-43-3]+TX, cyprodinil [121552-61-2]+TX, mepanipyrim [110235-47-7]+TX, pyrimethanil [53112-28-0]+TX, fenpiclonil [74738-17-3]+TX, fludioxonil [152641-86-1]+TX, benalaxyl [71626-11-4]+TX, furalaxyl [57646-30-7]+TX, metalaxyl [57837-19-1]+TX, R-metalaxyl [70630-17-0]+TX, ofurace [58810-48-3]+TX, oxadixyl [77732-09-3]+TX, benomyl [17804-35-2]+TX, carbendazim [10605-21-7]+TX, debacarb [62732-91-6]+TX, fuberidazole [3878-19-1]+TX, thiabendazole [148-79-8]+TX, chlozolate [84332-86-5]+TX, dichlozoline [24201-58-9]+TX, iprodione [36734-19-7]+TX, myclozoline [54864-61-8]+TX, procymidone [32809-16-8]+TX, vinclozoline [50471-44-8]+TX, boscalid [188425-85-6]+TX, carboxin [5234-68-4]+TX, fenfuram [24691-80-3]+TX, flutolanil [66332-96-5]+TX, mepronil [55814-41-0]+TX, oxy-carboxin [5259-88-1]+TX, penthiopyrad [183675-82-3]+TX, thifluzamide [130000-40-7]+TX, guazatine [108173-90-6]+TX, dodine [2439-10-3] [112-65-2] (free base)+TX, iminocadine [13516-27-3]+TX, azoxystrobin [131860-33-8]+TX, dimoxystrobin [149961-52-4]+TX, enestroburin {Proc. BCPC, Int. Congr., Glasgow, 2003, 1, 93}+TX, fluoxastrobin [361377-29-9]+TX, kresoxim-methyl [143390-89-0]+TX, metominostrobin [133408-50-1]+TX, trifloxystrobin [141517-21-7]+TX, orysastrobin [248593-16-0]+TX, picoxystrobin [117428-22-5]+TX, pyraclostrobin [175013-18-0]+TX, ferbam [14484-64-1]+TX, mancozeb [8018-01-7]+TX, maneb [12427-38-2]+TX, metiram [9006-42-2]+TX, propineb [12071-83-9]+TX, thiram [137-26-8]+TX, zineb [12122-67-7]+TX, ziram [137-30-4]+TX, captafol [2425-06-1]+TX, captan [133-06-2]+TX, dichlofluanid [1085-98-9]+TX, fluoroimide [41205-21-4]+TX, folpet [133-07-3]+TX, tolylfluanid [731-27-1]+TX, bordeaux mixture [8011-63-0]+TX, copperhydroxid [20427-59-2]+TX, copperoxychlorid [1332-40-7]+TX, coppersulfate [7758-98-7]+TX, copperoxide [1317-39-1]+TX, mancopper [53988-93-5]+TX, oxine-copper [10380-28-6]+TX, dinocap [131-72-6]+TX, nitrothal-isopropyl [10552-74-6]+TX, edifenphos [17109-49-8]+TX, iprobenphos [26087-47-8]+TX, isoprothiolane [50526-35-1]+TX, phosdiphen [36519-00-3]+TX, pyrazophos [13457-18-6]+TX, tolclofos-methyl [57018-04-9]+TX, acibenzolar-5-methyl [135158-54-2]+TX,

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TX, anilazine [101-05-3]+TX, benthialicarb [413615-35-7]+TX, blasticidin-S [2079-00-7]+TX, chinomethionat [2439-01-2]+TX, chloroneb [2675-77-6]+TX, chlorothalonil [1897-45-6]+TX, cyflufenamid [526409-60-3]+TX, cymoxanil [57966-95-7]+TX, dichlone [117-80-6]+TX, diclocymet [139920-32-4]+TX, diclomezine [62865-36-5]+TX, dicloran [99-30-9]+TX, diethofencarb [87130-20-9]+TX, dimethomorph [110488-70-5]+TX, SYP-L190 (flumorph) [211867-47-9]+TX, dithianon [3347-22-6]+TX, ethaboxam [162650-77-3]+TX, etridiazole [2593-15-9]+TX, famoxadone [135267-57-3]+TX, fenamidone [161326-34-7]+TX, fenoxanil [115852-48-7]+TX, fentin [668-34-8]+TX, ferimzone [89269-64-7]+TX, fluazinam [79622-59-6]+TX, fluopicolide [239110-15-7]+TX, flusulfamide [106917-52-6]+TX, fenhexamid [126833-17-8]+TX, fosetylaluminium [39148-24-8]+TX, hymexazol [10004-44-1]+TX, iprovalicarb [140923-17-7]+TX, IKF-916 (cyazofamid) [120116-88-3]+TX, kasugamycin [6980-18-3]+TX, methasulfocarb [66952-49-6]+TX, metrafenone [220899-03-6]+TX, pencycuron [66063-05-6]+TX, phthalide [27355-22-2]+TX, polyoxins [11113-80-7]+TX, probenazole [27605-76-1]+TX, propamocarb [25606-41-1]+TX, proquinazid [189278-12-4]+TX, pyroquilon [57369-32-1]+TX, quinoxifen [124495-18-7]+TX, quintozone [82-68-8]+TX, sulfur [7704-34-9]+TX, tiadinil [223580-51-6]+TX, triazoxide [72459-58-6]+TX, tricyclazole [41814-78-2]+TX, triforine [26644-46-2]+TX, validamycin [37248-47-8]+TX, zoxamide (RH7281) [156052-68-5]+TX, mandipropamid [374726-62-2]+TX, the compound of formula F-1

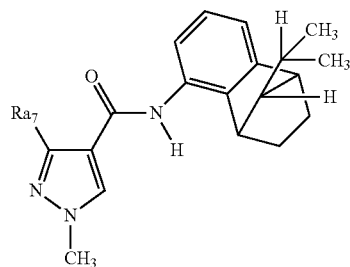


wherein Ra₅ is trifluoromethyl or difluoromethyl (WO2004/058723)+TX, the compound of formula F-2



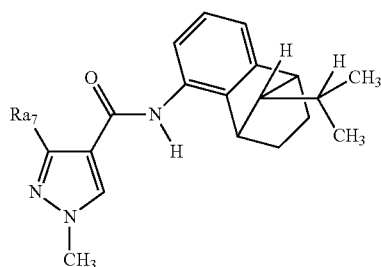
wherein Ra₆ is trifluoromethyl or difluoromethyl (WO2004/058723)+TX, the racemic compound of formula F-3 (syn)

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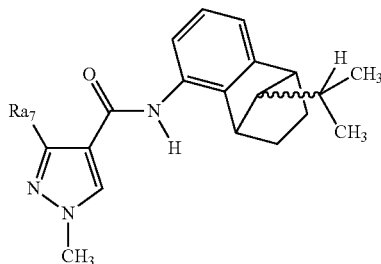
(F-3)

wherein Ra₇ is trifluoromethyl or difluoromethyl (WO2004/035589)+TX, the racemic mixture of formula F-4 (anti)



(F-4)

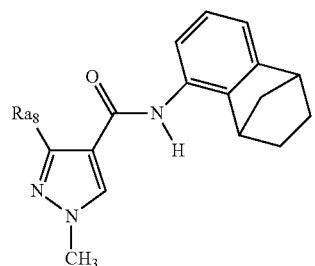
wherein Ra₇ is trifluoromethyl or difluoromethyl (WO2004/035589)+TX, the compound of formula F-5



(F-5)

which is an epimeric mixture of racemic compounds of formulae F-3 (syn) and F-4 (anti),

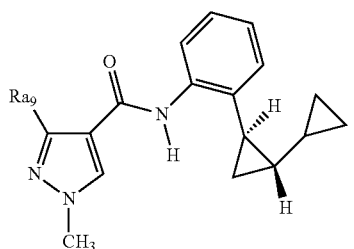
wherein the ratio from racemic compounds of formula F-3 (syn) to racemic compounds of formula F-4 (anti) is from 1000:1 to 1:1000 and wherein Ra₇ is trifluoromethyl or difluoromethyl (WO2004/035589)+TX, the compound of formula F-6



(F-6)

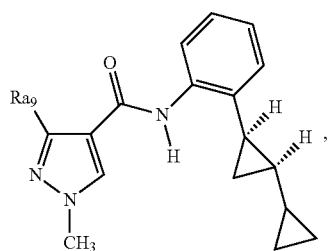
wherein Ra₈ is trifluoromethyl or difluoromethyl (WO2004/035589)+TX, the racemic compound of formula F-7 (trans)

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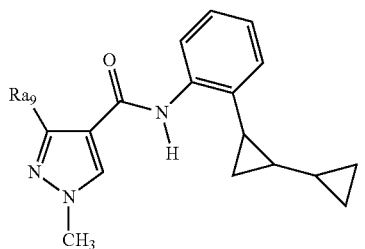
(F-7)

wherein R_{a9} is trifluoromethyl or difluoromethyl (WO03/074491)+TX, the racemic compound of formula F-8 (cis)



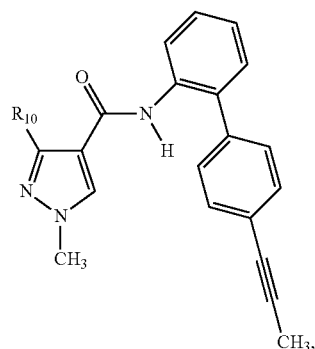
(F-8)

wherein R_{a9} is trifluoromethyl or difluoromethyl (WO03/074491)+TX, the compound of formula F-9



(F-9)

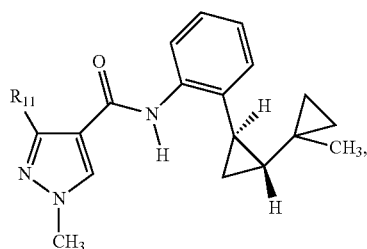
which is a mixture of the racemic compounds of formulae F-7 (trans) and F-8 (cis), wherein the ratio of the racemic compound of formula F-7 (trans) to the racemic compound of formula F-8 (cis) is 2:1 to 100:1; and wherein R_{a9} is trifluoromethyl or difluoromethyl (WO03/074491)+TX, the compound of formula F-10



(F-10)

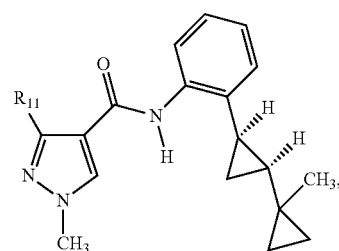
wherein R_{10} is trifluoromethyl or difluoromethyl (WO2004/058723)+TX, the racemic compound of formula F-11 (trans)

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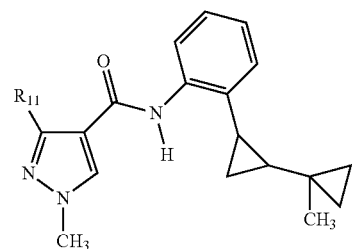
(F-11)

wherein R_{11} is trifluoromethyl or difluoromethyl (WO 03/074491)+TX, the racemic compound of formula F-12 (cis)



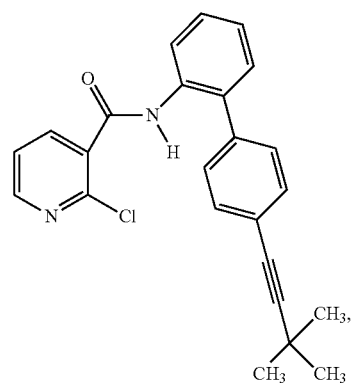
(F-12)

wherein R_{11} is trifluoromethyl or difluoromethyl (WO 03/074491)+TX, the compound of formula F-13



(F-13)

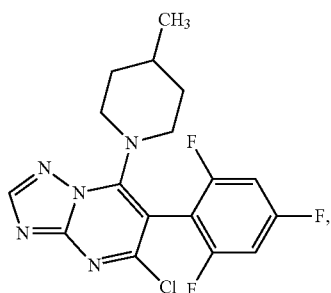
which is a racemic mixture of formulae F-11 (trans) and F-12 (cis), and wherein R_{11} is trifluoromethyl or difluoromethyl (WO 03/074491)+TX, the compound of formula F-14



(F-14)

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(WO 2004/058723)+TX, and the compound of formula F-15



[214706-53-3]

+TX.

The references in brackets behind the active ingredients, e.g. [3878-19-1] refer to the Chemical Abstracts Registry number. The compounds of the formulae A-1 to A-26 are described in WO 03/015518 or in WO 04/067528. The above described mixing partners are known. Where the active ingredients are included in "The Pesticide Manual" [The Pesticide Manual—A World Compendium; Thirteenth Edition; Editor: C. D. S. Tomlin; The British Crop Protection Council], they are described therein under the entry number given in round brackets hereinabove for the particular compound; for example, the compound "abamectin" is described under entry number (1). Where "[CCN]" is added hereinabove to the particular compound, the compound in question is included in the "Compendium of Pesticide Common Names", which is accessible on the internet [A. Wood; *Compendium of Pesticide Common Names*, Copyright © 1995-2004]; for example, the compound "acetoprole" is described under the internet address <http://www.alanwood.net/pesticides/acetoprole.html>.

Most of the active ingredients described above are referred to hereinabove by a so-called "common name", the relevant "ISO common name" or another "common name" being used in individual cases. If the designation is not a "common name", the nature of the designation used instead is given in round brackets for the particular compound; in that case, the IUPAC name, the IUPAC/Chemical Abstracts name, a "chemical name", a "traditional name", a "compound name" or a "development code" is used or, if neither one of those designations nor a "common name" is used, an "alternative name" is employed. "CAS Reg. No" means the Chemical Abstracts Registry Number.

The active ingredient mixture of the compounds of formula I selected from tables T1 to T151 with active ingredients described above comprises a compound selected from tables T1 to T151 and an active ingredient as described above preferably in a mixing ratio of from 100:1 to 1:6000, especially from 50:1 to 1:50, more especially in a ratio of from 20:1 to 1:20, even more especially from 10:1 to 1:10, very especially from 5:1 and 1:5, special preference being given to a ratio of from 2:1 to 1:2, and a ratio of from 4:1 to 2:1 being likewise preferred, above all in a ratio of 1:1, or 5:1, or 5:2, or 5:3, or 5:4, or 4:1, or 4:2, or 4:3, or 3:1, or 3:2, or 2:1, or 1:5, or 2:5, or 3:5, or 4:5, or 1:4, or 2:4, or 3:4, or 1:3, or 2:3, or 1:2, or 1:600, or 1:300, or 1:150, or 1:35, or 2:35, or 4:35, or 1:75, or 2:75, or 4:75, or 1:6000, or 1:3000, or 1:1500, or 1:350, or 2:350, or 4:350, or 1:750, or 2:750, or 4:750. Those mixing ratios are understood to include, on the one hand, ratios by weight and also, on other hand, molar ratios.

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The mixtures comprising a compound of formula I selected from tables T1 to T151 and one or more active ingredients as described above can be applied, for example, in a single "ready-mix" form, in a combined spray mixture composed from separate formulations of the single active ingredient components, such as a "tank-mix", and in a combined use of the single active ingredients when applied in a sequential manner, i.e. one after the other with a reasonably short period, such as a few hours or days. The order of applying the compounds of formula I selected from tables T1 to T151 and the active ingredients as described above is not essential for working the present invention.

BIOLOGICAL EXAMPLES

Fungicidal Action

Example B-1

Plasmopara viticola: Downy Mildew of Grapevine, Preventative Test

Plasmopara viticola (downy mildew of grapevine): Grape vine leaf disks are placed on agar in multiwell plates (24-well format) and sprayed with the formulated (2% Dimethylsulfoxid, 0.025% Tween 20) test solutions. After drying, the leaf disks are inoculated with a spore suspension of the fungus (80'000 conidia/ml). After appropriate incubation, the preventive fungicidal activity of a compound is assessed 6 days after inoculation as disease damage on the leaf disks and calculated as percent efficacy relative to untreated infected control. (0=no control of *Plasmopara viticola*, 100% complete control). In this test, compounds listed in Table P above show good activity. In particular compound P.10 shows an activity of at least 50% at an application rate of 200 ppm.

Example B-2

Botrytis cinerea: Gray Mould, Preventative Test

Botrytis cinerea (Gray mould): Bean leaf disks are placed on agar in multiwell plates (24-well format) and sprayed with the formulated (2% Dimethylsulfoxid, 0.025% Tween 20) test solutions. After drying, the leaf disks are inoculated with a spore suspension of the fungus (60'000 conidia/ml). After appropriate incubation, the preventive fungicidal activity of a compound is assessed 3 days after inoculation as disease damage on the leaf disks and calculated as percent efficacy relative to untreated infected control. (0=no control of *Botrytis cinerea*, 100%=complete control). In this test, compounds listed in Table P above show good activity. In particular compound P.29 shows an activity of at least 50% at an application rate of 200 ppm.

Example B-3

Erysiphe graminis f.sp. *tritici*: Wheat Powdery Mildew, Preventative Test

Erysiphe graminis f.sp. *tritici* (Wheat powdery mildew): Wheat leaf segments are placed on agar in multiwell plates (24-well format) and sprayed with the formulated (2% Dimethylsulfoxid, 0.025% Tween 20) test solutions. After drying, the leaf disks are inoculated with spores of the fungus (50 conidia/mm²). After appropriate incubation, the preventive fungicidal activity of a compound is assessed 7 days after inoculation as disease damage on the leaf disks and calculated as percent efficacy relative to untreated infected control. (0=no control of *Erysiphe graminis* f.sp. *tritici*, 100%=com-

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plete control). In this test, compounds listed in Table P above show good activity. In particular compounds P.07, P.09, P.21, P.22, P.26, P.28, P.29, P.30, P.35, P.59, P.61, P.62, P.63, P.64, P.68, P.69, P.73, P.76, P.77, P.78 and P.82 show an activity of at least 50% at an application rate of 200 ppm.

Example B-4

Erysiphe graminis f.sp. *hordei*: Powdery Mildew of Barley, Curative Test

Erysiphe graminis f.sp. *hordei* (Barley powdery mildew): Barley leaf segments are placed on agar in multiwell plates (24-well format). The leaf disks are inoculated with spores of the fungus (120 conidia/mm²). After 24 h the leaf disks are sprayed with the formulated (2% Dimethylsulfoxid, 0.025% Tween 20) test solutions. After appropriate incubation, the curative fungicidal activity of a compound is assessed 7 days after inoculation as disease damage on the leaf disks and calculated as percent efficacy relative to untreated infected control (0=no control of *Erysiphe graminis* f.sp. *hordei*, 100%=complete control). In this test, compounds listed in Table P above show good activity. In particular compounds P.01, P.03, P.04, P.06, P.07, P.08, P.11, P.14, P.15, P.16, P.17 and P.19 show an activity of at least 50% at an application rate of 200 ppm.

Example B-5

Puccinia recondita: Brown Rust of Wheat, Preventative Test

Puccinia recondita (Brown rust): Wheat leaf segments are placed on agar in multiwell plates (24-well format) and sprayed with the formulated (2% Dimethylsulfoxid, 0.025% Tween 20) test solutions. After drying, the leaf disks are inoculated with a spore suspension of the fungus (45'000 conidia/ml). After appropriate incubation, the preventive fungicidal activity of a compound is assessed 8 days after inoculation as disease damage on the leaf disks and calculated as percent efficacy relative to untreated infected control (0=no control of *Puccinia recondita*, 100%=complete control). In this test, compounds listed in Table P above show good activity. In particular compounds P.07, P.11, P.26, P.28, P.29, P.31, P.35, P.51, P.58, P.59, P.61, P.62, P.64, P.70, P.73, P.76, P.77, P.79 and P.82 show an activity of at least 50% at an application rate of 200 ppm.

Example B-6

Puccinia recondita: Brown Rust of Wheat, Curative Test

Method Description *Puccinia recondita* (Brown rust): Wheat leaf segments are placed on agar in multiwell plates (24-well format). The leaf disks are then inoculated with a spore suspension of the fungus (45'000 conidia/ml). One day after inoculation the formulated (2% Dimethylsulfoxid, 0.025% Tween 20) test solution is applied. After appropriate incubation, the curative fungicidal activity of a compound is assessed 8 days after inoculation as disease damage on the leaf disks and calculated as percent efficacy relative to untreated infected control (0=no control of *Puccinia recondita*, 100%=complete control). In this test, compounds listed in Table P above show good activity. In particular compounds P.26, P.28, P.29, P.31, P.35, P.36, P.41, P.58, P.59, P.61, P.62,

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P.64, P.69, P.70, P.73, P.76, P.77, P.81 and P.82 show an activity of at least 50% at an application rate of 200 ppm.

Example B-7

Phaeosphaeria nodorum: *Septoria* Leaf Spot of Wheat, Preventative Test

Method Description *Phaeosphaeria nodorum* (syn. *Septoria nodorum*, *Leptosphaeria nodorum*), glume blotch (*Septoria* leaf spot): Wheat leaf segments are placed on agar in multiwell plates (24-well format) and sprayed with the formulated (2% Dimethylsulfoxid, 0.025% Tween 20) test solutions. After drying, the leaf disks are inoculated with a spore suspension of the fungus (500'000 conidia/ml). After appropriate incubation, the preventive fungicidal activity of a compound is assessed 4 days after inoculation as disease damage on the leaf disks and calculated as percent efficacy relative to untreated infected control (0=no control of *Phaeosphaeria nodorum*, 100%=complete control). In this test, compounds listed in Table P above show good activity. In particular compounds P.04 and P.29 show an activity of at least 50% at an application rate of 200 ppm.

Example B-8

Magnaporthe grisea: Rice Blast Disease, Preventative Test

Method Description *Magnaporthe grisea* (syn. *Pyricularia oryzae*), rice blast disease. Rice leaf segments are placed on agar in multiwell plates (24-well format) and sprayed with the formulated (2% Dimethylsulfoxid, 0.025% Tween 20) test solutions. After drying, the leaf disks are inoculated with a spore suspension of the fungus (90'000 conidia/ml). After appropriate incubation, the preventive fungicidal activity of a compound is assessed 5 days after inoculation as disease damage on the leaf disks and calculated as percent efficacy relative to untreated infected control (0=no control of *Magnaporthe grisea*, 100%=complete control). In this test, compounds listed in Table P above show good activity. In particular compounds P.05, P.08 and P.09 show an activity of at least 50% at an application rate of 200 ppm.

Example B-9

Pyrenophora teres: Net Blotch of Barley, Preventative Test

Method Description *Pyrenophora teres* (Net blotch): Barley leaf segments are placed on agar in multiwell plates (24-well format) and sprayed with the formulated (2% Dimethylsulfoxid, 0.025% Tween 20) test solutions. After drying, the leaf disks are inoculated with a spore suspension of the fungus (25'000 conidia/ml). After appropriate incubation, the preventive fungicidal activity of a compound is assessed 4 days after inoculation as disease damage on the leaf disks and calculated as percent efficacy relative to untreated infected control (0=no control of *Pyrenophora teres*, 100%=complete control). In this test, compounds listed in Table P above show good activity. In particular compounds P.05, P.08, P.09, P.46, P.62, P.64, P.69 and P.73 show an activity of at least 50% at an application rate of 200 ppm.

wherein A is a three- to ten-membered monocyclic or fused bicyclic ring system which can be aromatic, partially saturated or fully saturated and can contain 1 to 4 hetero atoms selected from the group consisting of nitrogen, oxygen and sulfur, it not being possible for each ring

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system to contain more than 2 oxygen atoms and more than 2 sulfur atoms, and it being possible for the three- to ten-membered ring system itself to be mono- or polysubstituted

A1) by substituents independently selected from the group consisting of

fluoro, bromo, iodo, cyano, nitro, hydroxy, mercapto, nitro, azido, formyl, carboxy, $-\text{C}(=\text{O})-\text{Cl}$, $=\text{O}$, $=\text{S}$, $-\text{C}(=\text{O})-\text{F}$, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_2\text{-C}_6\text{alkenyl}$, $\text{C}_2\text{-C}_6\text{alkynyl}$, $\text{C}_3\text{-C}_8\text{cycloalkyl}$, $\text{C}_5\text{-C}_8\text{cycloalkenyl}$, $\text{C}_5\text{-C}_8\text{cycloalkynyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_2\text{-C}_6\text{haloalkenyl}$, $\text{C}_2\text{-C}_6\text{haloalkynyl}$, $\text{C}_3\text{-C}_8\text{halocycloalkyl}$, $\text{C}_5\text{-C}_8\text{halocycloalkenyl}$, $\text{C}_5\text{-C}_8\text{halocycloalkynyl}$, $\text{C}_1\text{-C}_6\text{alkoxy}$, $\text{C}_1\text{-C}_6\text{haloalkoxy}$, $\text{C}_3\text{-C}_6\text{alkenyloxy}$, $\text{C}_3\text{-C}_6\text{haloalkenyloxy}$, $\text{C}_3\text{-C}_6\text{alkynyloxy}$, $\text{C}_3\text{-C}_8\text{halocycloalkyloxy}$, $\text{C}_3\text{-C}_8\text{halocycloalkenyloxy}$, $\text{C}_3\text{-C}_8\text{halocycloalkynyloxy}$, benzyloxy and phenoxy, where benzyloxy and phenoxy, in turn, may be mono- to polysubstituted by substituents independently selected from the group consisting of

halogen, cyano, nitro, hydroxy, mercapto, azido, amino, $-\text{SF}_5$, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_1\text{-C}_6\text{alkoxy}$, $\text{C}_1\text{-C}_6\text{haloalkoxy}$, $\text{C}_1\text{-C}_6\text{alkoxyC}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{alkylthio}$, $\text{C}_1\text{-C}_6\text{alkylsulfinyl}$ and $\text{C}_1\text{-C}_6\text{alkylsulfonyl}$; or

A2) by substituents independently selected from the group consisting of

$\text{HC}(=\text{NOR}_{59})-$, $(\text{C}_1\text{-C}_6\text{alkyl})\text{C}(=\text{NOR}_{59})-$, $(\text{C}_1\text{-C}_6\text{haloalkyl})\text{C}(=\text{NOR}_{59})-$, $(\text{C}_1\text{-C}_6\text{alkyl})\text{C}(=\text{NOR}_{59})\text{C}_1\text{-C}_6\text{alkyl}$ and $(\text{C}_1\text{-C}_6\text{haloalkyl})\text{C}(=\text{NOR}_{59})\text{C}_1\text{-C}_6\text{alkyl}$, wherein R_{59} is hydrogen, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_3\text{-C}_6\text{alkenyl}$, $\text{C}_3\text{-C}_6\text{haloalkenyl}$, $\text{C}_3\text{-C}_6\text{alkynyl}$, $\text{C}_3\text{-C}_8\text{cycloalkyl}$, $\text{C}_3\text{-C}_8\text{halocycloalkyl}$, benzyl and phenyl, and benzyl and phenyl mono- to polysubstituted by halogen, cyano, hydroxy, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$ or $\text{C}_1\text{-C}_6\text{alkoxy}$; or

A3) by substituents independently selected from the group consisting of

$\text{C}_1\text{-C}_6\text{alkylthio}$, $\text{C}_1\text{-C}_6\text{haloalkylthio}$, $\text{C}_1\text{-C}_6\text{alkylsulfinyl}$, $\text{C}_1\text{-C}_6\text{alkylsulfonyl}$, $(\text{R}_{14})\text{S}(=\text{O})(=\text{NR}_{13})-$ and $(\text{R}_{14})\text{S}(=\text{O})=\text{N}-$, wherein R_{13} is hydrogen, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_3\text{-C}_6\text{alkenyl}$, $\text{C}_3\text{-C}_6\text{haloalkenyl}$, $\text{C}_3\text{-C}_6\text{alkynyl}$, $\text{C}_3\text{-C}_8\text{cycloalkyl}$, $\text{C}_3\text{-C}_8\text{halocycloalkyl}$, phenyl or benzyl, or is phenyl or benzyl mono- to polysubstituted by halogen, cyano, hydroxy, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$ or $\text{C}_1\text{-C}_6\text{alkoxy}$, and R_{14} and R_{15} , independently of each other, are $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_3\text{-C}_8\text{cycloalkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_3\text{-C}_8\text{halocycloalkyl}$, $\text{C}_2\text{-C}_6\text{alkenyl}$, $\text{C}_2\text{-C}_6\text{haloalkenyl}$, $\text{C}_2\text{-C}_6\text{alkynyl}$, benzyl or phenyl, or benzyl or phenyl independently of each other, substituted by substituents selected from the group consisting of halogen, cyano, hydroxy, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$ and $\text{C}_1\text{-C}_6\text{alkoxy}$; or

A4) by substituents independently selected from the group consisting of $-\text{NR}_{57}\text{R}_{58}$, $-\text{C}(=\text{O})\text{NR}_{57}\text{R}_{58}$ and $-\text{C}(=\text{S})\text{NR}_{57}\text{R}_{58}$; or

A5) by substituents independently selected from the group consisting of

formyl, $\text{C}_2\text{-C}_7\text{alkylcarbonyl}$, $\text{C}_2\text{-C}_7\text{haloalkylcarbonyl}$, $\text{C}_3\text{-C}_7\text{alkenylcarbonyl}$, $\text{C}_3\text{-C}_7\text{haloalkenylcarbonyl}$, $\text{C}_4\text{-C}_9\text{cycloalkylcarbonyl}$, $\text{C}_4\text{-C}_9\text{halocycloalkylcarbonyl}$, $\text{C}_2\text{-C}_7\text{alkoxycarbonyl}$, $\text{C}_2\text{-C}_7\text{haloalkoxycarbonyl}$, $\text{C}_3\text{-C}_7\text{alkenyloxycarbonyl}$, $\text{C}_3\text{-C}_7\text{alkynyloxycarbonyl}$, $\text{C}_4\text{-C}_9\text{cycloalkoxycarbonyl}$, $\text{C}_2\text{-C}_7\text{alkylthiocarbonyl}$ and benzyloxycarbonyl, and

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benzyloxycarbonyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$ and $\text{C}_1\text{-C}_6\text{alkoxy}$; or

A6) by substituents independently selected from the group consisting of

$-\text{Si}(\text{R}_{51})(\text{R}_{52})(\text{R}_{53})$ and $-\text{Si}(\text{OR}_{54})(\text{OR}_{55})(\text{OR}_{56})$; or

A7) by substituents independently selected from the group consisting of

aminosulfinyl, $(\text{C}_1\text{-C}_6\text{alkyl})\text{aminosulfinyl}$, $\text{N,N-di}(\text{C}_1\text{-C}_6\text{alkyl})\text{aminosulfinyl}$, $\text{di}(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$, $(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$, phenyl, phenoxy, benzyl and benzyloxy, where phenyl, phenoxy, benzyl and benzyloxy for their part may be mono- to polysubstituted on the phenyl ring by substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, azido, mercapto, formyl, $-\text{SF}_5$, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_2\text{-C}_6\text{alkenyl}$, $\text{C}_2\text{-C}_6\text{haloalkenyl}$, $\text{C}_2\text{-C}_6\text{alkynyl}$, $\text{C}_1\text{-C}_6\text{alkoxy}$, $\text{C}_1\text{-C}_6\text{haloalkoxy}$, $\text{C}_1\text{-C}_6\text{alkylthio}$, $\text{C}_1\text{-C}_6\text{haloalkylthio}$, $\text{C}_3\text{-C}_6\text{alkenythio}$, $\text{C}_3\text{-C}_6\text{haloalkenythio}$, $\text{C}_3\text{-C}_6\text{alkynythio}$, $\text{C}_1\text{-C}_3\text{alkoxyC}_1\text{-C}_3\text{alkylthio}$, $\text{C}_2\text{-C}_6\text{alkylcarbonylC}_1\text{-C}_3\text{alkylthio}$, $\text{C}_2\text{-C}_6\text{alkoxycarbonylC}_1\text{-C}_3\text{alkylthio}$, $\text{C}_1\text{-C}_6\text{alkylthio}$, $\text{C}_1\text{-C}_6\text{alkylsulfinyl}$, $\text{C}_1\text{-C}_6\text{haloalkylsulfinyl}$, $\text{C}_1\text{-C}_6\text{alkylsulfonyl}$, $\text{C}_1\text{-C}_6\text{haloalkylsulfonyl}$, aminosulfonyl, $(\text{C}_1\text{-C}_6\text{alkyl})\text{aminosulfonyl}$, $\text{N,N-di}(\text{C}_1\text{-C}_6\text{alkyl})\text{aminosulfonyl}$, $\text{di}(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$ and $(\text{C}_1\text{-C}_6\text{alkyl})\text{amino}$; or

ai) R_1 and R_2 , independently from each other, are $-\text{C}(=\text{O})\text{NR}_{57}\text{R}_{58}$; or

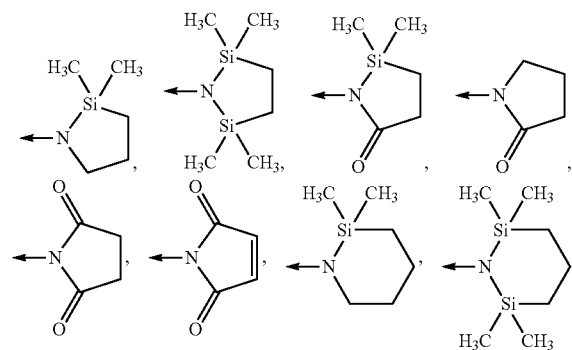
aj) R_1 and R_2 together form a $\text{C}_2\text{-C}_6\text{alkylene}$ bridge which may be mono- to polysubstituted by halogen, cyano, $\text{C}_1\text{-C}_6\text{alkyl}$ or $\text{C}_1\text{-C}_6\text{haloalkyl}$ groups; or

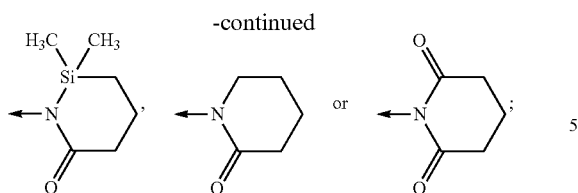
ak) R_1 and R_2 together with their interconnecting nitrogen atom are pyrazolino, pyrazolidino, pyrrolino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, morpholino, thiomorpholino, each of which, independently of each other, may be mono- to polysubstituted by methyl groups, halogen, cyano and nitro; or

al) the fragment



can be





wherein each of the meanings of said fragment can be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy;

ba) R_3, R_4 and R_7 , independently from each other, are

ba) hydrogen, halogen, cyano, nitro, mercapto, hydroxy, azido, $-\text{SF}_5$, $-\text{NR}_{64}\text{R}_{65}$, wherein R_{64} and R_{65} , independently of each other, are hydrogen, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_6 alkenyl, C_3 - C_6 haloalkenyl, C_3 - C_6 alkynyl, C_3 - C_8 cycloalkyl, C_3 - C_8 halocycloalkyl, phenyl or benzyl, where phenyl, benzyl for their part may be mono- to polysubstituted on the phenyl ring by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl and C_1 - C_6 alkoxy, or R_{64} and R_{65} together with their interconnecting nitrogen atom are aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino, thiomorpholino, each of which, in turn, may be mono- or polysubstituted by substituents selected from the group consisting of methyl, halogen, cyano and nitro; and substituents at nitrogen atoms in the ring systems being other than halogen; or R_3 , R_4 and R_7 , independently from each other, are $-\text{C}(\text{S})\text{NH}_2$, $-\text{N}=\text{C}=\text{O}$, $-\text{N}=\text{C}=\text{S}$, amino, $(\text{R}_{51})(\text{R}_{52})(\text{R}_{53})\text{Si}-$, $(\text{R}_{51})(\text{R}_{52})(\text{R}_{53})\text{Si}-(\text{C}_1-\text{C}_6\text{alkyl})-$, $(\text{R}_{51})(\text{R}_{52})(\text{R}_{53})\text{Si}-(\text{C}_2-\text{C}_6\text{alkynyl})-$, $(\text{OR}_{54})(\text{OR}_{55})(\text{OR}_{56})\text{Si}-$ or $(\text{OR}_{214})(\text{OR}_{215})(\text{OR}_{216})\text{Si}-(\text{C}_1-\text{C}_6\text{alkyl})-$; wherein R_{214} , R_{215} and R_{216} independently of each other, are halogen, cyano, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_3 - C_8 cycloalkyl, C_5 - C_8 cycloalkenyl, C_2 - C_6 alkynyl, benzyl or phenyl; or R_3 , R_4 and R_7 , independently from each other, are

ba2) C₁-C₆alkylthio, C₁-C₆alkylsulfanyl,
C₁-C₆alkylsulfonyl, C₁-C₆haloalkylthio,
C₁-C₆haloalkylsulfanyl, C₁-C₆haloalkylsulfonyl, amino- 45
nosulfanyl, aminosulfonyl, C₁-C₆alkoxy,
C₁-C₆haloalkoxy, C₃-C₆alkenylthio,
C₃-C₆haloalkenylthio, C₃-C₆alkynylthio, (C₁-C₆alkyl)
aminosulfonyl, di(C₁-C₆alkyl)aminosulfonyl,
C₁-C₆alkoxy, C₂-C₆alkenylthio, C₂-C₆alkynylthio, 50
C₁-C₆alkyl-S(=O)(R₁₄)=N—, (R₁₄)S(=O)(=N—
R₁₃)—, (R₁₄)(R₁₅)S(=O)=N—, —S—C₃-C₆-alkenyl,
—S—C₃-C₆-alkynyl, —S—C₃-C₈-cycloalkyl, S-benzyl,
or —S—C₃-C₆-alkenyl, —S—C₃-C₆-alkynyl,
—S—C₃-C₈-cycloalkyl or S-benzyl; all of which can be 55
mono- to polysubstituted by substituents selected from
the group consisting of halogen, cyano, C₁-C₆-alkyl,
C₁-C₆-haloalkyl, C₁-C₆-alkoxy; or R₃, R₄ and R₇, inde-
pendently from each other, are

ba3) C₁-C₆alkyl, C₂-C₆alkenyl or C₂-C₆alkynyl, or C₁-C₆alkyl, C₂-C₆alkenyl or C₂-C₆alkynyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, hydroxy, mercapto, cyano, nitro, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆hydroxyalkyl, tri(alkyl)silyl, C₁-C₆haloalkoxy, C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₁-C₆alkylsulfanyl, C₁-C₆haloalkylsulfanyl,

C₁-C₆alkylsulfonyl and C₁-C₆haloalkylsulfonyl; or R₃, R₄ and R₇, independently from each other, are

ba4) formyl, C₂-C₇alkoxycarbonyl, C₂-C₇haloalkoxycarbonyl, C₃-C₇alkenyloxycarbonyl, C₃-C₇haloalkenyloxycarbonyl, C₂-C₇alkylcarbonyl, carboxy, —C(=O)—Cl, —C(=O)—F, C₂-C₇haloalkylcarbonyl, C₃-C₇alkenylcarbonyl or C₃-C₇haloalkenylcarbonyl; or R₃, R₄ and R₇, independently from each other, are

ba5) phenyl, phenoxy, benzyl or benzyloxy, or phenoxy, benzyl or benzyloxy mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, hydroxy, mercapto, azido, amino, $-\text{SF}_5$, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ haloalkyl, $\text{C}_1\text{-C}_6$ alkoxy, $\text{C}_1\text{-C}_6$ haloalkoxy, $\text{C}_1\text{-C}_6$ alkylthio, $\text{C}_1\text{-C}_6$ alkylsulfanyl, and $\text{C}_1\text{-C}_6$ alkylsulfonyl; or

bb) R_3 , R_4 and R_7 , independently of each other, are the groups A-, A-O— or A-(C₁-C₆alkyl)-, wherein the group A is as defined above under ah);

ca) R₅ is hydrogen, C₁-C₁₂alkyl, C₂-C₁₂alkenyl, C₂-C₁₂alkynyl, C₁-C₁₂alkylsulfonyl, C₂-C₁₂alkenylsulfonyl, phenylsulfonyl or benzylsulfonyl, or is C₁-C₁₂alkyl, C₂-C₁₂alkenyl, C₂-C₁₂alkynyl, C₁-C₁₂alkylsulfonyl, C₂-C₁₂alkenylsulfonyl, phenylsulfonyl or benzylsulfonyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, hydroxy, mercapto, azido, formyl, C₂-C₇alkylcarbonyl, C₂-C₇haloalkylcarbonyl, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl and C₁-C₆alkylsulfonyl; or

cb1) R₅ is formyl, C₂-C₁₂alkylcarbonyl, C₃-C₁₂alkenylcarbonyl, C₃-C₁₂alkynylcarbonyl, C₄-C₁₂cycloalkylcarbonyl, benzylcarbonyl, phenylcarbonyl, C₂-C₁₂alkoxycarbonyl, C₄-C₁₂alkenyloxy carbonyl, C₄-C₁₂alkynyloxy carbonyl, C₄-C₁₂cycloalkoxycarbonyl, benzyloxy carbonyl or phenoxy carbonyl, or is

cb2) C₂-C₁₂alkylcarbonyl, C₃-C₁₂alkenylcarbonyl,
C₃-C₁₂alkynylcarbonyl, C₄-C₁₂cycloalkylcarbonyl,
benzylcarbonyl, phenylcarbonyl,
C₂-C₁₂alkoxycarbonyl, C₄-C₁₂alkenyloxy carbonyl,
C₄-C₁₂alkynyloxy carbonyl,
C₄-C₁₂cycloalkoxy carbonyl, benzyloxy carbonyl or
phenoxy carbonyl mono- to polysubstituted by substituents
independently selected from the group consisting of
halogen, cyano, C₁-C₆alkyl, C₁-C₆haloalkyl and
C₁-C₆alkoxy; or

cc) R₅ is (R₅₁)(R₅₂)(R₅₃)Si—, (R₅₁)(R₅₂)(R₅₃)Si—(C₁—C₁₂alkyl)-, (R₅₁)(R₅₂)(R₅₃)Si—(C₃—C₈cycloalkyl)-, (R₅₄O)(R₅₅O)(R₅₆O)Si—, (R₅₄O)(R₅₅O)(R₅₆O)Si—(C₁—C₁₂alkyl)- or (R₅₄O)(R₅₅O)(R₅₆O)Si—(C₃—C₈cycloalkyl)-; or

cd) R₅ is C₁-C₆alkyl-B—C₁-C₁₂alkyl-, C₂-C₆alkenyl-B—C₁-C₁₂alkyl-, C₂-C₆alkynyl-B—C₁-C₁₂alkyl-, C₃-C₈cycloalkyl-B—C₁-C₁₂alkyl-, benzyl-B—C₁-C₁₂alkyl-, phenyl-B—C₁-C₁₂alkyl-, C₁-C₆alkyl-B—C₂-C₁₂alkenyl-, C₂-C₆alkenyl-B—C₂-C₁₂alkenyl-, C₃-C₈cycloalkyl-B—C₂-C₁₂alkenyl-, benzyl-B—C₂-C₁₂alkenyl-, phenyl-B—C₂-C₁₂alkenyl-, C₁-C₆alkyl-B—C₂-C₁₂alkynyl-, C₂-C₆alkenyl-B—C₂-C₁₂alkynyl-, C₃-C₈cycloalkyl-B—C₂-C₁₂alkynyl-, benzyl-B—C₂-C₁₂alkynyl-, phenyl-B—C₂-C₁₂alkynyl-, C₁-C₆alkyl-B—C₃-C₈cycloalkyl-, C₂-C₆alkenyl-B—C₃-C₈cycloalkyl-,

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- C₂-C₆alkynyl-B—C₃-C₈cycloalkyl-, C₃-C₈cycloalkyl-B—C₃-C₈cycloalkyl-, benzyl-B—C₃-C₁₂cycloalkyl- or phenyl-B—C₃-C₁₂cycloalkyl-, wherein the group B is —C(=O)—, —C(=S)—, —C(=NOR₅₉)—, —C(R₆₀)=NO—, —ON=C(R₆₀)—, —O—C(=O)—, —C(=O)—O—, —O—, —S—, —S(=O)—, —S(=O)₂—, —S(=O)(=NR₁₃)—, —S(=O)(R₁₄)=N—, —N=S(=O)(R₁₄)—, —N(R₆₂)—C(=O)—, —C(=O)—N(R₆₂)—, —N(R₆₂)—SO₂— or —SO₂—N(R₆₂)—; 5
- cd1) wherein R₆₀ is hydrogen, C₁-C₆alkyl, C₃-C₈cycloalkyl, C₁-C₆haloalkyl, C₃-C₈halocycloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl, C₂-C₆alkynyl, benzyl or phenyl, or benzyl or phenyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy, and 15
- cd2) R₆₂ is hydrogen, C₁-C₆alkyl, C₃-C₈cycloalkyl, C₁-C₆haloalkyl, C₃-C₈halocycloalkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, benzyl or phenyl, or benzyl or phenyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy; 25 or
- ce) R₅ is C₁-C₆alkyl-B—C₁-C₁₂alkyl-, C₂-C₆alkenyl-B—C₁-C₁₂alkyl-, C₂-C₆alkynyl-B—C₁-C₁₂alkyl-, C₃-C₈cycloalkyl-B—C₁-C₁₂alkyl-, benzyl-B—C₁-C₁₂alkyl-, phenyl-B—C₁-C₁₂alkyl-, C₁-C₆alkyl-B—C₂-C₁₂alkenyl-, C₂-C₆alkenyl-B—C₂-C₁₂alkenyl-, C₂-C₆alkynyl-B—C₂-C₁₂alkenyl-, C₃-C₈cycloalkyl-B—C₂-C₁₂alkenyl-, benzyl-B—C₂-C₁₂alkenyl-, phenyl-B—C₂-C₁₂alkenyl-, C₁-C₆alkyl-B—C₂-C₁₂alkynyl-, C₂-C₆alkenyl-B—C₂-C₁₂alkynyl-, C₂-C₆alkynyl-B—C₂-C₁₂alkynyl-, C₃-C₈cycloalkyl-B—C₂-C₁₂alkynyl-, benzyl-B—C₂-C₁₂alkynyl-, phenyl-B—C₂-C₁₂alkynyl-, C₁-C₆alkyl-B—C₃-C₈cycloalkyl-, C₂-C₆alkenyl-B—C₃-C₈cycloalkyl-, C₂-C₆alkynyl-B—C₃-C₈cycloalkyl-, C₃-C₈cycloalkyl-B—C₃-C₈cycloalkyl-, benzyl-B—C₃-C₁₂cycloalkyl-, phenyl-B—C₃-C₁₂cycloalkyl-, all of which, in turn, are substituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, mercapto, C₁-C₆haloalkyl, C₁-C₆alkoxy, formyl, C₂-C₆alkylcarbonyl, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl and C₁-C₆alkylsulfonyl; or 30
- cf) R₅ is A-, A-(C₁-C₆alkyl)-, A-O-(C₁-C₆alkyl)-, A-(C₂-C₆alkenyl)-, A-O-(C₂-C₆alkenyl)-, A-(C₂-C₆alkynyl)-, A-O-(C₂-C₆alkynyl)-, A-(C₃-C₈cycloalkyl)- or A-O-(C₃-C₈cycloalkyl)-; wherein the group A is as defined above under ah); or 35
- cg) R₅ signifies the group —N=C(R₈)R₉;
- cg1) wherein R₈ and R₉, independently from each other, are hydrogen, halogen, cyano, C₁-C₁₂alkyl, C₂-C₁₂alkenyl, C₂-C₁₂alkynyl, C₁-C₁₂alkoxy, formyl, C₂-C₁₂alkylcarbonyl, C₃-C₁₂alkenylcarbonyl, carboxy, C₂-C₁₂alkoxy carbonyl or C₄-C₁₂alkenylloxycarbonyl, or C₁-C₁₂alkyl, C₂-C₁₂alkenyl, C₂-C₁₂alkynyl, C₁-C₁₂alkoxy, C₂-C₁₂alkylcarbonyl, C₃-C₁₂alkenylcarbonyl, C₂-C₁₂alkoxy carbonyl or C₄-C₁₂alkenylloxycarbonyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, hydroxy, mercapto, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl and C₁-C₆alkylsulfonyl; or 65

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- cg2) R₈ and R₉ together form a C₂-C₈alkylene bridge which may optionally be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, C₁-C₆alkyl and C₁-C₆haloalkyl; or
- cg3) R₈ and R₉, independently from each other, are the groups A-, A-O- or A-(C₁-C₆alkyl)-; wherein the group A is as defined above under ah);
- d) R₆ is hydrogen, fluoro, bromo, chloro, cyano or CHO; or an agronomically acceptable salt, metallic complex, metalloidic complex, isomer, structural isomer, stereoisomer, diastereoisomer, enantiomer, tautomer or N-oxide thereof.
2. A compound of formula I according to claim 1, wherein R₁ and R₂, independently of each other, are hydrogen, cyano, C₁-C₆alkyl, C₃-C₆cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, benzyl or C₂-C₇alkylcarbonyl, each of which may be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkylthio and C₁-C₆alkoxy; or R₁ and R₂ together form a C₂-C₆alkylene bridge which may be mono- to polysubstituted by methyl groups; or R₁ and R₂ together with their interconnecting nitrogen atom are pyrazolino, pyrazolidino, pyrrolino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, morpholino, thiomorpholino, each of which, independently of each other, may be mono- to polysubstituted by methyl groups; or
- R₁ is hydrogen, cyano, C₁-C₆alkyl, C₃-C₆cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, benzyl or C₂-C₇alkylcarbonyl, each of which may be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkylthio and C₁-C₆alkoxy, and R₂ is amino, C₁-C₆alkoxy, C₃-C₆alkenyl, C₃-C₆cycloalkyl, C₃-C₆cycloalkoxy or C₃-C₆alkynyl; or
- R₂ is hydrogen, cyano, C₁-C₆alkyl, C₃-C₆cycloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, benzyl or C₂-C₇alkylcarbonyl, each of which may be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkylthio and C₁-C₆alkoxy, and R₁ is hydroxy, amino, C₁-C₆alkoxy, C₃-C₆alkenyl, C₃-C₆cycloalkoxy or C₃-C₆alkynyl.
3. A compound of formula I according to claim 1, wherein R₇ is hydrogen, C₁-C₆alkyl, C₁-C₆haloalkyl, halogen or cyano.
4. A compound of formula I according to claim 1, wherein R₄ is hydrogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₇cycloalkyl, halogen, cyano, hydroxy, C₁-C₆alkoxy, amino, azido, mercapto, C₁-C₆alkylthio, C₁-C₆alkylsulfinyl, C₁-C₆alkylsulfonyl, CHO, C₂-C₇alkylcarbonyl, aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino, thiomorpholino; or aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino, thiomorpholino, each of which, in turn, is mono- or polysubstituted by substituents selected from the group consisting of methyl, halogen; or R₄ is phenyl, or phenyl which is mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy.

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5. A compound of formula I according to claim 1, wherein R_3 is hydrogen, C_1 - C_6 -alkyl, C_1 - C_6 -haloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_7 cycloalkyl, halogen, cyano, azido, nitro, $-N=C=O$, $-N=C=S$, $-C(=O)NH_2$, $-C(=S)NH_2$, $-C(=O)NH(CH_3)$, $-C(=S)NH(CH_3)$, $-C(=O)N(CH_3)_2$, $-SO_2NH_2$, $-SO_2N(CH_3)_2$, $-C(=S)N(CH_3)_2$, $-COOH$, tri(C_1 - C_4 alkyl)silyl, tri(C_1 - C_4 alkoxy)silyl, hydroxy, C_1 - C_6 alkoxy, amino, azido, mercapto, C_1 - C_6 alkylamino, C_2 - C_{12} dialkylamino, C_3 - C_6 alkenylamino, C_6 - C_{12} dialkenylamino, C_1 - C_6 alkyl C_3 - C_6 alkenylamino, C_1 - C_6 alkylthio, C_1 - C_6 alkylsulfynyl, C_1 - C_6 alkylsulfonyl, C_1 - C_6 haloalkylthio, C_1 - C_6 haloalkylsulfynyl, C_1 - C_6 haloalkylsulfonyl, CHO , C_2 - C_7 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_3 - C_6 alkenylloxycarbonyl, C_3 - C_6 alkynylloxycarbonyl, phenyl, aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino or thiomorpholino; or R_3 is aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino, thiomorpholino mono- or polysubstituted by substituents independently selected from the group consisting of methyl, halogen and phenyl, or by phenyl which itself can be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl and C_1 - C_6 alkoxy; or R_3 is C_1 - C_6 -alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_6 alkoxy, C_2 - C_7 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_3 - C_6 alkenylloxycarbonyl, C_3 - C_6 alkynylloxycarbonyl or phenyl, or is phenyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl and C_1 - C_6 alkoxy.

6. A compound of formula I according to claim 1, wherein R_5 is phenyl, phenyl- C_1 - C_{12} alkyl, phenyl- C_3 - C_{12} cycloalkyl, phenyl- C_3 - C_{12} alkenyl, or phenyl, phenyl- C_1 - C_{12} alkyl, phenyl- C_3 - C_{12} cycloalkyl, phenyl- C_3 - C_{12} alkenyl mono- to polysubstituted by substituents independently selected from the group consisting of fluoro, bromo, iodo, cyano, nitro, amino, azido, hydroxy, mercapto, trialkylsilyl, trialkoxysilyl, CHO , $COOH$, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 hydroxyalkyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 haloalkenyl, C_2 - C_6 alkynyl, C_2 - C_6 haloalkynyl, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, C_3 - C_6 alkenylloxy, C_3 - C_6 haloalkenylloxy, C_3 - C_6 alkynylloxy, C_3 - C_6 cycloalkoxy, C_3 - C_6 halocycloalkoxy, C_1 - C_6 alkylthio, C_1 - C_6 alkylsulfynyl, C_1 - C_6 alkylsulfonyl, C_1 - C_6 haloalkylthio, C_1 - C_6 haloalkylsulfynyl, C_1 - C_6 haloalkylsulfonyl, $-C(=O)NH_2$, $-C(=S)NH_2$, $-C(=O)NH(CH_3)$, $-C(=S)NH(CH_3)$, $-C(=O)N(CH_3)_2$, $-SO_2NH_2$, $-SO_2N(CH_3)_2$ and $-C(=S)N(CH_3)_2$.

7. A compound of formula I according to claim 1, wherein R₅ is hydrogen, (R₅₁)(R₅₂)(R₅₃)Si—(C₁-C₁₂alkyl)-, tri-C₁-C₆alkylsilyl, phenyl-di(C₁-C₆alkyl)silyl, C₁-C₁₂alkyl, C₃-C₁₂alkenyl, C₃-C₁₂alkynyl, C₃-C₁₂cycloalkyl, C₅-C₁₂cycloalkyl-C₁-C₁₂alkyl, C₅-C₁₂cycloalkenyl, C₁-C₁₂alkoxy-C₁-C₁₂alkyl, C₁-C₁₂alkoxy-C₁-C₁₂alkyl, C₁-C₁₂alkoxy-C₁-C₁₂alkyl,

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C_{12} alkyl, C_1 - C_{12} alkynyloxy- C_1 - C_{12} alkyl, C_1 - C_{12} alkylthio- C_1 - C_{12} alkyl, C_1 - C_{12} alkylsulfenyl- C_1 - C_{12} alkyl, C_1 - C_{12} alkylsulfonyl- C_0 - C_{12} alkyl, C_2 - C_{12} alkylcarbonyl- C_0 - C_{12} alkyl, C_3 - C_{12} alkenylcarbonyl- C_0 - C_{12} alkyl, C_2 - C_{12} alkoxy carbonyl- C_0 - C_{12} alkyl, C_3 - C_{12} alkenyloxy carbonyl- C_0 - C_{12} alkyl or C_3 - C_{12} alkynyloxy carbonyl- C_0 - C_{12} alkyl, or R_5 is C_1 - C_{12} alkyl, C_3 - C_{12} alkenyl, C_3 - C_{12} alkynyl, C_3 - C_{12} cycloalkyl, C_3 - C_{12} cycloalkyl- C_1 - C_{12} alkyl, C_2 - C_{12} cycloalkenyl, C_1 - C_{12} alkoxy- C_1 - C_{12} alkyl, C_1 - C_{12} alkenyloxy- C_1 - C_{12} alkyl, C_1 - C_{12} alkynyloxy- C_1 - C_{12} alkyl, C_1 - C_{12} alkylthio- C_1 - C_{12} alkyl, C_1 - C_{12} alkylsulfenyl- C_1 - C_{12} alkyl, C_1 - C_{12} alkylsulfonyl- C_0 - C_{12} alkyl, C_2 - C_{12} alkylcarbonyl- C_0 - C_{12} alkyl, C_3 - C_{12} alkenylcarbonyl- C_0 - C_{12} alkyl, C_2 - C_{12} alkoxy carbonyl- C_0 - C_{12} alkyl, C_3 - C_{12} alkenyloxy carbonyl- C_0 - C_{12} alkyl, C_3 - C_{12} alkynyloxy carbonyl- C_0 - C_{12} alkyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, amino, hydroxy, mercapto, CHO, COOH, C_1 - C_6 -tri-alkylsilyl, $triC_1$ - C_6 alkoxysilyl, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_3 - C_8 cycloalkyl, C_3 - C_8 halocycloalkyl, C_1 - C_6 alkenyl, C_1 - C_6 haloalkenyl, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, C_2 - C_7 alkylcarbonyl, C_2 - C_7 alkoxy carbonyl, C_2 - C_7 alkenyloxy carbonyl, C_2 - C_7 alkynyloxy carbonyl, C_1 - C_6 alkylthio, C_1 - C_6 alkylsulfenyl, C_1 - C_6 alkylsulfonyl, $-C(=O)NH_2$, $-C(=S)NH_2$, $-C(=O)NH(CH_3)$, $-C(=S)NH(CH_3)$ and $-C(=O)N(CH_3)_2$; and R_{51} , R_{52} , and R_{53} as are defined in claim 1.

8. A compound of formula I according to claim 1, wherein R₁ and R₂, independently of each other, are hydrogen, C₃-C₇cycloalkyl, C₁-C₆alkyl, C₂-C₆alkynyl, hydrogen or pyridine;

or R₁ and R₂ together with their interconnecting nitrogen atom are pyrrolino;

R₃ is hydrogen, C₁-C₆haloalkyl, C₁-C₆alkyl, halogen, cyano, nitro, C₁-C₄alkoxy, phenyl, phenyl substituted by halogen, (R₅₁)(R₅₂)(R₅₃)Si—(C₂-C₆alkinyl)-, wherein R₅₁, R₅₂, and R₅₃ is as defined in claim 1;

R₄ is hydrogen, halogen, phenyl, imidazolyl, amino, C₁-C₆alkoxy or C₁-C₆alkyl;

R₅ is C₁-C₁₂alkyl or the group A, wherein

A is a three- to ten-membered monocyclic or fused bicyclic ring system which can be aromatic, partially saturated or fully saturated and can contain 1 to 4 hetero atoms selected from the group consisting of nitrogen, oxygen and sulfur, it not being possible for each ring system to contain more than 2 oxygen atoms and more than 2 sulfur atoms, and it being possible for the three- to ten-membered ring system itself to be mono- or polysubstituted by substituents independently selected from the group consisting of halogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy and C₁-C₆alkylthio;

R₆ is hydrogen; and

R₇ is hydrogen or C₁-C₆alkyl.

9. A compound of formula I according to claim 8, wherein R₃ is hydrogen, C₁-C₆alkyl, halogen, cyano, nitro, C₁-C₄alkoxy, phenyl, phenyl substituted by halogen, or (R₅₁)(R₅₂)(R₅₃)Si-(C₂-C₆alkynyl)-.

10. A compound of formula I according to claim 1, wherein R₁ and R₂, independently of each other, are C₁-C₆alkyl, C₃-C₇cycloalkyl, C₂-C₆alkinyl, hydrogen or pyridine; or R₁ and R₂ together with their interconnecting nitrogen atom are pyrrolino;

ae4) by substituents independently selected from the group consisting of aminosulfonyl, C₁-C₆alkylaminosulfonyl, N N-di(C₁-C₆alkyl)aminosulfonyl, —C(=O)NR₅₇R₅₈, —C(=S)NR₅₇R₅₈ and —NR₅₇R₅₈ wherein R₅₇ and R₅₈, independently of each other are hydrogen C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl, C₃-C₆haloalkenyl C₃-C₆alkynyl C₃-C₈cycloalkyl, C₃-C₈halocycloalkyl, I phenyl or benzyl, where phenyl, benzyl for their part may be mono- to polysubstituted on the phenyl ring by substituents independently selected from the group consisting of halogen, cyano, hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl and C₁-C₆alkoxy, or R₅₇ and R₅₈ together with their interconnecting nitrogen atom are aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino, thiomorpholino, each of which, in turn, may be mono- or polysubstituted by substituents selected from the group consisting of methyl, halogen, cyano and nitro; and substituents at nitrogen atoms in the ring systems being other than halogen; or

- af) either R₁ or R₂ is
 af1) amino, C₁-C₆alkoxy C₃-C₆alkenylthio
 C₃-C₈cycloalkyloxy, C₃-C₆alkynyloxy, or benzyloxy;
 or
 af2) C₁-C₆alkoxy C₃-C₆alkenylthio C₃-C₈cycloalkyloxy 5
 C₃-C₆alkynyloxy, benzyloxy mono- to polysubstituted
 by substituents independently selected from the group
 consisting of halogen, cyano, C₁-C₆alkyl,
 C₁-C₆haloalkyl, C₁-C₆alkoxy and C₁-C₆haloalkoxy; or
 ag) R₁ and R₂, independently from each other, are 10
 C₁-C₇alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl,
 C₂-C₇alkylcarbonyl C₃-C₇alkenylcarbonyl
 C₄-C₉cycloalkylcarbonyl, C₁-C₆alkoxy -C₁-C₆alkyl,
 C₁-C₆alkylthio-C₁-C₆alkyl, C₃-C₆alkenylthio-C₁-
 C₆alkyl, C₂-C₆alkylcarbonyl-C₁-C₆alkyl, 15
 C₃-C₆alkynyloxy-C₁-C₆alkyl, benzyloxy-C₁-C₆alkyl,
 C₃-C₈cycloalkyl-C₁-C₆alkyl, C₂-C₇alkyloxycarbonyl,
 C₄-C₇alkenylloxycarbonyl, C₄-C₇alkynyloxycarbonyl
 or C₄-C₉cycloalkyloxycarbonyl, mono- to polysubstituted
 by substituents independently selected from the 20
 group consisting of halogen, cyano, nitro, hydroxy, mercapto,
 azido, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy
 C₁-C₆haloalkoxy C₁-C₆alkylthio, C₁-C₆alkylsulfinyl
 C₁-C₆alkylsulfonyl, C₂-C₇alkoxycarbonyl, formyl,
 C₂-C₇alkylcarbonyl, —Si(R₅₁)(R₅₂)(R₅₃) and —Si 25
 (OR₅₄)(OR₅₅)(OR₅₆); or
 ah) R₁ and R₂ independently from each other are the group
 A; wherein A is a three- to ten-membered monocyclic
 or fused bicyclic ring system which can be aromatic,
 partially saturated or fully saturated and can contain 1 to 30
 4 hetero atoms selected from the group consisting of
 nitrogen, oxygen and sulfur, it not being possible for
 each ring system to contain more than 2 oxygen atoms
 and more than 2 sulfur atoms, and it being possible for
 the three- to ten-membered ring system itself to be 35
 mono- or polysubstituted
 A1) by substituents independently selected from the group
 consisting of fluoro, bromo, iodo, cyano, nitro, hydroxy,
 mercapto, nitro, azido, formyl, carboxy, —C(=O)—Cl,
 =O, =S, —C(=O)—F, C₁-C₆alkyl, C₂-C₆alkenyl, 40
 C₂-C₆alkynyl, C₃-C₈cycloalkyl, C₅-C₈cycloalkenyl
 C₅-C₈cycloalkynyl, C₁-C₆haloalkyl,
 C₂-C₆haloalkenyl C₂-C₆haloalkynyl
 C₃-C₈halocycloalkyl, C₅-C₈halocycloalkenyl
 C₅-C₈halocycloalkynyl C₁-C₆alkoxy C₁-C₆haloalkoxy 45
 C₃-C₆alkenylthio, C₃-C₆haloalkenylthio
 C₃-C₆alkynyloxy, C₃-C₈cycloalkyloxy
 C₃-C₈halocycloalkyloxy, C₃-C₈cycloalkenylthio,
 C₃-C₈halocycloalkenylthio, benzylthio phenoxy, where
 benzylthio and phenoxy, in turn, may be mono- to 50
 polysubstituted by substituents independently selected
 from the group consisting of halogen, cyano, nitro,
 hydroxy, mercapto, azido, amino, —SF₅, C₁-C₆alkyl,
 C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy,
 C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆alkylthio, 55
 C₁-C₆alkylsulfinyl and C₁-C₆alkylsulfonyl; or
 A2) by substituents independently selected from the group
 consisting of HC(=NOR₅₉)—, (C₁-C₆alkyl)C
 (=NOR₅₉)—, (C₁-C₆haloalkyl)C(=NOR₅₉)—, (C₁-
 C₆alkyl)C(=NOR₅₉)C₁-C₆alkyl- and (C₁-C₆haloalkyl) 60
 C(=NOR₅₉)K₁-C₆alkyl-, wherein R₅₉ is hydrogen,
 C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl,
 C₃-C₆haloalkenyl, C₃-C₆alkynyl, C₃-C₈cycloalkyl,
 C₃-C₈halocycloalkyl, benzyl and phenyl, and benzyl
 and phenyl mono- to polysubstituted by halogen, cyano, 65
 hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl or C₁-C₆alkoxy;
 or

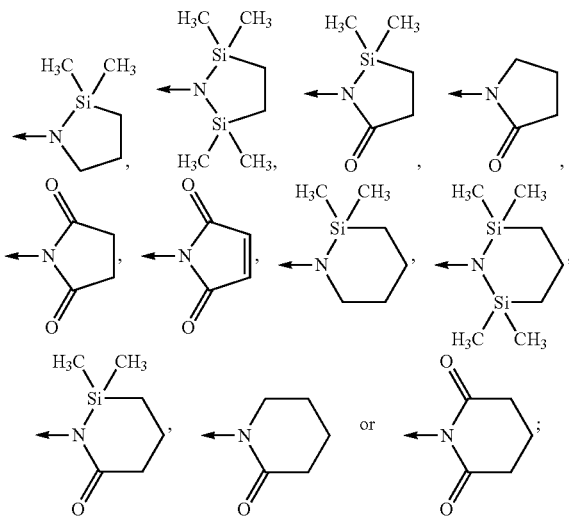
- A3) by substituents independently selected from the group
 consisting of C₂-C₆alkylthio, C₁-C₆haloalkylthio,
 C₁-C₆alkylsulfinyl C₁-C₆alkylsulfonyl (R₁₄)S(=O)
 (=NR₁₃)— and (R₁₄)(R₁₅)S(=O)=N—, wherein R₁₃
 is hydrogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₃-C₆alkenyl,
 C₃-C₆haloalkenyl, C₃-C₆alkynyl, C₃-C₈cycloalkyl,
 C₃-C₈halocycloalkyl, phenyl or benzyl, or is phenyl or
 benzyl mono- to polysubstituted by halogen, cyano,
 hydroxyl, C₁-C₆alkyl, C₁-C₆haloalkyl, or C₁-C₆alkoxy,
 and R₁₄ and R₁₅, independently of each other, are
 C₁-C₆alkyl, C₃-C₈cycloalkyl, C₁-C₆haloalkyl,
 C₃-C₈halocycloalkyl, C₂-C₆alkenyl C₂-C₆haloalkenyl
 C₂-C₆alkynyl, benzyl or phenyl, or benzyl or phenyl
 independently of each other, substituted by substituents
 selected from the group consisting of halogen, cyano,
 hydroxyl, C₁-C₆alkyl, C₁-C₆haloalkyl and
 C₁-C₆alkoxy; or
 A4) by substituents independently selected from the group
 consisting of —NR₅₇R₅₈, —C(=O)NR₅₇R₅₈ and
 —C(=S)NR₅₇R₅₈ or
 A5) by substituents independently selected from the group
 consisting of formyl, C₂-C₇alkylcarbonyl,
 C₂-C₇haloalkylcarbonyl, C₃-C₇alkenylcarbonyl,
 C₃-C₇haloalkenylcarbonyl,
 C₄-C₉cycloalkylcarbonyl,
 C₄-C₉halocycloalkylcarbonyl, C₂-C₇alkoxycarbonyl,
 C₂-C₇haloalkoxycarbonyl, C₃-C₇alkenylloxycarbonyl,
 C₃-C₇alkynyloxycarbonyl, C₄-C₉cycloalkoxycarbonyl,
 C₂-C₇alkylthiocarbonyl and benzyloxycarbonyl, and
 benzyloxycarbonyl mono- to polysubstituted by sub-
 stituents independently selected from the group consist-
 ing of halogen, cyano, hydroxyl, C₁-C₆haloalkyl and
 C₁-C₆alkoxy; or
 A6) by substituents independently selected from the group
 consisting of —Si(R₅₁)(R₅₂)(R₅₃) and —Si(OR₅₄
 OR₅₅)(OR₅₆); or
 A7) by substituents independently selected from the group
 consisting of
 aminosulfinyl, (C₁-C₆alkyl)aminosulfonyl, N,N-di(C₁-
 C₆alkyl)aminosulfonyl, di(C₁-C₆alkyl)amino, (C₁-
 C₆alkyl)amino, phenyl, phenoxy, benzyl and benzyloxy,
 where phenyl, phenoxy, benzyl and benzyloxy for their
 part may be mono- to polysubstituted on the phenyl ring
 by substituents independently selected from the group
 consisting of halogen, cyano, hydroxy, amino, nitro,
 azido, mercapto, formyl, —SF₅, C₁-C₆alkyl,
 C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆haloalkenyl,
 C₂-C₆alkynyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy,
 C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₃-C₆alkenylthio,
 C₃-C₆haloalkenylthio, C₃-C₆alkynylthio, C₁-C₃alkoxy-
 C₁-C₃alkylthio, C₂-C₆alkylcarbonyl-C₁-C₃alkylthio,
 C₂-C₆alkoxycarbonyl-C₁-C₃alkylthio, cyano-C₁-
 C₆alkylthio, C₁-C₆alkylsulfinyl,
 C₁-C₆haloalkylsulfinyl, C₁-C₆alkylsulfonyl,
 C₁-C₆haloalkylsulfonyl, aminosulfonyl, (C₁-C₆alkyl)
 aminosulfonyl, N,N-di(C₁-C₆alkyl)aminosulfonyl,
 di(C₁-C₆alkyl)amino and (C₁-C₆alkyl)amino; or
 ai) R₁ and R₂, independently from each other, are
 —C(=O)NR₅₇R₅₈; or
 aj) R₁ and R₂ together form a C₂-C₆alkylene bridge which
 may be mono- to polysubstituted by halogen, cyano,
 C₁-C₆alkyl or C₁-C₆haloalkyl groups; or
 ak) R₁ and R₂ together with their interconnecting nitrogen
 atom are pyrazolino, pyrazolidino, pyrrolino, imida-
 zolino, imidazolidino, triazolino, tetrazolino, piper-
 azino, morpholino, thiomorpholino, each of which,

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independently of each other, may be mono- to polysubstituted by methyl groups, halogen, cyano and nitro; or al) the fragment



can be



wherein each of the meanings of said fragment can be mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ haloalkyl and $\text{C}_1\text{-C}_6$ alkoxy;

ba) R_3 , R_4 and R_7 , independently from each other, are

ba1) hydrogen, halogen, cyano, nitro, mercapto, hydroxy, azido, ---SF_5 , $\text{---NR}_{64}\text{R}_{65}$, wherein R_{64} and R_{65} , independently of each other, are hydrogen, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ haloalkyl, $\text{C}_3\text{-C}_6$ alkenyl, $\text{C}_3\text{-C}_6$ haloalkenyl, $\text{C}_3\text{-C}_6$ alkynyl, $\text{C}_3\text{-C}_8$ cycloalkyl, $\text{C}_3\text{-C}_8$ halocycloalkyl, phenyl or benzyl, where phenyl, benzyl for their part may be mono- to polysubstituted on the phenyl ring by substituents independently selected from the group consisting of halogen, cyano, hydroxy, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ haloalkyl and $\text{C}_1\text{-C}_6$ alkoxy, or R_{64} and R_{65} together with their interconnecting nitrogen atom are aziridino, azetidino, pyrazolino, pyrazolidino, pyrrolino, pyrrolidino, imidazolino, imidazolidino, triazolino, tetrazolino, piperazino, piperidino, morpholino, thiomorpholino, each of which, in turn, may be mono- or polysubstituted by substituents selected from the group consisting of methyl, halogen, cyano and nitro; and substituents at nitrogen atoms in the ring systems being other than halogen; or R_3 , R_4 and R_7 , independently from each other, are ---C(=S)NH_2 , ---N=C=O , ---N=C=S , amino, $(\text{R}_{51})(\text{R}_{52})(\text{R}_{53})\text{Si---}$, $(\text{R}_{51})(\text{R}_{52})(\text{R}_{53})\text{Si---}(\text{C}_1\text{-C}_6\text{alkyl})$ -, $(\text{R}_{51})(\text{R}_{52})(\text{R}_{53})\text{Si---}(\text{C}_2\text{-C}_6\text{alkynyl})$ -, $(\text{OR}_{54})(\text{OR}_{55})(\text{OR}_{56})\text{Si---}$ or $(\text{OR}_{214})(\text{OR}_{215})(\text{OR}_{216})\text{Si---}(\text{C}_1\text{-C}_6\text{alkyl})$ -, wherein R_{214} , R_{215}

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and R_{216} independently of each other, are halogen, cyano, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_2\text{-C}_6$ alkenyl, $\text{C}_3\text{-C}_8$ cycloalkyl, $\text{C}_3\text{-C}_8$ cycloalkenyl, $\text{C}_2\text{-C}_6$ alkynyl, benzyl or phenyl; or R_3 , R_4 and R_7 , independently from each other, are

ba2) $\text{C}_1\text{-C}_6$ alkylthio, $\text{C}_1\text{-C}_6$ alkylsulfenyl, $\text{C}_1\text{-C}_6$ alkylsulfonyl, $\text{C}_1\text{-C}_6$ haloalkylthio, $\text{C}_1\text{-C}_6$ haloalkylsulfenyl, $\text{C}_1\text{-C}_6$ haloalkylsulfonyl, aminosulfenyl, aminosulfonyl, $\text{C}_1\text{-C}_6$ alkoxy, $\text{C}_1\text{-C}_6$ haloalkoxy, $\text{C}_3\text{-C}_6$ alkenyl, $\text{C}_3\text{-C}_6$ haloalkenyl, $\text{C}_3\text{-C}_6$ alkynyl, $\text{C}_3\text{-C}_6$ haloalkynyl, $\text{C}_1\text{-C}_6$ alkoxy, $\text{C}_2\text{-C}_6$ alkenyl, $\text{C}_2\text{-C}_6$ alkynyl, $\text{C}_1\text{-C}_6$ alkyl-S($=\text{O}$)(R_{14})=N-, (R_{14})S($=\text{O}$)(=N- R_{13})-, (R_{14})(R_{15})S($=\text{O}$)=N-, $\text{---S---C}_3\text{-C}_6$ alkenyl, $\text{---S---C}_3\text{-C}_6$ alkynyl, $\text{---S---C}_3\text{-C}_8$ cycloalkyl, S-benzyl, or $\text{---S---C}_3\text{-C}_6$ alkenyl, $\text{---S---C}_3\text{-C}_6$ alkynyl, $\text{---S---C}_3\text{-C}_8$ cycloalkyl or S-benzyl; all of which can be mono- to polysubstituted by substituents selected from the group consisting of halogen, cyano, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ haloalkyl, $\text{C}_1\text{-C}_6$ alkoxy; or R_3 , R_4 and R_7 , independently from each other, are

ba3) $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_2\text{-C}_6$ alkenyl or $\text{C}_2\text{-C}_6$ alkynyl, or $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_2\text{-C}_6$ alkenyl or $\text{C}_2\text{-C}_6$ alkynyl mono- to polysubstituted by substituents independently selected from the group consisting of halogen, hydroxy, mercapto, cyano, nitro, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ haloalkyl, $\text{C}_1\text{-C}_6$ alkoxy, $\text{C}_1\text{-C}_6$ hydroxyalkyl, tri(alkyl)silyl, $\text{C}_1\text{-C}_6$ haloalkoxy, $\text{C}_1\text{-C}_6$ alkylthio, $\text{C}_1\text{-C}_6$ haloalkylthio, $\text{C}_1\text{-C}_6$ alkylsulfonyl, $\text{C}_1\text{-C}_6$ haloalkylsulfonyl, $\text{C}_1\text{-C}_6$ alkylsulfonyl and $\text{C}_1\text{-C}_6$ haloalkylsulfonyl; or R_3 , R_4 and R_7 , independently from each other, are

ba4) formyl, $\text{C}_2\text{-C}_7$ alkoxycarbonyl, $\text{C}_2\text{-C}_7$ haloalkoxycarbonyl, $\text{C}_3\text{-C}_7$ alkenylloxycarbonyl, $\text{C}_3\text{-C}_7$ haloalkenylloxycarbonyl, $\text{C}_2\text{-C}_7$ alkylcarbonyl, carboxy, ---C(=O)---Cl , ---C(=O)---F , $\text{C}_2\text{-C}_7$ haloalkylcarbonyl, $\text{C}_3\text{-C}_7$ alkenylcarbonyl or $\text{C}_3\text{-C}_7$ haloalkenylcarbonyl; or R_3 , R_4 and R_7 , independently from each other, are

ba5) phenyl, phenoxy, benzyl or benzyloxy, or phenoxy, benzyl or benzyloxy mono- to polysubstituted by substituents independently selected from the group consisting of halogen, cyano, nitro, hydroxy, mercapto, azido, amino, ---SF_5 , $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ haloalkyl, $\text{C}_1\text{-C}_6$ alkoxy, $\text{C}_1\text{-C}_6$ haloalkoxy, $\text{C}_1\text{-C}_6$ alkylthio, $\text{C}_1\text{-C}_6$ alkylsulfenyl and $\text{C}_1\text{-C}_6$ alkylsulfonyl; or

bb) R_3 , R_4 and R_7 , independently of each other, are the groups A-, A-O- or A-($\text{C}_1\text{-C}_6$ alkyl)-,

wherein the group A is as defined above under ah);

d) R_6 is hydrogen, fluoro, bromo, chloro, cyano or CHO; and

R_{100} is SH-, nitro, halogen, imidazolyl, triazolyl, $\text{C}_1\text{-C}_6$ alkylthio, $\text{C}_1\text{-C}_6$ alkylsulfenyl or $\text{C}_1\text{-C}_6$ alkylsulfonyl.

13. A method of controlling or preventing infestation of useful plants by phytopathogenic microorganisms, wherein a compound of formula I according to claim 1 or a composition, comprising this compound as active ingredient, is applied to the plants, to parts thereof or the locus thereof.

14. A composition for controlling and protecting against phytopathogenic microorganisms, comprising a compound of formula I according to claim 1 and an inert carrier.

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